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 NEWS 32 Apr 11 Display formats in DGENE enhanced
NEWS 33 Apr 14 MEDLINE Reload
 NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
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STRUCTURE FILE UPDATES: 15 APR 2003 HIGHEST RN 503084-53-5 DICTIONARY FILE UPDATES: 15 APR 2003 HIGHEST RN 503084-53-5

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> Uploading 09990389.str

L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful FULL SEARCH INITIATED 08:16:58 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 14011 TO ITERATE

100.0% PROCESSED 14011 ITERATIONS SEARCH TIME: 00.00.01

720 ANSWERS

L2 720 SEA SSS FUL L1

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L3 STRUCTURE UPLOADED

=> d

G1 0,S

L3 HAS NO ANSWERS

L3 STR

G1 0,S

Structure attributes must be viewed using STN Express query preparation.

=> s 13 ful

FULL SEARCH INITIATED 08:17:31 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 9037 TO ITERATE

9037 ITERATIONS 100.0% PROCESSED

679 ANSWERS

SEARCH TIME: 00.00.01

679 SEA SSS FUL L3 L4

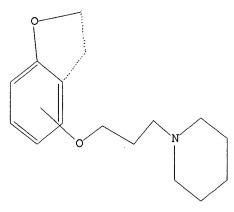
Uploading 09990389.str

STRUCTURE UPLOADED L5

=> d

L5 HAS NO ANSWERS

L5STR



G1 0, S

Structure attributes must be viewed using STN Express query preparation.

=> s 15 ful

FULL SEARCH INITIATED 08:18:08 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 9037 TO ITERATE

100.0% PROCESSED 9037 ITERATIONS SEARCH TIME: 00.00.01

649 ANSWERS

649 SEA SSS FUL L5 L6

=> d his

L1

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L1 STRUCTURE UPLOADED

L2 720 S L1 FUL

L3 STRUCTURE UPLOADED

L4 679 S L3 FUL

L5 STRUCTURE UPLOADED

L6 649 S L5 FUL

L7 702 S L2 AND CAPLUS/LC

L8 18 S L2 NOT L7

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=> s 12

L9 55 L2

=> s 19 not wo200071517/pn

1 WO200071517/PN

(WO2000071517/PN)

L10 54 L9 NOT WO200071517/PN

 \Rightarrow d 110 1-54 ibib abs hitstr

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:408670 CAPLUS
DOCUMENT NUMBER: 137:6183
TITLE: Preparation of 3-[2-(5-methyl-1,3,4-oxadiazol-2-

yl)benzo[b]furan-4-yloxy]-l-(1-piperidinyl)-2-propanol compounds having high affinity for 5-HTIA receptor and

INVENTOR (S) :

medicinal use thereof
Nishiyama, Akira; Bougauchi, Masahiro; Minoguchi,
Masanori; Morio, Yasunori; Horikawa, Takashi
Mitsubishi Pharma Corporation, Japan
PCT Int. Appl., 38 pp.
CODEN: PIXXD2
Patent
Japanese
1

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

CN,

GH.

LS,

PATENT NO. KIND DATE APPLICATION NO. WO 2002042297 30 WO 2001-JP10301 200 AU, AZ, BA, BB, BG, BR, BY, BZ AE, AG, AL, AM, AT CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

PL. PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG. UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, M2, SD, SL, S2, TZ, UG, ZM, ZW, AT, BE, CH. CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR. BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,

TG AU 2002-24105 20011127 JP 2000-359744 A 20001127 WO 2001-JP10301 W 20011127 AU 2002024105 A5 20020603 PRIORITY APPLN. INFO .:

OTHER SOURCE(S): MARPAT 137:6183

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) oxadiazol-2-yl)henzo(b)furan-4-yl)oxy)-2-propanol hydrochloride 42043-18-0P, (S)-1 -(4-(3-Chloro-4-isopropoxyphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo(b)furan-4-yl)oxy)-2-propanol hydrochloride 432043-19-1P, (S)-1-(4-(4-Methoxy-2-methylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo(b)furan-4-yl)oxy)-2-propanol hydrochloride 432043-20-4P

(\$) -1-(4-(5-Chloro-4-methoxy-2-methylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride 43204-21-5P, (\$) -1-(4-(2,4-Dimethoxyphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride 432043-22-6P, (\$)-1-(4-(4-Chloro-2-fluoro-3-methylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride 432043-23-7P

(S) -1-(4-(4-Fluoro-2-methylphenyl)piperidino) -3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride 432043-24-8P, (S) -1-(4-(3-Chloro-4-methoxy-2-methyl-phenyl)piperidino) -3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b)furan-4-yl)oxy)-2-propanol hydrochloride 432043-25-9P

(S) -1-(4-(1-Methoxynaphthalen-2-yl)piperidino) -3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride 432043-26-09, (S)-1-(4-(2-Methoxy-3,4-dimethylphenyl)piperidino)-3-((2-6-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride 432043-27-1P, (S)-1-(4-(2.4,6-firentyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride 432043-28-2P,

 $(S) -1 - (4 - (3-Nethylthiophenyl)piperidino) -3 - (\{2 - (5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy) -2-propanol hydrochloride 432043-29-39$

(S)-1-(4-(4-Methylthiophenyl)piperidino)-3-(2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo(b]furan-4-yl)oxy)-2-propanol hydrochloride 432043-31-7P 432043-33-9P 432043-34-0P, (S)-1-(4-(4-Chloro-3-

ethylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan

4-yl)oxy)-2-propanol hydrochloride 432043-35-1P,

4-yl)oxy)-2-propanol hydrochloride 432043-35-1P,

(5) = 1-(4-(4-Chloro-3-isopropylphenyl) piperidino) = 3-((2-(5-methyl-1, 3, 4-oxadiazol-2-yl)benzo[b] furan-4-yl)oxy)-2-propanol hydrochloride 432043-36-2P, 1-(4-(4-Chloro-2-methylphenyl)piperidino) = 3-((2-(5-methyl-1, 3, 4-oxadiazol-2-yl)benzo[b] furan-4-yl)oxy)-2-propanol 432043-37-3P, 1-(4-(2, 6-Dimethoxyphenyl)piperidino) = 3-((2-(5-methyl-1, 3, 4-oxadiazol-2-yl)benzo[b] furan-4-yl)oxy)-2-propanol 432043-38-4P, 1-(4-(3-Fluoro-4-methylphenyl)piperidino) = 3-((2-(5-methyl-1, 3, 4-oxadiazol-2-yl)benzo[b] furan-4-yl)oxy)-2-propanol 432043-39-5P, 1-(4-(2, 6-Trimethoxyphenyl)piperidino) = 3-((2-(5-methyl-1, 3, 4-oxadiazol-2-yl)benzo[b] furan-4-yl)oxy)-2-propanol 432043-40-8P, 1-(4-(4-Chloro-2, 6-dimethoxyphenyl)piperidino) = 3-((2-(5-methyl-1, 3, 4-oxadiazol-2-yl)benzo[b] furan-4-yl)oxy)-2-propanol 432043-41-9P, 1-(4-(3-Chloro-4-ethoxyphenyl)piperidino) = 3-((2-(5-methyl-1, 3, 4-oxadiazol-2-yl)benzo[b] furan-4-yl)oxy)-2-propanol 432043-42-0P, 1-(4-(3-Chloro-4-ethoxyphenyl)piperidino) = 3-((2-(5-methyl-1, 3, 4-oxadiazol-2-yl)benzo[b] furan-4-yl)oxy)-2-propanol 432043-42-0P, 1-(4-(3-Chloro-4-ethoxyphenyl)piperidino) = 3-((2-(5-methyl-1, 3, 4-oxadiazol-2-yl)benzo[b] furan-4-yl)oxy)-2-propanol

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

The title compds. (I; wherein R = 4-chloro-2-methylphenyl, 2,6-dimethoxyphenyl, 3-fluoro-4-methylphenyl, 2,4,6-trimethoxyphenyl, 4-chloro-2,6-dimethoxyphenyl, 1-methoxynaphthalen-2-yl, indolin-1-yl, indol-1-yl, etc.), optically active isomers thereof, pharmaceutically acceptable salts of these, and hydrates of these are prepd. These

have a high affinity for and are antagonistic to 5-HTIA receptors, and function to selectively inhibit serotonin (5-HT) re-incorporation.

They are hence useful for the prevention or treatment of central nervous system

diseases such as schizophrenia, anxiety, obsessive-compulsive

disorder, sexual disorder, pain, cardiovascular disorders, and drug abuse

and e.g. as antidepressants rapidly showing its antidepressant effect.

Thus,
(S)-2-[4-q]ycidyloxybenzo(b]furan-2-y])-5-methyl-1,3,4-oxadiazole
and 4-(indolin-1-y1)plpsidine were heated in methanol with stirring to

give
(5)-1-(4-(indolin-1-y1)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2
y1)benzo[b]furan-4-y1)oxy)-2-propanol 3/2 terephthalate (II).
showed

the binding affinity for 5-HTIA receptor and that for 5-HT transporter with Ki of 1.4 and 2.9 nM, resp.

432043-09-9P, (3)-1-(4-(4-Chloro-2-methylphenyl)piperidino)-3-((2-(5-methyl-1, 3, 4-oxadiazol-2-yl)benzo(b)furan-4-yl)oxy)-2-propanol hydrochloride 432043-11-3P 432043-12-4P,

(5)-1-(4-(3-Fluoro-4-methylphenyl)piperidino)-3-((2-(5-methyl-1, 3, 4-oxadiazol-2-yl)benzo(b)furan-4-yl)oxy)-2-propanol hydrochloride 432043-14-6P 432043-17-9P,

(5)-1-(4-(3-Chloro-4-ethoxyphenyl)piperidino)-3-((2-(5-methyl-1, 3, 4-oxadiazol-2-yl)benzo(b)furan-4-yl)oxy)-2-propanol hydrochloride 432043-14-6P 432043-17-9P,

(6)-1-(4-(3-Chloro-4-ethoxyphenyl)piperidino)-3-((2-(5-methyl-1, 3, 4-oxadiazol-2-yl)benzo(b)furan-4-yl)oxy)-2-propanol hydrochloride 432043-14-6P 432043-17-9P,

ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) 432043-43-1P, 1-(4-(4-Methoxy-2-methylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadia20-2-yl)phenzo[b] furan-4-yl) csy)-2-propanol 432043-44-2P, 1-(4-(5-Chloro-4-methoxy-2-methylphenyl)piperidino)-

3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo(b) furan-4-yl) oxy) -2-propanol
432043-45-3P, 1-(4-(2,4-Dimethoxyphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo(b) furan-4-yl) oxy)-2-propanol
432043-46-4P, 1-(4-(4-Ghloro-2-fluoro-3-methylphenyl)piperidino)-3((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo(b) furan-4-yl) oxy)-2-propanol
432043-47-5P, 1-(4-(4-Fluoro-2-methylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo(b) furan-4-yl) oxy)-2-propanol
432043-48-6P, 1-(4-(3-Chloro-4-methoxy-5-methylphenyl)piperidino)-

3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo(b) furan-4-yl) oxy)-2-propanol
42043-49-79, 1-(4-(1-Hethoxynaphthalen-2-yl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo(b) furan-4-yl) oxy)-2-propanol
42043-50-09, 1-(4-(2-Hethoxyn-3,4-dimethylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo(b) furan-4-yl) oxy)-2-propanol
42043-51-19, 1-(4-(2,4-6-frimethylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo(b) furan-4-yl) oxy)-2-propanol
42043-32-22-1, 1-(4-(3-Methylthiophenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo(b) furan-4-yl) oxy)-2-propanol
43043-33-39, 1-(4-(4-Methylthiophenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo(b) furan-4-yl) oxy)-2-propanol
43043-34-44-1, 1-(4-(findoll-1-yl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo(b) furan-4-yl) oxy)-2-propanol
43043-54-44-1, 1-(4-(findoll-1-yl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo(b) furan-4-yl) oxy)-2-propanol
43043-55-59,
1-(4-(findol-1-yl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo(b) furan-4-yl) oxy)-2-propanol

-(4-Chloro-3-ethylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol 432043-57-79,
1-(4-(4-Chloro-3-isopropylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride
RL: PAC (Pharmacological activity) SPN (Synthetic preparation), THU
(Therapeutic use), BIOL (Biological study), PREP (Preparation); USES
(Uses)
(preph. of [methyloxadiazoly])benzo[nyanyloxy]piperidinylpropanol

(Uses)

(prepn. of [(methyloxadiazolyl)benzofuranyloxy]piperidinylpropanol derivs. having high affinity for 5-HTlA receptor as central nervous system agents]

RN 432043-09-9 CAPLUS

CN 1-riperidineethanol,
4-(4-chloro-2-methylphenyl)-.alpha.-[[[2-(5-methyl1,3,4-0xadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-, monohydrochloride,
(.alpha.S)- (9CI) (CA INDEX NAME)

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS

• HCl

432043-11-3 CAPLUS
1,4-Benzenedicarboxylic acid, compd. with (.alpha.5)-4-(2,6-dimethoxyphenyl)-.alpha.[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl}-1-piperidineethanol (1:2) (9CI) (CA INDEX NAME)

CM

CRN 432043-10-2 CMF C27 H31 N3 O6

Absolute stereochemistry.

2 CM

CRN CMF 100-21-0 C8 H6 O4

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS

432043-16-8 CAPLUS
1,4-Benzenedicarboxylic acid, compd. with (.alpha.S)-4-(4-chloro-2,6-dimethoxyphenyl)-.alpha.-[{[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-1-piperidineethanol (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 432043-15-7 CMF C27 H30 C1 N3 O6

Absolute stereochemistry.

CM 2

CRN 100-21-0 CMF C8 H6 04

RN 432043-17-9 CAPLUS
CN 1-Piperidineethanol,
4-(3-chloro-4-ethoxyphenyl)-.alpha.-[[[2-(5-methyl1,3,4-oxadizaol-2-yl)-4-benzofuranyl]oxy]methyl]-, monohydrochloride,
(.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 432043-12-4 CAPLUS
CN 1-Piperidineethanol,
4-(3-fluoro-4-methylphenyl)-.alpha.-[[{2-{5-methyl1,3-(-avgalizac)-2-yl)-4-benzofuranyl}oxy]methyl]-, monohydrochloride,
(.alpha.5)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• HC1

432043-14-6 CAPLUS
1,4-Benzenedicarboxylic acid, compd. with (.alpha.5)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-y1)-4-benzofuranyl]oxy]methyl]-4-(2,4,6-trimethoxyphenyl)-1-piperidineethanol (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 432043-13-5 CMF C28 H33 N3 07

Absolute stereochemistry

CM 2

CRN 100-21-0 CMF C8 H6 O4

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS

• HCl

RN 432043-18-0 CAPLUS
CN 1-Piperidineethanol,
4-[3-chloro-4-(1-methylethoxy)phenyl]-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-,
monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• HCl

RN 432043-19-1 CAPLUS
CN 1-Piperidineethanol,
4-(4-methoxy-2-methylphenyl)-.alpha.-[[[2-(5-methyl1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-, monohydrochloride,
{.alpha.5}- (9CI) (CA INDEX NAME)

• HCl

RN 432043-20-4 CAPLUS
CN 1-Piperidineethanol,
4-(5-chloro-4-methoxy-2-methylphenyl)-.alpha.-[[[2-(5-meth)21-],3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-,
monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• HCl

RN 432043-21-5 CAPLUS
CN 1-Piperidineethanol,
4-(2,4-dimethoxphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxylmethyl]-, monohydrochloride,
(.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

• HCl

RN 432043-24-8 CAPLUS
CN 1-Piperidineethanol,
4-(3-chloro-4-methoxy-5-methylphenyl)-.alpha.-[[[2-(5methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-,
monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• HCl

RN 432043-25-9 CAPLUS
CN 1-Piperidineethanol,
4-(1-methoxy-2-naphthalenyl)-.alpha.-[[[2-(5-methyl1.3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-, monohydrochloride,
(.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

● HC1

RN 432043-22-6 CAPLUS
CN 1-Piperidineethanol,
4-(4-chloro-2-fluoro-3-methylphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-,
monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• HC1

RN 432043-23-7 CAPLUS
CN 1-Piperidineethanol,
4-(4-fluoro-2-methylphenyl)-.alpha.-[[[2-(5-methyl1,3,4-oxadiazol-2-yl)-4-benzofuranyl)oxy]methyl]-, monohydrochloride,
(.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

• HCl

FN 432043-26-0 CAPLUS
CN 1-Piperidineethanol, 4-(2-methoxy-3,4-dimethylphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxdiazol-2-yl)-4-benzofuranyl]oxy]methyl]-,
monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• HCl

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

• HC1

Absolute stereochemistry.

• HCl

Absolute stereochemistry.

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 432043-33-9 CAPLUS CN 1,4-Benzenedicarboxylic acid, compd. with (.alpha.S)-4-{lH-indol-1-y1}-

.alpha.-[{[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]оху]methyl]-1piperidineethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 432043-32-8 CMF C27 H28 N4 O4

Absolute stereochemistry.

CM 2

CRN 100-21-0 CMF C8 H6 O4

RN 432043-34-0 CAPLUS
CN 1-Piperidineethanol,
4-(4-chloro-3-ethylphenyl)-.alpha.-[[[2-(5-methyl1.3,4-oxadiazol-2-yl)-4-benzofuranyl)oxy]methyl]-, monohydrochloride,
(.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

• HCl

RN 432043-31-7 CAPLUS
CN 1,4-Benzenedicarboxylic acid, compd. with
(.alpha.S)-4-(2,3-dihydro-1Hindol-1-yl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4benzofuranyl]oxy]methyl]-1-piperidineethanol (3:2) (SCI) (CA INDEX
NAME)

CM 1

CRN 432043-30-6 CMF C27 H30 N4 O4

Absolute stereochemistry.

CM 2

CRN 100-21-0 CMF C8 H6 O4

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

• HCl

RN 432043-35-1 CAPLUS
CN 1-Piperidineethanol,
4-[4-chloro-3-(1-methylethyl)phenyl]-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-,
monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• HCl

RN 432043-36-2 CAPLUS
CN 1-Piperidineethanol,
4-(4-chloro-2-methylphenyl)-.alpha.-[{[2-(5-methyl1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 432043-37-3 CAPLUS CN 1-Piperidineethanol, 4-(2,6-dimethoxyphenyl)-alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

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432043-39-5 CAPLUS
1-Fiperidineethanol, .alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-4-(2,4,6-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 432043-38-4 CAPLUS
CN 1-Piperidineethanol,
4-(3-fluoro-4-methylphenyl)-.alpha.-[[[2-(5-methyl1,3,4-oxadiazol-2-yl)-4-benzofuranyl)oxy]methyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS

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432043-40-8 CAPLUS
1-Piperidineethanol, 4-(4-chloro-2,6-dimethoxyphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 432043-41-9 CAPLUS
CN 1-Piperidineethanol,
4-(3-chloro-4-ethoxyphenyl)-.alpha.-[[[2-(5-methyl1,3,4-oxadiazoi-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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RN 432043-43-1 CAPLUS
CN 1-Piperidineethanol,
4-(4-methoxy-2-methylphenyl)-.alpha.-[[[2-(5-methyl1,3,4-oxadiazol-2-yl)-4-benzofuranyl)cxy]methyl]- (9CI) (CA INDEX NAME)

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RN 432043-42-0 CAPLUS
CN 1-Piperidineethanol,
4-[3-chloro-4-[1-methylethoxy]phenyl]-.alpha.-[[2-(5methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl}- [9CI] (CA
INDEX
NAME)

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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RN 432043-44-2 CAPLUS CN 1-Piperidineethanol, 4-(5-chloro-4-methoxy-2-methylphenyl)-.alpha.-[[{2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX NAME)

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RN 432043-45-3 CAPLUS
CN 1-Piperidineethanol,
4-(2,4-dimethoxyphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

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RN 432043-47-5 CAPLUS
CN 1-Piperidineethanol,
4-(4-fluoro-2-methylphenyl)-.alpha.-[[[2-(5-methyl1,3,4-oxadiazol-2-yl)-4-benzofuranyl)oxy]methyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

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RN 432043-48-6 CAPLUS
CN 1-Piperidineethanol,
4-(3-chloro-4-methoxy-5-methylphenyl)-.alpha.-[[2-(5methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA
INDEX
NAME)

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RN 432043-49-7 CAPLUS
CN 1-Piperidineethanol,
-(1-methoxy-2-naphthalenyl)-.alpha.-[[[2-(5-methyl1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

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RN 432043-51-1 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-4-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 432043-50-0 CAPLUS
CN 1-Piperidineethanol, 4-(2-methoxy-3,4-dimethylphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazo1-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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RN 432043-52-2 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-4-[3-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 432043-53-3 CAPLUS
CN 1-Piperidineethanol, alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy)methyl]-4-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

L10 ANSWER 1 of 54 CAPLUS COPYRIGHT 2003 ACS (Continued) 1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl}- (9CI) (CA INDEX NAME)

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RN 432043-57-7 CAPLUS
CN 1-Piperidineethanol,
4-[4-chloro-3-(1-methylethyl)phenyl]-.alpha.-[[{2-(5-methyl-1),3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

RN 432043-54-4 CAPLUS
CN 1-Piperidineethanol,
4-(2,3-dihydro-IH-indol-1-yl)-.alpha.-[[[2-(5-methyl1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX NAME)

CH2 CH2-CH-OH

RN 432043-55-5 CAPLUS
CN '1-Piperidineethanol, 4-(1H-indol-1-yl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9Cl) (CA INDEX NAME)

RN 432043-56-6 CAPLUS
CN 1-Piperidineethanol,
4-(4-chloro-3-ethylphenyl)-.alpha.-[[[2-(5-methyl-

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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• I

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 2 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002;332196 CAPLUS
DOCUMENT NUMBER: 136:355241
TITLE: Preparation of benzoxazinones as antidepressants

anxiolytics Johnson, Christopher Norbert, Rami, Harshad

Hervýn,

Stemp, Geoffrey: Thewlis, Kevin: Thompson,

PATENT ASSIGNEE(S): SOURCE:

Vong, Antonio Kuok Keong Smithkline Beecham P.L.C., UK PCT Int. Appl., 97 pp. CODEN: PIXXD2 Patent English 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PASSET INFORMATION:

PATENT NO. W0 2002034754 A2 20020502 W0 2001-EP12344 20011022 W0 2002034754 A3 20020711 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH,

PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,

US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,

BF, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2002024791 A5 20020506 AU 2002-24791 20011022
PRIORITY APPLN. INFO.: GB 2000-26224 A 20001025
GB 2001-11858 A 20010515
WO 2001-EP12344 W 20011022

OTHER SOURCE(S):

MARPAT 136:355241

$$\text{Ar}^{\text{o}} \text{T}_{\text{m}}^{\text{N}} \text{T}_{\text{n}}^{\text{X}} \text{T}_{\text{p}}^{\text{Y}} \text{T}_{\text{n}}^{\text{R}^{1}} \text{O}$$

L10 ANSWER 2 OF 54 CAPLUS COPYRIGHT 2003 ACS

420784-95-8 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[[1-[3-(7-benzofuranyloxy)propyl]-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

420784-97-0 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[[1-[3-[(2-methyl-7-benzofursnyl)oxy]propyl]-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

420784-99-2 CAPLUS

L10 ANSWER 2 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
AB The title compds. [I; Ar = {un}substituted Ph, naphthyl, a monocyclic

bicyclic heteroarom. group; when Ar = Ph or a monocyclic heteroarom. group, substituents positioned ortho to one another may be linked to

a 5-6 membered ring; Rl = H, alkyl, alkenyl, alkynyl, arylalkyl; R2 = halo, alkyl, CN, CF3, alkanoyl, alkoxy, OH; X = CH, N; Y = a single

0, CO; p = 0-2; r = 0-3; m = 2-4; n, q = 1-2], useful as medicaments for

various CNS disorders, including depression and/or anxiety, were

prepd.
Thus, reacting 6-(4-piperidinyloxy)-4H-benzo[1,4]oxazin-3-one.HCl with
4-IH-indolyloxyacetaldehyde in the presence of NaEH(OAc)3 in
1,2-dichloroethane afforded 63% I [Ar = 4-indolyl; R1 = H; X = CH; Y

p = 0; q = 1; n, m = 2; r = 0]. All compds. I tested according to the radioligand binding assay were found to have pKi values > 6.0 at 5-HTIA receptors.

IT 420784-58-5P 420784-97-P 420784-95-8P 420784-97-90 420785-50-8P 420785-50-8P 420785-50-8P 420785-51-1P 420785-97-1D 420785-97-1D 420785-97-1D 420785-97-1D 420785-97-1D 420785-97-1D 420785-97-1D 420785-97-1D 420785-97-1D 420785-50-8P 420785-51-3P 420785-50-8P 420785-51-3P 420785-50-8P 420785-53-3P 420785-51-3D 42078

(prepn. of benzoxazinones as antidepressants and anxiolytics)
420784-68-5 CAPLUS
2H-1, 4-Benzoxazin-3(4H)-one, 6-[[1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

420784-94-7 CAPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 6-[[1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-4-piperidinyl]oxy]-4-methyl- (9CI) (CA INDEX NAME)

ANSWER 2 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) 2H-1,4-Benzoxazin-3(4H)-one, 4-methyl-6-[[1-[3-[(2-methyl-7-benzoftranyl)]oxy]proyl]-4-piperidinyl]oxy]-9(51) (CA INDEX NAME)

420785-11-1 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 6-[[1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

420785-50-8 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-3-piperidinyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 2 OF 54 CAPLUS COPYRIGHT 2003 ACS

420785-55-3 CAPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 6-{1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuznyl)oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 3 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
effector, except adverse); BSU (Biological study, unclassified); SPN
(Synthetic preparation); THU (Therapeutic use); BIOL (Biological

study);

PREP (Preparation); USES (Uses)

(prepn. and cytotoxicity of chimeric mols. consisting of psoralen

and retinoid)
RN 351429-62-4 CAPLUS
CN Pyridinium,
4-[[(2E,4E,6E,8E)-3,7-dimethyl-1-oxo-9-(2,6,6-trimethyl-1-

cyclohexen-1-yl)-2,4,6,8-nonatetraenyl]amino]-1-[3-[(7-oxo-7H-furo[3,2-g][1]benzopyran-9-yl)oxy]propyl]-, bromide (9CI) (CA INDEX NAME)

Double bond geometry as shown.

351429-63-5 CAPLUS

TN Pyridinium,
4-[{(22,4E,6E,8E)-3,7-dimethyl-1-oxo-9-(2,6,6-trimethyl-1-

cyclohexen-1-yl)-2,4,6,8-nonatetraenyl]amino]-1-[3-[(7-oxo-7H-furo[3,2-g][1]benzopyran-9-yl)oxy]propyl]-, bromide (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L10 ANSWER 3 OF 54 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2001:545699 CAPLUS DOCUMENT NUMBER: 135:137339 ACCESSION NUMBER: DOCUMENT NUMBER: TITLE: psoralen Synthesis of chimeric molecules consisting of and retinoid for treating cell hyperproliferation pathologies and in particular psoriasis Giraud, Michel; Andriamialisoa, Zo; Santus, Rene; INVENTOR(S): Melo, Teresa Centre National de la Recherche Scientifique PATENT ASSIGNEE(S): (CNRS), Fr., Instituto Superior Tecnico PCT Int. Appl., 63 pp. CODEN: PIXXD2 Patent SOURCE: DOCUMENT TYPE: LANGUAGE: FRENCH
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

A1 20010726 20010118 WO 2001053301 WO 2001-FR153 W: JP, US
RW: AT, BE, CH, CY, DE, DX, ES, FI, FR, GB, GR, IE, IT, LU, MC, PT, SE, TR
FR 2803849 A
FR 2803849 PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
G1 A1 20010720 B1 20020419 FR 2000-655 20000119 FR 2000-655 MARPAT 135:137339 A 20000119

APPLICATION NO. DATE

PATENT NO.

activity or

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Chimeric mols. consisting of a retinoid mol. covalently bound via a linking arm to a psoralen mol. or its deriv. such as I [Rl = H, Br,

Br, I, amino, SH, CN, CO2H, CO2-alkyl, alkyloxy, alkylamino, dialkylamino cylamino, alkylthio, aryloxy, arylamino, arylthio; L = 0-alkyl-(pyridinium)m, S-alkyl-(pyridinium)m, 0-c0-alkyl-(pyridinium)m m = 0, 1; R4, R5 = H, alkyl; n = 1-10; -cR4-cR5- double bond could be Z or E; R6 = (un)substituted cycloalkyl, cycloalkenyl, etc.], were prepd. for ring

cell hyperproliferation pathologies, and in particular psoriasis. Thus,

13E-retinoic acid was treated with 4-aminopyridine to give amide II

4-pyridyl) which on reaction with 8-bromo-propyloxy-psoralene afford chimeric mol. II (R = RA). The prepd. chimeric mols. were tested for cytotoxicity and photocytotoxicity against keratinocytes NCTC 2544. 351429-62-4P 351429-63-5P RL: ADV (Adverse effect, including toxicity); BAC (Biological

L10 ANSWER 3 OF 54 CAPLUS COPYRIGHT 2003 ACS

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(Continued)

IT 351429-64-6P 351429-65-7P 351429-66-8P
RL: BAC (Biological activity or effector, except adverse), BSU (Biological

• Br-

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study), PREP (Preparation); USES (Uses) (prepn. and cytotoxicity of chimeric mols. consisting of psoralen and

and retinoid)
RN 351429-64-6 CAPLUS
CN Pyridinium,
4-{[(2E,4E,6E)-5-methyl-1-0x0-7-(2,6,6-trimethyl-1-cyclohexen-

1-y1)-2,4,6-heptatrienyl]amino]-1-[3-[(7-oxo-7H-furo[3,2-g][1]benzopyran-9-y1)oxy]propyl]-, bromide (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L10 ANSWER 3 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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RN 351429-65-7 CAPLUS
CN Pyridinium,
1-{3-[(7-0x0-7H-furo[3,2-g)[1]benzopyran-9-y1)oxy]propy1]-4[[(2E)-1-oxo-3-pheny1-2-propeny1]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L10 ANSWER 4 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:518607 CAPLUS
DOCUMENT NUMBER: 135:326946
TITLE: Design and synthesis of novel benzofurans as a

class of antifungal agents targeting fungal N-myristoyltransferase. Part 1 Masubuchi, M.; Kawasaki, K.; Ebiike, H.; Ikeda,

AUTHOR(S): Y.;

CORPORATE SOURCE:

SOURCE:

PUBLI SHER:

DOCUMENT TYPE: LANGUAGE:

Tsujii, S.; Sogabe, S.; Fujii, T.; Sakata, K.;
Shiratori, Y.; Aoki, Y.; Ohtsuka, T.; Shimma, N.
Mippon Roche Research Center, Kamakura, Kanagawa,
247-8530, Japan
ICE: Bioorganic & Medicinal Chemistry Letters (2001),
11(14), 1833-1837
CODEN: EMCLES: ISSN: 0960-894X
ISHER: Elsevier Science Ltd.
MENT TYPE: Journal
UNGE: English
UNGE: English
Inhibitors have been identified through optimization of a lead
dd. 1

compd. 1
discovered by random screening. The inhibitor design is based on the
crystal structure of the CaNAt complex with compd. (8)-3 and
structure-activity relationships (SARs) have been clarified.

Modification
of the C-4 side chain of 1 has led to the discovery of a potent and
selective CaNAT inhibitor 11 (RO-09-4609), which exhibits antifungal
activity against C. albicans in vitro.

11 a69635-08-28.

IT 369633-05-2F
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation);

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(design and synthesis of novel benzofurans as a new class of

(design and symmetric of minimum antifungal agents targeting fungal N-myristoyltransferase)
RN 398635-05-2 CAPLUS
CN 2-Benzofurancarboxylic acid, 3-methyl-4-[3-(1-piperidinyl)propoxy]-,

ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

REFERENCE COUNT: THIS

FORMAT

THERE ARE 4 CITED REFERENCES AVAILABLE FOR RECORD. ALL CITATIONS AVAILABLE IN THE RE

(CH2)3

Me

C-OEt

(CAPUS COPYRIGHT 2003 ACC)

(CH2)3

COEt

(CAPUS COPYRIGHT 2003 ACC)

REFERENCE COUNT'S

THERE ARE 15 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 5 OF 54
ACCESSION NUMBER:
DOCUMENT NUMBER:
1151:76778
Benzofuran derivatives with activity as serotonin reuptake inhibitors and 5-HT1A antagonists, and

INVENTOR(S):

use as antidepressants. He, John Xiaoqiang; Honigschmidt, Nicholas Allan; Kohn, Todd Jonathan; Rocco, Vincent Patrick;

Patrick Gianpietro: Takeuchi, Kumiko Eli Lilly and Company, USA PCT Int. Appl., 80 pp. CODEN: PIXXD2 Patent English 1

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

A1 20010628 PATENT NO. APPLICATION NO. DATE 046186 A1 20010628 WO 2000-US32425 20001206 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, WO 2001046186 CN, CR, CU, CZ, DE, DK, DM, D2, EE, ES, FI, GB, GD, GE, GH, GM, HR. HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY. DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF. BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG EP 1248786 A1 20021016 EP 2000-983784 20001206 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, FI, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.: US 1999-172742P P 19991220
OTHER SOURCE(S): MARPAT 135:76778

L10 ANSWER 5 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) (drug candidate; prepn. of benzofuran derivs. as serotonin

take inhibitors and 5-HTIA antagonists for use as antidepressants)
345995-17-7 CAPLUS
8-Azabicyclo[3.2.1]cot-2-ene-8-ethanol, .alpha.-{(4-benzofuranyioxy)methyl]-3-(4-methoxybenzofuranyioxy)methyll[-4-methoxybenzofuranyioxy)methyll[-4-methoxybenzofuranyioxy)methyll[-4-methoxybenzofuranyioxy]-3-(4-methoxybenzofuranyioxy)methyll[-4-methoxybenzofuranyioxy]-3-(4-methoxybenzofuranyioxy)methyll[-4-methoxybenzofuranyioxy]-3-(4-methoxybenzofuranyioxy)methyll[-4-methoxybenzofuranyioxy]-3-(4-methoxybenzofuranyioxy)methyll[-4-methoxybenzofuranyioxybenzofuranyioxybenzofuranyioxybenzofuranyioxybenzofuranyioxybenzofuranyioxybenzofuranyioxybenzofura

Absolute stereochemistry.

345995-18-8 CAPLUS 8-Azabicyclo[3.2.1]oct-2-ene-8-ethanol, .alpha.-[{4-benzofuranyloxy|methyl]-3-(4-methoxybenzo[b]thien-2-yl)-, (.alpha.5,15,58)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CRN 345995-17-7 CMF C27 H27 N O4 S

Absolute stereochemistry.

2

CRN 144-62-7 CMF C2 H2 04

L10 ANSWER 5 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

$$\underset{\mathbb{R}^{1}}{\overset{\mathbb{R}^{2}}{\underset{0}{\longrightarrow}}} \circ - (\operatorname{CH}_{2})_{\mathfrak{p}} \circ \overset{\overset{\mathsf{h}}{\longrightarrow}}{\underset{H}{\bigcap}} \circ (\operatorname{CH}_{2})_{\mathfrak{q}} \circ \underset{\mathbb{N}}{\overset{\mathsf{X}}{\longrightarrow}} \overset{\mathsf{X}}{\underset{\mathbb{R}^{1}}{\longrightarrow}}$$

The invention provides compds. of formula I (A = H, OH, alkoxy; B = (un)substituted benzothienyl, benzofuranyl, indolyl, benzothiazolyl, benzimidazolyl, benzoxazolyl, quinolinyl, phthalazinyl, naphthalenyl,

benzo[h]quinolinyl; X = H, OH, alkoxy, or is absent; R, Rl = H, F,

alkyl,

COM12 or (di)alkyl derivs., cyano, or Rl is absent; R2 = H, F, Cl, Br, iodo, OH, alkyl, or alkoxy; p = 0-4; q = 0-3] and their pharmaceutically
acceptable salts. The compds. are potent serotonin reuptake inhibitors

and antagonists of 5-HT1A receptors (no data). As such, they are expected

to be useful for treating depression, anxiety, and alleviating the symptoms caused by withdrawal or partial withdrawal from the use of tobacco or of nicotine. Three synthetic examples and several

precursor

prepns, are given. For instance, title compd. II (as the oxelate) was prepd. in 844 yield by reaction of endo-3-(4-methoxybenzolp) thiophen-2-yl)
-azabicyclo(3.2.1]octane (prepn. given) with (25)-4(glycidyloxy)benzofuran in refluxing MeOH.

IT 34595-17-TP 345995-12-9 345995-12-9P
345995-20-29 345995-12-9P 345995-22-4P
345995-20-29 345995-21-9P 345995-22-4P

345995-23-5P 345995-21-9P 345995-21-9P 345995-23-PP
345995-23-5P 345995-21-9P 345995-23-PP
345995-23-5P 345995-21-9P 345995-23-PP

RL: BAC (Biological activity or effector, except adverse); BSU

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use) ;

BIOL (Biological study); PREP (Preparation); USES (Uses)

L10 ANSWER 5 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

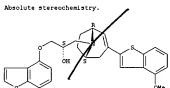
345995-19-9 CAPLUS 8-Azabicyclo[3.2.1] oct-2-ene-8-ethanol, .alpha.-[(4-benzofuranyloxy)methyl]-3-(4-methoxybenzo[b]thien-2-yl)-, (.alpha.5,1R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

345995-20-2 CAPLUS 8-Azabicyclo[3.2.1]oct-2-ene-8-ethanol, .alpha.-[{4-benzofuranyloxy)methyl]-3-(4-methoxybenzo[b]thien-2-yl)-, (.alpha.S,1R,5S)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 345995-19-9 CMF C27 H27 N O4 S



CRN 144-62-7 CMF C2 H2 O4

L10 ANSWER 5 OF 54 CAPLUS COPYRIGHT 2003 ACS

RN 345995-21-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-8-ethanol,
.alpha.-[(4-benzofurayloxy)methyl]-3(4-methoxybenzo[b]thien-2-yl]-, (.alpha.S,3-endo)- (9CI) (CA INDEX

Absolute stereochemistry. Rotation (+).

RN 345995-22-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-8-ethanol,
.alpha.-{(4-benzofurayloxy)methyl]-3(4-methoxybenzo[b]thien-2-yl)-, (.alpha.S,3-endo)-, ethanedicate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 345995-21-3 CMF C27 H29 N O4 S

Absolute stereochemistry. Rotation (+).

CM 2

CRN 144-62-7 CMF C2 H2 O4

L10 ANSWER 5 OF 54 CAPLUS COPYRIGHT 2003 ACS CMF C20 H29 N O3

Absolute stereochemistry. Rotation (-).

PAGE 1-A

PAGE 2-A

CM 2

REFERENCE COUNT: THIS

THERE ARE 4 CITED REFERENCES AVAILABLE FOR

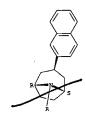
L10 ANSWER 5 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

HO-C-C-OH

RN 345995-23-5 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-8-ethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-3(2-naphthalenyl)-, (.alpha.5,3-exo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



PAGE 2-A

RN 345995-24-6 CAPLUS CN 8-Azabicyclo[3.2.1]octane-8-ethanol, .alpha.-[(4-benzofuranyloxy)methyl]-3-(2-naphthalenyl)-, (.alpha.S,3-exo)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 345995-23-5

L10 ANSWER 6 OF 54 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2001:472704 CAPLUS DOCUMENT NUMBER: 135:76799
TITLE: Piperidine derivatives

135:76/99
Piperidine derivatives with activity as serotonin reuptake inhibitors and 5-HTIA antagonists, and

their

use as antidepressants. He, John Xiaoqiang; Honigschmidt, Nicholas Allan; Kohn, Todd Jonathan; Rocco, Vincent Patrick; INVENTOR (S):

Spinazze,

Patrick Gianpietro, Takeuchi, Kumiko Eli Lilly and Co., USA PCT Int. Appl., 86 pp. CODEN: PIXXD2 PATENT ASSIGNER(S):

SOURCE:

DOCUMENT TYPE: Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

KIND DATE PATENT NO. APPLICATION NO. DATE W: 2001046179 A1 20010628 W0 2000-US32426 20001206 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG EP 1250336 A1 20021023 EP 2000-986241 20001206 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.: US 1999-172723P P 19991220
OTHER SOURCE(S): MARPAT 135:76799

MARPAT 135:76799

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L10 ANSWER 6 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

$$\mathbb{R}^{1} \xrightarrow{\mathbb{R}^{2}} 0 - (CH_{2})_{p} - \overset{h}{\overset{c}{\underset{f}{\vdash}}} (CH_{2})_{q} - \overset{(\mathbb{R}^{3})_{m}}{\overset{\chi}{\underset{g}{\vdash}}} X$$

AB The invention provides compds. of formula I [A = H, OH, alkoxy, B = (un)substituted benzothienyl, benzofuranyl, indolyl, benzothiazolyl, benzomazolyl, quinolinyl, phthalazinyl, naphthalenyl, or benzo[h]quinolinyl, X = H, OH, alkoxy, or is absent; Y = S, CH2; R1

= H, F, alkyl, CONH2 or (di)alkyl derivs., or cyanos R2 = H, F, Cl, Br,

iodo, OH, alkyl, or alkoxy; R3, R4 = H, alkyl; m, n = 0-2; p = 0-4; q = 0-3] and their pharmaceutically acceptable salts. The compds. are potent

reuptake inhibitors and antagonists of 5-HT1A receptors (no data).

such, they are expected to be useful for treating depression,

anxiety, and alleviating the symptoms caused by withdrawal or partial withdrawal from the use of tobacco or of nicotine. Four synthetic examples and

several precursor prepns. are given. For instance, title compd. II was predd. in

precursor prepns. are given. For instance, title compd. If was pred. in 55% yield by reaction of (S)-(+)-4-(oxiranylmethoxy)benzo(b]thiophene with the corresponding (methylbenzothienyl)piperidine in refluxing MeOH. IT 346424-82-9P 346424-83-PP 346424-88-PP 346424-88-9P 346424-88-PP 346424-8

#46424-88-2P
RAL: BAC (Biological activity or effector, except adverse); BSU
(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic

; BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; prepn. of piperidine derivs. as serotonin reuptake

L10 ANSWER 6 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

346424-85-9 CAPLUS
1-Fiperidineethanol, .arha.-[(benzo[b]thien-4-yloxy)methyl]-4-(3-ethylbenzo(b]thien-2-yl)-, (.alpha.S)-, ethanedioate (1:1) (salt) (9CI)

(CA INDEX NAME)

CM 1

CRN 346424-84-8 CMF C26 H29 N O2 S2

Absolute stereochemistry. Rotation (-).

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 346424-86-0 CAPLUS
CN 1(2H)-Pyridineethanol,
.alpha.-[(benzo[b]thin-4-yloxy)methyl]-4-(6-fluoro2-naphthalenyl)-3,6-dihydro-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L10 ANSWER 6 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
inhibitors and 5-HT1A antagonists for use as antidepressants)

RN 346424-82-6 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(benzo[b]thien-4-yloxy)methyl]-4-(3-methylbenzo[b]thien-2-yl)-, (.alpha.S)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

346424-83-7 CAPLUS
1-Piperidineethanol, .alpha.-[(benzo[b]thien-4-yloxy)methyl]-4-(3-methylbenzo[b]thien-2-yl)-, (.alpha.5)-, ethanedioste [1:1] (salt)

(CA INDEX NAME)

CH 1

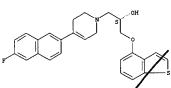
CRN 346424-82-6 CMF C25 H27 N O2 S2

Absolute stereochemistry. Rotation (-).

346424-84-8 CAPLUS
1-Fiperidineethanol, .alpha.-[(benzo(b)thien-4-yloxy)methyl]-4-(3-ethylbenzo(b)thien-2-yl)-, (.alpha.s)- (SCI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L10 ANSWER 6 OF 54 CAPLUS COPYRIGHT 2003 ACS



RN 346424-87-1 CAPLUS
CN 1(2H)-Pyridineethanol,
.alpha.-[(benzo[b]then-4-yloxy)methyl]-4-(6-fluoro.alpha-n(benzo[b]then-4-yloxy)methyl]-4-(6-fluoro.alpha-s)-(benzo[b]then-4-yloxy)methyll-4-(6-fluoro.alpha-s)-(benzo[b]then-4-yloxy)methyll-4-(6-fluoro.alpha-s)-(benzo[b]then-4-yloxy)methyll-4-(6-fluoro.alpha-s)-(benzo[b]then-4-yloxy)methyll-4-(6-fluoro.alpha-s)-(benzo[b]then-4-yloxy)methyll-4-(6-fluoro.alpha-s)-(benzo[b]then-4-yloxy)methyll-4-(6-fluoro.alpha-s)-(benzo[b]then-4-yloxy)methyll-4-(6-fluoro.alpha-s)-(benzo[b]then-4-yloxy)methyll-4-(6-fluoro.alpha-s)-(benzo[b]then-4-yloxy)methyll-4-(6-fluoro.alpha-s)-(benzo[b]then-4-yloxy)methyll-4-(6-fluoro.alpha-s)-(benzo[b]then-4-yloxy)methyll-4-(6-fluoro.alpha-s)-(benzo[b]then-4-yloxy)methyll-4-(6-fluoro.alpha-s)-(benzo[b]then-4-yloxy)methyll-

(CA INDEX NAME)

CM 1

CRN 346424-86-0 CMF C26 H24 F N O2 S

Absolute stereochemistry. Rotation (-).

CM 2

CRN 144-62-7 CMF C2 H2 O4

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RN 346424-88-2 CAPLUS CN 1-Piperidineethanol, .alpha.-[(benzo[b]thien-4-yloxy)methyl]-4-(6-fluoro-2-naphthalenyl)-, (.alpha.S)- (9C1) (CA INDEX NAME)

(Continued) LIO ANSWER 6 OF 54 CAPLUS COPYRIGHT 2003 ACS Absolute stereochemistry. Rotation (-).

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) CONHZ or (di)alkyl derivs., cyano, or R1 is absent; R2 = H, F, C1, L10 iodo, OH, alkyl, or alkoxy; R3, R4 = H, alkyl; m, n = 0-2; p = 0-4; 0-3] and their pharmaceutically acceptable salts. The compds. are potent nt serotonin reuptake inhibitors and antagonists of 5-HT1A receptors (no data). As such, they are expected to be useful for treating depression. assion, anxiety, and alleviating the symptoms caused by withdrawal or partial withdrawal from the use of tobacco or of nicotine. Approx. 35 synthetic netic examples and several precursor prepns. are given. For instance, diastereomeric title compds. II and III were prepd. in 38% yield each by by reaction of (.+-.)-cis-4-(6-methoxybenzo[b]thiophen-2-yl)-2-methylpiperidine (prepn. given) with (2S)-4-(glycidyloxy)benzofuran

RL: BAC (Biological activity or effector, except adverse); BSU

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic useli BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; prepn. of benzofuran derivs. as serotonin

reuptake take
inhibitors and 5-HT1A antagonists for use as antidepressants)
346695-31-6 CAPLUS
1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6methoxybenzo[b]thien-2-yl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2001:472702 CAPLUS DOCUMENT NUMBER: 135:76777

DOCUMENT NUMBER: TITLE: Benzofuran derivatives with activity as serotonin reuptake inhibitors and 5-HT1A antagonists, and

their

use as antidepressants. He, John Xiaoqiang: Honigschmidt, Nicholas Allan: Kohn, Todd Jonathan: Rocco, Vincent Patrick; INVENTOR(S):

Spinazze,

Patrick Gianpietro: Takeuchi, Kumiko Eli Lilly and Co., USA PCT Int. Appl., 138 pp. CODEN: PIXXD2 Patent

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

KIND DATE PATENT NO. WO 2001046177 Al 20010628 WO 2000-US32427 20001206 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN. CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR. HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT. LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU. SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN. YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY. DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF. BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1246822 A1 20021009 EP 2000-983785 20001206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT.

PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.: US 1999-172607P P 19991220
OTHER SOURCE(S): MARPAT 135:76777

MARPAT 135:76777 OTHER SOURCE(S):

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The invention provides compds. of formula I [A = H, OH, alkoxy; B = (un)substituted benzothienyl, benzofuranyl, indolyl, benzothiazolyl, benzimidazolyl, benzoxazolyl, quinolinyl, phthalazinyl, naphthalenyl,

benzo[h]quinolinyl; X = H, OH, alkoxy, or is absent; R, R1 = H, F, alkyl,

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) Absolute stereochemistry. Rotation (-).

346695-32-7 CAPLUS
1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-methoxybenzo[b]thien-2-yl)-, (.alpha.S)-, ethanedicate (1:1) (salt) (9CI)

(CA INDEX NAME)

1 CM

CRN 346695-31-6 CMF C25 H27 N O4 S

Absolute stereochemistry. Rotation (-).

346695-33-8 CAPLUS
1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.5,2R,4R)- (9CI) (CA TNDEX NAME)

Absolute stereochemistry. Rotation (-).

346695-34-9 CAPLUS
1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.5,25,45)- (9Cl) (CA NAME)

Absolute stereochemistry. Rotation (+).

346695-35-0 CAPLUS
1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-methoxybenzo[b]thien-2-yl]-2-methyl-, (.alpha.S,2S,4S)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 346695-34-9 CMF C26 H29 N O4 S

Absolute stereochemistry. Rotation (+).

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

CRN 144-62-7 CMF C2 H2 O4

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346695-39-4 CAPLUS
1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.5, 2R, 4S)- (9CI) (CA INDEX

NAME)

Absolute stereochemistry.

346695-40-7 CAPLUS | Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-methoxybenzo[b] thien-2-yl]-2-methyl-, (.alpha.S, 2R, 4S)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CRN 346695-39-4 CMF C26 H29 N O4 S

Absolute stereochemistry.

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

CRN 144-62-7 CMF C2 H2 O4

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RN 346695-37-2 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.5,25,4R)- (9CI) (CAINDEX NAME)

Absolute stereochemistry.

346695-38-3 CAPLUS
1-Fiperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-methoxybenzo[b]thien-2-yl]-2-methyl-, (.alpha.S,2S,4R)-, ethanedioate
(1:1) (Salt) (9CI) (CA INDEX NAME)

CM 1

Absolute stereochemistry.

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

CRN 144-62-7 CMF C2 H2 O4

HO-C-C-OH

346695-42-9 CAPLUS
1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-fluorobenzo[b]thien-2-yl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

346695-43-0 CAPLUS
1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-fluorobenzo[b]thien-2-yl)-, (.alpha.S)-, ethanedioate (1:1) (salt)

(CA INDEX NAME)

CM 1

CRN 346695-42-9 CMF C24 H24 F N O3 S

Absolute stereochemistry. Rotation (+).

CM 2

CRN 144-62-7

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) CMF C2 H2 O4

HO-C-C-OH

RN 346695-45-2 CAPLUS
(N 1-Fiperidineethanol, alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuramyl)oxy]methyl]-4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.S,2R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 346695-46-3 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzCuranyl)oxy]methyl]-4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.5,2R,4R)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

OM 1

CRN 346695-45-2 CMF C28 H35 N O4 S

Absolute stereochemistry. Rotation (-).

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

CRN 144-62-7 CMF C2 H2 O4

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RN 346695-51-0 CAPLUS
CN 1-Piperidineethanol, .alpha.-[{(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxymethyl]-4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.5,25,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 346695-52-1 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl) nsylmethyl]-4-(4-methoxybenzo[b] thien-2-yl)-2-methyl-, (.alpha.5,25,4R}-, ethanedioate (1:1) (salt) (9Cl) (CA INDEX NAME)

CM 1

CRN 346695-51-0

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) CM $$ 2

CRN 144-62-7 CMF C2 H2 O4

HO-C-C-OH

RN 346695-48-5 CAPLUS
CN 1-Piperidineethanol, alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)noy]methyl]-4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.S,2S,4S)- [9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 346695-49-6 CAPLUS
CN 1-Piperidineethanol, .alpha.-[([(2,3-dihydro-2,2-dimethyl-7-benzofuraryl)nymethyl]-4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-, (,alpha.5,25,45)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 346695-48-5 CMF C28 H35 N O4 S

Absolute stereochemistry. Rotation (+).

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) CMF C28 H35 N O4 S

Absolute stereochemistry.

CM 2

CRN 144-62-7

0 0 || || |- C- C- 0E

RN 346695-56-5 CAPLUS
CN 1-Piperidineethanol, alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-, (alpha.S, 2R, 4S)- (GCI) (CA INDEX NAME)

Absolute stereochemistry.

RN 346695-57-6 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(3-methylbenzo[b]thlen-2-yl)-, (.alpha.5)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 346695-58-7 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[[(2,3-dihydro-4-benzofuranyl)oxy]methyl]-4[(3-methylbenzo[b]thien-2-yl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 346695-59-8 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[[(2,3-dihydro-4-benzofuranyl)oxy]methyl]-4[(3-methylbenzo[b]thien-2-yl)-, (.alpha.5)-, ethanedioate (1:1) (salt)
(9CI) (CA INDEX NAME)

CM 1

CRN 346695-58-7 CMF C25 H29 N O3 S

Absolute stereochemistry. Rotation (-).

CM 2

CRN 144-62-7 CMF C2 H2 O4

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

CRN 144-62-7 CMF C2 H2 O4

346695-65-6 CAPLUS
1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-[3-[3-(dimethylamino)propyl]benzo[b]thien-2-yl]-, (.alpha.5)- (9CI) (CA

INDEX

NAME)

Absolute stereochemistry.

346695-67-8 CAPLUS
1-Piperidineethanol, .alpha.-[(7-benzofuranyloxy)methyl]-4-[3-[3-(dimethylamino)propyl]benzo[b]thien-2-yl]-, (.alpha.5)- (9CI) (CA

Absolute stereochemistry.

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

0 0 || || H0-C-C-OH

346695-61-2 CAPLUS
1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(3-ethylbenzo[b]thien-2-yl}-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 346695-62-3 CAPLUS CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-4-benzofuranyl)oxy]methyl]-4-(3-ethylbenzo[b]thien-2-yl)-, (.alpha.5)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 346695-64-5 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[[(2,3-dihydro-4-benzofuranyl)oxy]methyl]-4[3-[3-(dimethylamino)propyl]benzo[b]thien-2-yl]-, (.alpha.S)-,
ethanedicate (1:1) (salt) (9CI) (CA INDEX NAME)

CRN 346695-63-4 CMF C29 H38 N2 03 S

Absolute stereochemistry.

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 346695-68-9 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(7-benzofuranyloxy)methyl]-4-[3-[3| ddimethylaminolypropyl]benzo[b]thien-2-yl]-, (.alpha.S}-, ethanedioate
(1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 346695-67-8 CMF C29 H36 N2 O3 S

Absolute stereochemistry.

CM 2

но-с-с-он

RN 346695-69-0 CAPLUS
CN 1(2H)-Pyridineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-4-(6-fluoro-2naphthalenyl)-3,6-dihydro-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 346695-70-3 CAPLUS
CN 1(2H)-Pyridineethanol,
.alpha.-[([2,3-dihydro-4-benzofuranyl)oxy]methyl]-4(6-fluoro-2-naphthalenyl)-3,6-dihydro-, (.alpha.S)- (9CI) (CA INDEX NAME)

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) Absolute stereochemistry. Rotation (-).

RN 346695-71-4 CAPLUS
CN 1(2H)-Pyridinecthanol,
.alpha.-[((2,3-dihydro-4-benzofuranyl)oxy]methyl]-4(6-fluoro-2-naphthalenyl)-3,6-dihydro-, (.alpha.S)-, ethanedicate
(1:1) (salt) (9CI) (CA INDEX NAME)

CH 1

CRN 346695-70-3 CMF C26 H26 F N O3

Absolute stereochemistry. Rotation (-).

CM 2

CRN 144-62-7 CMF C2 H2 O4

HO-C-C-OH

RN 346695-72-5 CAPLUS CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-fluoro-2-

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) benzothiazolyl)-2-methyl-, (.alpha.S,2R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 346695-77-0 CAPLUS
CN 1-Piperidineethanol,
-alpha.=(4-benzofuramyloxy)methyl]-4-(4-fluoro-2-benzothiazolyl)-2-methyl-, (.alpha.S, ZR, 4R)-, ethanedioate (1:1)
(9CI) (CA INDEX NAME)

CM 1

CRN 346695-76-9 CMF C24 H25 F N2 O3 S

Absolute stereochemistry. Rotation (-).

2

CRN 144-62-7 CMF C2 H2 O4

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) naphthalenyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 346695-73-6 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[('7-benzofuranyloxy)methyl]-4-(6-fluoro-2naphthalenyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 346695-74-7 CAPLUS CN 1-Piperidineethanol, .alpha.-[(2,3-dibydco-4-benzofuranyl)oxy]methyl]-4-(6-fluoro-2-naphthalenyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 346695-76-9 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-4-(4-fluoro-2-

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

HO-C-C-OH

RN 346695-78-1 CAPLUS CN 1-Piperidineethanol, .alpha.-[(4-fluoro-2-benzothiazoly1)-2-methyl-, (.alpha.5,25,45)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 346695-79-2 CAPLUS
CN 1-Piperidineethanol,
.alpha.=[(4-benzofuranylosy)methyl]-4-(4-fluoro-2-benzothiazolyl)-2-methyl-, (.alpha.S,2S,4S)-, ethanedioate (1:1)

(salt) (9CI) (CA INDEX NAME)

CM 1

CRN 346695-78-1 CMF C24 H25 F N2 03 S

Absolute stereochemistry. Rotation (-).

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

0 0 || || HO-C-C-OH

RN 346695-83-8 CAPLUS
CN 1-piperidineethanol,
.alpha-[(4-fluoro-2-benzothiazolyl)-2-methyl]-4-(4-fluoro-2-benzothiazolyl)-2-methyl-, (.alpha.5,2R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 346695-84-9 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-4-(4-fluoro-2-benzothiazolyl)-2-methyl-, (.alpha.5,2R,4S)-, ethanedioate (1:1)

(salt) (CA INDEX NAME)

CM 1

CRN 346695-83-8 CMF C24 H25 F N2 O3 S

Absolute stereochemistry. Rotation (-).

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS

CRN 346695-85-0 CMF C24 H25 F N2 O3 S

Absolute stereochemistry. Rotation (-).

CM 2

но-С-С-он 0 0

RN 346695-87-2 CAPLUS
CN 1-Piperidineethanol,
.alpha-[(4-benzofuranyloxy)methyl]-2-methyl-4-(4methyl-2-benzothiazolyl)-, (.alpha.S, ZR, 4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 346695-88-3 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-2-methyl-4-(4-

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

HO-C-C-OH

RN 346695-85-0 CAPLUS CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(4-fluoro-2-benzothlazolyl)-2-methyl-, (.alpha.S,2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 346695-86-1 CAPLUS CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(4-fluoro-2-benzothiazolyl)-2-methyl-, (.alpha.S,2S,4R)-, ethanedioate (1:1) (3al) (9CI) (CA INDEX NAME)

CM 1

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) methyl-2-benzothiazolyl)-, (.alpha.S,2R,4R)-, ethanedioate (1:1)

(salt)
(9CI) (CA INDEX NAME)

CM 1

CRN 346695-87-2 CMF C25 H28 N2 O3 S

Absolute stereochemistry.

CM 2

но- c- c- он

RN 346695-89-4 CAPLUS CN 1-Piperidineethanol. .alpha-[(d-benzofuranyloxy)methyl]-2-methyl-4-(4-methyl-2-benzothiazolyl)-, (.alpha.S,2S,4S)- (9CI) (CA INDEX NAME)

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 346695-90-7 CAPLUS
CN 1-Piperidineethanol,
alpha-[(4-benzofuranyloxy)methyl]-2-methyl-4-(4methyl-2-benzothiazolyl)-, (.alpha.S,2S,4S)-, ethanedioate (1:1)
(9cl) (CA INDEX NAME)

CM 1

CRN 346695-89-4 CMF C25 H28 N2 O3 5

Absolute stereochemistry.

CM 2

346695-92-9 CAPLUS

(Continued) L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS

RN 346695-94-1 CAPLUS
CN 1-Piperidineethanol,
.alpha-('d-benzofuranyloxy)methyl]-2-methyl-4-(4methyl-2-benzothiazolyl)-, (.alpha.S,2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 346695-96-3 CAPLUS
CN 1-Piperidineethanol,
4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, (.alpha.S, 2R, 4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 346695-98-5 CAPLUS
CN 1-Piperidineethanol,
4-(4-methoxybenzo[b] bhien-2-yl)-2-methyl-.alpha.-[{(2-methyl-4-benzofuranyl) oxyjmethyl}-, (.slpha.S, 2R, 4R)-, ethanedioate
(1:1)
(salt) (9CI) (CA INDEX NAME)

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1-Piperidineethanol.
.alpha.-[(4-benzofuranyloxy)methyl]-2-methyl-4-(4methyl-2-benzothiazolyl)-, (.alpha.5,2R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 346695-93-0 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-2-methyl-4-{4methyl-2-benzofthiazolyl}-, (.alpha.S,2R,4S)-, ethanedioate (1:1)
(9c1) (CA INDEX NAME)

СМ 1

CRN 346695-92-9 CMF C25 H28 N2 O3 S

Absolute stereochemistry.

2

CRN 144-62-7 CMF C2 H2 O4

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 1

CRN 346695-96-3 CMF C27 H31 N O4 S

Absolute stereochemistry. Rotation (-).

CM 2

CRN 144-62-7 CMF C2 H2 O4

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RN 346696-00-2 CAPLUS
CN 1-Piperidineethanol,
-(4-methoxybenzolb)thien-2-yl)-2-methyl--alpha.-[[(2-methyl-4-benzofuranyl)oxyjmethyl]-, (.alpha.5,25,45)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 346696-02-4 CAPLUS
CN 1-Piperidinesthanol,
-(4-methoxybenzo(blthien-2-yl)-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, (.alpha.5,25,45)-, ethanedioate (1:1)

(salt) (9CI) (CA INDEX NAME)

CM 1

CRN 346696-00-2 CMF C27 H31 N O4 S

Absolute stereochemistry. Rotation (+).

2

CRN 144-62-7 CMF C2 H2 O4

RN 346696-03-5 CAPLUS CN 1-Piperidineethanol, 4-(4-methoxybenzo[b] thion-2-yl)-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl) oxy]methyl]-, (.alpha.5,25,4R)- (9CI) (CA

INDEX NAME)

Absolute stereochemistry. Rotation (-).

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1-Piperidineethanol,
4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-.alpha.-[[{2-methyl-4-benzofuranyl}oxy]methyl]-, (.alpha.5, 2R, 45)- [9CI] (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 346696-07-9 CAPLUS
CN 1-Piperidineethanol,
4-(4-methoxybenzo[b] thien-2-yl)-2-methyl-.alpha.-[[(24-methyl-4-benzofuranyl) oxy]methyl-, (.alpha.S, 2R, 4S)-, ethanedicate

(1:1)(salt) (9CI) (CA INDEX NAME)

CM 1

CRN 346696-06-8 CMF C27 H31 N O4 S

Absolute stereochemistry. Rotation (+).

2 CM

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 346696-05-7 CAPIUS
CN 1-Piperidineethanol,
4-(4-methoxybenzo[b] thien-2-yl)-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl) oxy]methyl]-, (.alpha.S, 2S, 4R)-, ethanedicate

(1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 346696-03-5 CMF C27 H31 N O4 S

Absolute stereochemistry. Rotation (-).

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 346696-06-8 CAPLUS

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 346696-08-0 CAPLUS
CN 1-Piperidineethanol,
4-(5-chlorobenzo[b]thien-2-y1)-2-methy1-.alpha.-[[(2-methy1-4-benzofurany1) oxy]methy1]-, (.alpha.S, ZR, 4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 346696-09-1 CAPLUS
CN 1-Piperidineethanol,
-(5-chlorobenzo[b]thien-2-yl)-2-methyl-.alpha.-[{(2-methyl-4-benzofuranyl) oxy]methyl]-, hydrochloride, (.alpha.S,2R,4R)-

(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

• HCl

RN 346696-10-4 CAPLUS
CN 1-Piperidineethanol,
-(5-chlorobenzo[b]thien-2-yl)-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, (.alpha.5,25,45)- (9CI) (CA INDEX NAME)

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.

RN 346696-12-6 CAPLUS
CN 1-Piperidineethanol,
-(5-chlorobenzo[b] thien-2-yl}-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, hydrochloride, (.alpha.S,2S,4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

• HCl

RN 346696-14-8 CAPLUS
CN 1-Piperidinesthanol,
4-(5-chlorobenzo(b)thien-2-yl)-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, (.alpha.S, 2S, 4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 346696-18-2 CAPLUS
CN 1-Piperidineethanol,
4-(5-chlorobenzo(b)thien-2-yl)-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, hydrochloride, (.alpha.S,2R,4S)-(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

• HC1

RN 346696-19-3 CAPLUS
CN 1-Piperidineethanol,
4-(4-methoxybenzo(b)thien-2-yl)-2,2-dimethyl-,alpha.[[(2-methyl-4-benzofuranyl)oxy]methyl]-, (.alpha.S,4S)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 346696-15-9 CAPLUS
CN 1-Piperidinethanol,
4-(5-chlorobenzo[b] thien-2-yl)-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, hydrochloride, (.alpha.5,25,4R)-(CA INDEX NAME)

Absolute stereochemistry.

• HCl

RN 346696-16-0 CAPLUS
CN 1-Piperidineethanol,
4-(5-chlorobenzo[b] thien-2-yl)-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl) oxy]methyl]-, (.alpha.S, 2R, 4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 346696-20-6 CAPLUS
CN 1-Piperidineethanol.
(4-methoxybenzo(b)thien-2-yl)-2,2-dimethyl-.alpha.[[(2-methyl-4-benzofuranyl)omy]methyl]-, hydrochloride, (.alpha.S,4S)[SCI) (CA INDEX NAME)

Absolute stereochemistry.

• HC1

RN 346696-21-7 CAPLUS
CN 1-Piperidineethanol,
4-(4-methoxybenzo[b]thien-2-yl)-2,2-dimethyl-.alpha.[[(2-methyl-4-benzofuranyl)oxy]methyl]-, (.slpha.S,4R)- (9CI) (CA
INDEX
NAME)

Absolute stereochemistry. Rotation (+).

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 346696-22-8 CAPLUS
CN 1-Piperidineethanol,
4-(4-methoxybenzo[b]thien-2-yl)-2,2-dimethyl-.alpha.[[(2-methyl-4-benzofuranyl)oxy]methyl]-, hydrochloride,
(.alpha.5,4R)[9CI] (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

• HCl

RN 346696-23-9 CAPLUS
CN 1-Piperidineethanol,
4-(4-hydroxybenzo[b]thien-2-yl)-2,2-dimethyl-.alpha.[[(2-methyl-4-benzofuranyl)oxy]methyl]-, (.alpha.S,4S)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry. Rotation (+).

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

CRN 144-62-7 CMF C2 H2 O4

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346698-29-1 CAPLUS
1-Fiperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl) oxylmethyl]-4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.5,2R,4S)-, ethanedioate (1:1) (5alt) (9CI) (CA INDEX NAME)

Absolute stereochemistry

2

CRN 144-62-7 CMF C2 H2 O4

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

(NAME)

Absolute stereochemistry. Rotation (+).

346698-28-0 CAPLUS
1-Fiperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.5,2R,4R)-, ethanedioate
(1:1) (palt) (9CI) (CA INDEX NAME)

CM 1

CRN 346695-33-8 CMF C26 H29 N O4 S

Absolute stereochemistry. Rotation (-).

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 346698-30-4 CAPLUS CN 1-Piperidineethanol, alpha-[(4-benzofuranyloxy)methyl]-2-methyl-4-(4-methyl-2-benzothiazolyl)-, (.alpha.S,25,4R)-, ethanedioate (1:1) (salt) (CA INDEX NAME) CM 1

CRN 346695-94-1 CMF C25 H28 N2 O3 S

Absolute stereochemistry.

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REFERENCE COUNT: THIS

THERE ARE 6 CITED REFERENCES AVAILABLE FOR RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

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DOCUMENT NUMBER:
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O ANSWER 8 OF 54 CAPLUS COPYRIGHT 2003 ACS
CCESSION NUMBER: 2001:468187 CAPLUS
CCHENT NUMBER: 135:66187
TLE: Hethod for inactivating non-enveloped viral
contaminants with a photosensitizer by increasing
viral permeability to the photosensitizer
VENTOR(S): Sowemino-Coker, Samuel O., Goodrich, Raymond P.,

INVENTOR (S): PATENT ASSIGNEE(S): SOURCE:

Baxter International, Inc., USA U.S., 39 pp., Cont.-in-part of U.S. 5,516,629. CODEN: USXXAH Patent

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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L10 ANSWER 9 OF 54 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2000:824240 CAPLUS DOCUMENT NUMBER: 134:4851

DOCUMENT NUMBER: 134:4851
TITLE: Preparation of
[(ureidobenzofuranyl)oxy] aminoalcohols as antiinflammatory agents
INVENTOR(S): Braunlich, Gabriele; Es-Sayed, Mazen; Fischer,
Rudiger; Fugmann, Burkhard; Henning, Rolf;

Stephan; Sperzel, Michael; Schlemmer, Karl-Heinz; Sturton, Graham; Fitzgerald, Mary; Briggs, Barbara;

Conception, Arnel; Bullock, William Bayer Aktiengesellschaft, Germany PCT Int. Appl., 52 pp. CODEN: PIXXD2 PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English

	ATENT NO.					DATE			A	PPLI	CATI	NO. DATE				
WC	2000069841								W	0 20	00-E	P401	5			
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,
,		CU,	cz,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,
		ID,	IL,	IN,	ıs,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,
		LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,
		SG,	sı,	sĸ,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	us,	UZ,	VN,	YU,
	RW:	ZW,				KG, MW,						zw,	ΑT,	BE,	CH,	CY,
		DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PΤ,	SE,	BF,	ВJ,
		CG,	CI,	CM,	GA,	GN,	G₩,	ML,	MR,	NE,	SN,	TD,	TG			
GB	2350	110		A	1	2000	1122		G.	B 19	99-1	1453		1999	0517	
HER S										999-	1145	3	A	1999	0517	

L10 ANSWER 8 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

US 1994-343680 AZ 19941122

US 1995-427080 A 19950421

US 1995-461626 A 19950705

US 1995-461626 A 19950705

OTHER SOURCE(S): MARPAT 135:66187

AB A method is presented for inactivating non-enveloped viruses that may be

contaminating a biol. soln. or suspension by mixing the soln. or suspension with a photosensitizer to form a mixt., adjusting the operating conditions of the mixt. so as to increase the permeability of the viruses

to the photosensitizer, and then irradiating the adjusted mixt. The invention relates to the general field of inactivation of viral and bacterial contamination of blood and blood products, ex vivo media used in

used in the prepn. of anti-viral vaccines, and cell culture media.

IT 345625-88-9

J45625-88-9
RL: THU (Therapeutic use), BIOL (Biological study), USES (Uses)
(photosensitizers for inactivation of viral contamination of blood
products and other biol. media)
345625-88-9 CAPLUS
Pyridinium, 1-[3-[(4-bromo-7-oxo-7H-furo[3,2-q][1]benzopyran-9yl)oxy]propyl]-4-(methoxycarbonyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: THIS

THERE ARE 13 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 9 OF 54 CAPLUS COPYRIGHT 2003 ACS

Title compds. [I: R = NR1C(:X)NR2R3: R1 = H, alkyl, alkoxycarbonyl, etc.;

,
R2,R3= H, alk(en)yl, alkoxycarbonyl, etc.; NR2R3 = heterocyclyl; R4 =
(hetero)aryl; R7 = OCH2CH(OH)CH2NR5R6; R5,R6 = H, alkyl,
(hetero)arylalkyl, etc.; R8 = H, halo, alkyl, alkoxy(carbonyl), etc.]

prepd. I, e.g. II, were prepd. by condensation of amines with I [R7 = (R) - 3)ycldyloxy). Data for biol. activity of I were given. 308243-73-49

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of [(ureidobenzofuranyl)oxy]aminoalcs. as antiinflammatory agents) 308243-73-4 CAPLUS

RN 308243-73-4 CAPLUS
CN Urea,
[2-(2,4-dichlorobenzoyl)-6-[(2S)-2-hydroxy-3-(1-piperidinyl)propoxy]3-benzofuranyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 9 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

(Continued) L10 ANSWER 10 OF 54 CAPLUS COPYRIGHT 2003 ACS

AB The title compds. I [T1 = (CH2)p; A is optionally substituted aryl or optionally substituted heteroaryl; X is O, S, NR (wherein R is lower alkyl), or a single bond; m is an integer of O to 4; n is an

integer
of 1 to 5; and p is an integer of 1 to 3] are prepd. I increased the
conen. of leptin in blood. The title compd. II.cntdot.HCl at 80

concn. of leptin in blood. The title comps. If characterist at w mg/kg/day s.c. for 7 days caused a 29% decrease of blood glucose in mice. Formulations are given. IT 281479-78-1P 287479-79-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic

(Biological study); PREF (Preparation); USES (Uses)
(prepn. of cyclic amine derivs. for the treatment of obesity and diabetes)

diabetes) 287479-78-1 CAPLUS Pyridine, 1-[3-(benzo[b]thien-5-yloxy)propyl]-1,2,3,6-tetrahydro-(9CI)

(CA INDEX NAME)

287479-79-2 287479-79-2 cylus Pyridine, 1-(Menzo[b]thien-5-yloxy)propyl]-1,2,3,6-tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)

THERE ARE 26 CITED REFERENCES AVAILABLE RECORD. ALL CITATIONS AVAILABLE IN THE RE

L10 ANSWER 10 OF 54 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2000:553551 CAPLUS DOCUMENT NUMBER: 133:150477 TITLE: Preparation of cyclic and the company of the company

133:150477
Preparation of cyclic amine derivatives for the treatment of obesity and diabetes Yano, Toshisada; Sakaguchi, Isako; Katsuura, Goro Shionogi and Co., Ltd., Vapan PCT Int. Appl., 55 pp. CODEN: PIXXD2
Patent INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Japanese

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2000046194 Al 20000810 WO 2000-JF445 20000128
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, sĸ, SL. TJ. TM. TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, ΑZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE. DK. ES. FI. FR. GB. GR. IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF. CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG 1151993 A1 20011107 EP 2000-901957 20000128 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, EP 1151993 PT.

IE, SI, LT, LV, FI, RO PRIORITY APPLN. INFO.: JP 1999-29435 WO 2000-JP445 MARPAT 133:150477

OTHER SOURCE(S):

L10 ANSWER 11 OF 54 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2000:84385 CAPLUS DOCUMENT NUMBER: 132:117558 PLUS COPYRIGHT 2003 ACS
2000:84385 CAPLUS
132:117559
Use of 5-HTlf receptor antagonists for treating anxiety disorders, compound preparation, and pharmaceutical compositions
Phebus, Lee Alans Sajdyk, Tammy Joy
Eli Lilly and Company, USA
Eur. Pat. Appl., 28 pp.
CODEN: EYXXUW
Patent

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PAT		KIND DATE					· A1	PPLI	CATI	ON NO	٥.						
	EP	976747			A.	2	20000202			E	P 19	99-31	0592:	3 1999072			5	
		EP 976747																
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	WO	2000	0060	82	A	2	2000	0210		W	0 19	99-U	5154	15	1999	3708		
	WO	2000	0060	82	A3 20000504													
		W:	AE.	AL,	AM,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CN,	CU,	CZ,	EE,	
GD,																		
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KΡ,	KR,	ΚZ,	
LC,																		
,			LK.	LR,	LS,	LT,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	RO,	
RU,																		
			SD,	SG.	SI,	SK,	SL,	TJ,	TM,	TR,	ΤŤ,	UA,	UG,	US,	UZ,	VN,	YU,	
ZA,																		
			ZW.	AM.	AZ.	BY.	, KG,	KZ,	MD,	RU,	TJ,	TM						
		PW:	GH.	GM.	KE.	LS	MW,	SD.	SL,	SZ,	UG,	ZW,	BF,	ВJ,	CF,	CG,	CI,	
CM.			,	,	,													
٠.,			GA.	GN.	GW.	ML.	MR,	NE.	SN,	TD,	TG							
	AII	9949	778		A	1	2000	0221		A	U 19	99-4	9778		1999	0708		
	DD	9913	310			•	2001	0612		B	R 19	99-1	3348		1999	0708		
	DI	2001	240				2001	0212		M	0 20	M1 - 3	on.		2001	0123		
	NO	2001	0003	90		•	2001	0013		110 1	000	0431	ΔD	ъ	1998	0727		
PRIC	DRIT	Y APP	LN.	INFO	. :										1000			

PRIORITY APPIN. INFO.:

OS 1998-94516475 W 19990708

AB A method is provided for the treatment of prevention of anxiety
disorders
which comprises administering to a mammal in need of such treatment a
serotonin 5-HTIF receptor antagonist. Prepn. of compds. of the

serotonin 5-HTIF receptor antagonist. Freph. Of Compus. Of the invention
is included, as are capsule and tablet formulations of a compd. of the invention, 1-{(25)-hydroxy-3-(naphth-2-yloxy)prop-1-yl]-4-hydroxy-4-(quinolin-3-yl)piperidine.

IT 256372-38-09 256373-19-09 256373-21-4P
256373-22-59 256373-24-79 256373-45-2P
256373-47-4P
RI: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); SFN (Synthetic preparation); THU (Therapeutic

(olutiogical study, unclassified), SPN (Synthetic preparation), THU (Therapeutic use),

L10 ANSWER 11 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) BIOL (Biological study); PREP (Preparation); USES (Uses) (5-HT1f receptor antagonists for treating anxiety disorders,

compd.
prepn., and pharmaceutical compns.)
RN 256372-38-0 CAPLUS
CN 4-Piperidinol,
1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]4-(3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 256373-19-0 CAPLUS CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-hydroxy-4-(3-quinolinyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

RN 256373-21-4 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-4-hydroxy-4-(3quinolinyl)-, (.alpha.S)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX
NAME)

CM 1

CRN 256373-19-0 CMF C25 H26 N2 O4

Absolute stereochemistry.

L10 ANSWER 11 OF 54 CAPLUS COPYRIGHT 2008 ACS (Continued)

CM 2

CRN 144-62-7 CMF C2 H2 O4

но- c- c- он

RN 256373-45-2 CAPLUS CN 1-Piperidineethanol, .alpha.-[(3-dibenzofuranyloxy)methyl]-4-hydroxy-4-(3-quinolinyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 256373-47-4 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(3-dibenzofuranyloxy)methyl]-4-hydroxy-4-(3quinollinyl)-, (.alpha.S)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 256373-45-2 CMF C29 H28 N2 O4

Absolute stereochemistry.

L10 ANSWER 11 OF 54 CAPLUS COPYRIGHT 2007 ACS

CM 2

но-с-с-он

RN 256373-22-5 CAPLUS CN 1-Piperidineethanol, .alpha.-{(benzo[b]thien-4-yloxy)methyl]-4-hydroxy-4-(3-quinolinyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 256373-24-7 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(benzo[b)thien-4-yloxy)methyl]-4-hydroxy-4(3-quinolinyl)-, (.alpha.5)-, ethanedioate (1:1) (salt) (9CI) (CA
INDEX
NAME)

CM 1

CRN 256373-22-5 CMF C25 H26 N2 03 S

Absolute stereochemistry.

L10 ANSWER 11 OF 54 CAPLUS COPYRIGHT 2003 ACS

но-с-с-он || || 0 о

L10 ANSWER 12 OF 54 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2000:84384 CAPLUS DOCUMENT NUMBER: 132:122526

DOCUMENT NUMBER: TITLE:

132:122526
Preparation of 1-[(hetero)aryloxypropyl]-4heteroarylpiperidines as 5-HT1F antagonists.
Koch, Daniel James: Phebus, Lee Alan: Rocco, INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

Patrick, Sajdyk, Tammy Joy Eli Lilly and Company, USA Eur. Pat. Appl., 33 pp. CODEN: EPXXDW

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

EP 976746 A1 20000202 EP 1999-305880 19990726
R: AT, BE, CH, DE, DX, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,

PT, IE, SI, LT, LV, FI, RO
US 6242450 B1 20010605 US 1999-335083 19990617
W0 2000006166 A1 20000210 W0 1999-US16317 19990719
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE,

GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO,

RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA,

ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, BF, BJ, CF, CG, CI,

OTHER SOURCE(S):

Title compds. [I; Arl = (substituted) Ph, naphthyl, quinolinyl, isoquinolinyl, indanyl, tetrahydronaphthyl, indolyl, benzothiazolyl,

atc.,

Ar2 = pyridin-3-yl, isoquinolin-4-yl, quinolin-3-yl,
quinoxalin-2-ylr R,
R1 = H, OH], were prepd. Thus, (S)-glycidyl naphth-2-yl ether and

L10 ANSWER 12 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) quinolinyl)-, (.alpha.S)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 256373-19-0 CMF C25 H26 N2 04

Absolute stereochemistry.

CM 2

CRN 144-62-7 CMF C2 H2 O4

256373-22-5 CAPLUS 1-Piperidineethanol, ha.-[(benzo[b]thien-4-yloxy)methyl]-4-hydroxy-4-(3-quinolinyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 256373-24-7 CAPLUS CN 1-Piperidipenth--CN 1-Piperidineethanol,
.alpha.-[(benzolb)thien-4-yloxy)methyl]-4-hydroxy-4[3-quinolinyl]-, (.alpha.5]-, ethanedioate (1:1) (salt) (9CI) (CA NAME)

L10 ANSWER 12 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
4-bydroxy-4-(quinolin-3-yl)piperidine reacted to give
1-[(25)-hydroxy-3(naphth-2-yloxy)prop-1-yl]-4-bydroxy-4-(quinolin-3-yl)piperidine. The
latter at 20 mg/kg in rats significantly increased social interaction
time. I formulations are given.
1 256372-28-0P 256373-19-0P 256373-21-4P
256373-22-5P 256373-24-7P 256373-45-2P
256373-47-4P
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic
use);
BIOL (Biological study); PREP (Preparation); NEWS (Massac)

use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-[(hetero)aryloxypropyl)-4-heteroarylpiperidines as
5-HTIF

5-HTIF
antagonists)

RN 256372-38-0 CAPLUS

CN 4-Piperidinol,
1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]4-(3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 256373-19-0 CAPLUS CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-hydroxy-4-(3-quinolinyl)-, (.alpha.S)- (9CI) (CA INDEX NAME) Absolute stereochemistry.

RN 256373-21-4 CAPLUS CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-hydroxy-4-(3-

L10 ANSWER 12 OF 54 CAPLUS COPYRIGHT 2003 ACS CRN 256373-22-5 CMF C25 H26 N2 03 S

CM 2

CRN 144-62-7 CMF C2 H2 O4

0 0 || || HO-C-C-OH

RN 256373-45-2 CAPLUS CN 1-Piperidineethanol, .alpha.-[(3-dibenzofuranyloxy)methyl]-4-hydroxy-4-(3-quinolinyl}-, (.alpha.5)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

256373-47-4 CAPLUS

CN 1-Piperidineethanol,
.alpha.-[(3-dibenzofuranyloxy)methyl]-4-hydroxy-4-(3.quinolinyl)-, (.alpha.S)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CRN 256373-45-2 CMF C29 H28 N2 O4

L10 ANSWER 12 OF 54 CAPLUS COPYRIGHT 2003 ACS Absolute stereochemistry.

CM 2 144-62-7 C2 H2 O4

REFERENCE COUNT: THIS

THERE ARE 1 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS

AB Title compds. (1) [where R1 and R2 = independently H or alkyl; X = 0 or S;
Y = H or CH; Z = H, halo, alkyl, alkoxy, NH2, NO2, CN, CF3, CO2R3, NHCOR3,
or SO2NR3R4; R3 = H or alkyl; R4 = alkyl or NR3R4 = heterocyclyl; A = CH,
COH, CCN, CCO2R3, COR4, or N(CH2)nAr'; n = 0 or 1; Ar' =
(un) substituted
(heterolaryl; B = CH2 or A-B = C:C; Ar = H, alkyl, Ph(alkyl),
(un) substituted biphenylyl or naphthyl], useful for treatment of central
nervous system and/or cardiovascular diseases, were prepd. For 2,3-dimethyl-2,3-dihydrobenzofuran-7-ol (prepn. given) was condensed with

epichlorohydrin to form the 2-oxiranylmethoxy deriv. (97%). The epoxide epoxide was then treated with 4-OH-4-(3-methoxyphenyl)piperidine in PrOH, followed

by addn. of EtOH/HCl to yield II (84.8%). The invention compds. considerable affinity to serotonin 5-HT1A receptors with Ki values

ranging from 0.7 to 20 nmole/1. Representative benzofurans displayed remarkable

remarkable cardioprotective and anxiolytic effects, some surpassing the activities of

ref. compds. 250288-98-3P 250288-99-4P 250289-03-3P 250289-09-9P 250289-11-3P 250289-15-7P 250289-18-0P 250289-23-7P 250289-25-9P 250289-92-0P 250289-93-1P 250289-94-2P

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1999:736700 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 131:351224 Enzofuran derivatives, them,

Benzofuran derivatives, process for preparing

pharmaceutical composition containing them as

5-HT1A

receptor ligands Agai, Bela: Reiter, Jozsef: Simig, Gyula: Rivo, INVENTOR (S):

Nagy, Zoltan Tamas: Ondi, Levente: Ivanics Megyeri, Katalin; Miklos Kovacs, Aniko; Nagy Gyonos,

Ildiko:

Kertesz, Szabolcs; Szenasi, Gabor; Schmidt, Eva; Pallagi, Katalin; Gacsalyi, Istvan; Gyertyan, Istvan:

Szabados, Tamas; Levay, Gyorgy; Egyed, Andras Egis Gyogyszergyar Rt., Hung. PCT Int. Appl., 154 pp. CODEN: PIXKD2

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: Patent English 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PAT	PATENT NO.				KIND DATE					PPLI	CATI	٥.	DATE					
		WO 9958527 WO 9958527								-									
	WO				A2 19991118					WO 1999-HU38						19990513			
	WO																		
		W:	AE.	AL.	AM.	AT.	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,		
CZ.																			
00,			DE.	DK.	EE.	ES.	FI,	GB.	GE.	GH.	GM.	HR.	ID.	ĮL,	IS.	JP,	KE,		
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		RW:	GH,	GM,	KE,	LS,	MW,	50,	21,	54,	uu,	Zw,	AI,	DE,	car,	CI,	DL,		
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CG,																			
			CI,	CM,	GΑ,	GN,	. G₩,			ΝE,	SN,	TD,	TG						
	CA	2332	275		A	A	1999					99-2			1999				
	ΑU	9940	529		A	.1				A	U 19	99-4	0529		1999	0513			
	ΑU	7537	06		В	2	2002												
	EP	1077					2001								1999				
		R:	AT.	BE.	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,		
PT.		-																	
,																			

IE, FI
JP 2002514643
PRIORITY APPLN. INFO.: 2 20020521 JP 2000-548331 19990513 HU 1998-1085 A 19980514 HU 1998-1086 A 19980514 WO 1999-HU38 W 19990513 CASREACT 131:351224; MARPAT 131:351224

OTHER SOURCE(S):

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
RI: BAC (Biological activity or effector, except adverse); BSU
(Biological)

RL: BAC (Biological activity or effector, except adverse;) 550
(Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (USES) (target compd.; prepn. of piperidinylpropoxy and piperazinylpropoxy benzofuran derivs, with cardioprotective and anxiolytic effects as .5-HTIA receptor ligands)
RN 250289-99-3 CAPLUS
CN Pyridine, 1-(3-((2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy)propyl]-1,2,3,6-tetrahydro-4-(4-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX

NAME)

10288 9-4 CAPLUS Pyridine, 1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-1,2,3,6-terahydro-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

250289-03-3 CAPLUS
1(2H)-Pyridineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-beazofuranyl)oxy]methyl]-3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-,hydrochloride (9CI) (CA INDEX NAME)

250289-09-9 CAPLUS
1-Piperidineethanol, 4-(4-chlorophenyl)-.alpha.-[{(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy- (9CI) (CA INDEX NAME)

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250289-11-3 CAPLUS 1-Piperidineethanol, .alpha.-{[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-(4-fluorophenyl)-4-hydroxy- (9CI) (CA INDEX NAME)

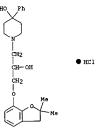
L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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250289-15-7 CAPLUS
1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-4-phenyl-, hydrochloride (9CI) (CA

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



250289-18-0 CAPLUS
1-Fiperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-(6-methoxy-2-naphthalenyl)-, hydrochloride
(9CI) (CA INDEX NAME)

250289-23-7 CAPLUS
1-Piperidineethanol, .alpha.-{[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-4-(4-methylphenyl)- (9CI) (CA INDEX NAME)

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25029-25-9 CAPLUS [1(2)-Pyridinethanol, .slpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-3,6-dihydro-4-(4-methoxyphenyl)- (9Cl) (CA INDEX NAME)

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 250289-93-1 CAPLUS CN 4-Piperidinol, 1-[3-{(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-4-[3-{trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

250289-94-2 CAPIUS
1(2H)-Pyridineethanol, 4-(4-chlorophenyl)-.alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-3,6-dihydro- (9Cl) (CA INDEX

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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250289-92-0 CAPLUS
Pyridine, 1-[3-[{2,3-dihydro-2,2-dimethyl-7-benzofuranyl}oxy]propyl]1,2,3,6-tetrahydro-4-[3-(trifluoromethyl)phenyl]- {9Cl} (CA INDEX

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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IT 250289-00-0P 250289-01-1P 250289-02-2P 250289-04-4P 250289-05-5P 250289-06-6P 250289-01-7P 250289-08-8P 250289-10-2P 250289-12-4P 250289-13-5P 250289-14-6P 250289-12-4P 250289-12-4P 250289-12-4P 250289-19-1P 250289-20-4P 250289-21-7P 250289-20-4P 250289-21-7P 250289-21-8P 250289-28-2P 250289-28-2P 250289-28-2P 250289-28-2P 250289-28-2P 250289-38-1P 250289-38-1P 250289-38-1P 250289-38-1P 250289-38-1P 250289-38-4P 250289-38-4P 250289-38-4P 250289-38-4P 250289-38-4P 250289-38-4P 250289-38-4P 250289-38-4P 250289-48-4P 250289-48-3P 250289-48-4P 250289-48-4P 250289-48-4P 250289-48-4P 250289-48-5P 250289-48-4P 25

L10 ANSWER 13 OF 54 CAPLUS COFYRIGHT 2003 ACS (Continued) study, unclassified); SPN (Synthetic preparation); THU (Therapeutic

DECOLUTION OF THE CONTINUE OF

250289-01-1 CAPLUS
Fyridine, 1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl}-1,2,3,6-ttrahydro-4-[3-(trifluoromethyl)phenyl]-, hydrochloride

(9CI) (CA INDEX NAME)

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS hydrochloride (9CI) (CA INDEX NAME)

RN 250289-05-5 CAPLUS
CN 1(2H)-Pyridineethanol, 4-(4-chlorophenyl)-.alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl)-3,6-dihydro-, hydrochloride
(SCI) (CA
INDEX NAME)

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS

• HC1

RN 250289-02-2 CAPLUS
CN 4-Piperidinol,
1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]4-[3-(trifluoromethyl)phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

• HCl

250289-04-4 CAPLUS 1-Piperidineethanol, .alpha.-[((2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy|methyl]-4-hydroxy-4-[3-(trifluoromethyl)phenyl]-,

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS

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• HC1

250289-06-6 CAPLUS
1(2H)-Pyridineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-3,6-dihydro-4-(2-thienyl)-, hydrochloride (9CI) (CA INDEX NAME)

RN 250289-07-7 CAPLUS
CN 1(2H)-Pyridineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy)methyl]-4-(4-fluorophenyl)-3,6-dihydro-,hydrochloride
(9CI) (CA INDEX NAME)

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L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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250289-12-4 CAPLUS
1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)owy]methyl]-4-(4-fluorophenyl)-4-hydroxy-, hydrochloride (9CI) (CA INDEX NAME)

• HCl

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

250289-08-8 CAPLUS
1(2H)-Pyridineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-3,6-dihydro-4-(phenylmethyl)-, hydrochloride
(SCI) (CA INDEX NAME)

RN 250289-10-2 CAPLUS
CN 1-Piperidineethanol, 4-(4-chlorophenyl)-.alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-, hydrochloride (9CI) (CA

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS

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• HCl

250289-13-5 CAPLUS
1(2H)-Pyridineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-3,6-dihydro-4-phenyl-, hydrochloride (9CI) INDEX NAME)

RN 250289-14-6 CAPLUS
CN 1-Piperidineethanol, .alpha.-{{(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy|methyl]- (9CI) (CA INDEX NAME)

CH2 CH-OH CH2

RN 250289-16-8 CAPLUS
CN 1-Piperidineethanol, .alpha.-{{(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy|methyl|-4-hydroxy-4-phenyl- (9CI) (CA INDEX NAME)

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 250289-20-4 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-bensofuranyl)oxy]methyl]-4-hydroxy-4-(3-methoxyphenyl)-,
hydrochloride
[(SCI) (CA INDEX NAME)

RN 250289-21-5 CAPLUS CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 250289-17-9 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-(2-methoxyphenyl)-, hydrochloride (9CI)
(CA

INDEX NAME)

RN 250289-19-1 CAPLUS
CN 1-Piperidineethanol, 4-(3-chlorophenyl)-.alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-, hydrochloride (9CI) (CA

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
benzofuranyl)oxy]methyl]-4-hydroxy-4-(4-methoxyphenyl)- (9CI) (CA
INDEX
NAME)

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Me

RN 250289-22-6 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl)-4-(5-fluoro-2-methoxyphenyl)-4-hydroxy-,hydrochloride (9C1) (CA INDEX NAME)

250289-24-8 CAPLUS
1-Piperidineethanol, .alpha.-[{(2,3-dihydro-2,2-dimethyl-7-beacofuranyl)oxy]methyl]-4-hydroxy-4-(4-methylphenyl)-, hydrochloride
(SCI) (CA INDEX NAME)

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(Continued) L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS

250289-28-2 CAPLUS
1-Plperidineethanol..alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-4-(4-methoxy-3,5-dimethylphenyl)-,hydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

250289-26-0 CAPLUS
1(2H)-Pyridineethanol, .alpha.-{{(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl}-3,6-dihydro-4-(4-methoxyphenyl)-,cochloride
(9CI) (CA INDEX NAME)

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L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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• HCl

RN 250289-29-3 'CAPLUS
CN 1-Piperidineethanol,
4-(1,3-benzodioxol-5-yl)-,alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy- (9CI) (CA INDEX NAME)

250289-30-6 CAPLUS 1-Piperidineethanol, .alpha.-[[{2,3-dihydro-2,2-dimethyl-7-

benzofuranyl) oxy]methyl]-4-hydroxy-4-[4-[(2-methyl-2-propenyl)oxy]phenyl]-(9CI) (CA INDEX NAME)

(Continued)

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• HC1

250289-32-8 CAPLUS 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-4-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

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FN 250289-31-7 CAPLUS
CN 1-Piperidineethanol,
-{[1,1'-b]phenyl]-4-yl-alpha.-{[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-, hydrochloride (9CI)

INDEX NAME)

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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RN 250289-33-9 CAPLUS CN 1-Piperidineethanol, 4-[4-chloro-3-(trifluoromethyl)phenyl]-.alpha.-[{{2,3-dihydro-2,2-dimethyl-7-benzofuranyl}oxy]methyl]-4-hydroxy-, hydrochloride [9CI] (CA INDEX NAME)

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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250289-34-0 CAPLUS
4-Piperidinecarbonitrile, 1-[3-[{2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]-2-hydroxypropyl]-4-phenyl-, monohydrochloride (9CI) (CA

INDEX NAME)

250289-35-1 CAPLUS
4-Piperidinecatbonitrile, 1-{3-{{2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy}-2-hydroxypropyl}-4-{3-{trifluoromethyl)phenyl}-, monohydrochloride (9CI) (CA INDEX NAME)

RN 250289-36-2 CAPLUS
CN 1-Piperidineethanol, .alpha.-[{{5-bromo-2,3-dihydro-2,2-dimethyl-7-benzofuranyl}oxy]methyl}-4-hydroxy-4-(4-methoxyphenyl)-,
hydrochloride
{9CI} (CA INDEX NAME)

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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• HCl 250289-38-4 CAPLUS
1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-5-nitro-7-benzofuranyl)oxy]methyl]-4-hydroxy-4-(4-methoxyphenyl)- (9CI) (CA

INDEX NAME)

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• HCl

250289-37-3 CAPLUS 1-Piperidineethanol, .alpha.-[[(5-bromo-2,3-dihydro-2,2-dimethyl-7-

benzofuranyl)oxy]methyl]-4-[4-chloro-3-(trifluoromethyl)phenyl]-4-hydroxy-, hydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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250289-39-5 CAPLUS
1(2H)-Pyridineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-5-nitro-7-benzofurnyl)oxy)methyl]-3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-,monohydrochloride (9Cl) (CA INDEX NAME)

EN 250289-41-9 CAPLUS
CN 1(2H)-Pyridineethanol, .alpha.-[{(2,3-dihydro-2,2-dimethyl-7-benzofuranyl).oxy]methyl]-3,6-dihydro-4-(6-methoxy-2-naphthalenyl)-,hydrochloride (9CI) (CA INDEX NAME)

RN 250289-43-1 CAPLUS
(12H)-Pyridineethanol, .alpha.-[[(5-bromo-2,3-dihydro-2,2-dimethyl-7-benz0furanyl)oxy]methyl]-3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-,hydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) benzofuranyl) cmy] methyl]-4-hydroxy-, hydrochloride (9C1) (CA INDEX NAME)

RN 250289-46-4 CAPLUS
CN 1-Piperidineethanol,.alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-methyl-, hydrochloride (9CI) (CA INDEX NAME)

RN 250289-47-5 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-4-benzofuranyl)oxy]methyl]-4-hydroxy-4-[4-(trifluoromethyl)phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 250289-44-2 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxylmethyl]-4-[3-(trifluoromethyl)phenyl]-, hydrochloride
(9CI) (CA INDEX NAME)

RN 250289-45-3 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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• HC1

RN 250289-48-6 CAPLUS
1-Piperidineethanol, .slpha.-[[(2,3-dihydro-2,2-dimethyl-4-benzofuranyl)oxy]methyl]-4-hydroxy-4-(6-methoxy-2-naphthalenyl)-, hydrochloride (SCI) (CA INDEX NAME)

RN 250289-49-7 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[{2,3-dihydro-2,2-dimethyl-5-benzofuranyl)oxy]methyl]-4-hydroxy-4-[4-(trifluoromethyl)phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 250289-50-0 CAPLUS
CN 1-Piperidineethanol, alpha.-[[{2,3-dihydro-2,2-dimethyl-6-benzofuranyl)oxy]methyl]-4-hydroxy-4-phenyl-, hydrochloride (9CI)

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued

RN 250289-76-0 CAPLUS CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-4-[3-(trifluoromethyl)phenyl]-(SCI)

(CA INDEX NAME)

RN 250289-77-1 CAPLUS CN 1-Piperidineethanol, 4-(3-chlorophenyl)-.alpha.-{[(2,3-dihydro-2,2L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

HC1

RN 250289-51-1 CAPLUS
CN 1-Piperidineethanol, .alpha.-{{(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy|methyl]-4-methoxy-4-{3-(trifluoromethyl)phenyl}-, hydrochloride (9CI) (CA INDEX NAME)

RN 250289-75-9 CAPLUS
CN 1(2H)-Pyridineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-3,6-dihydro-4-[3-{trifluoromethyl}phenyl]-

(9CI) (CA INDEX NAME)

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) dimethyl-7-benzofuranyl)oxylmethyl)-4-hydroxy- (9CI) (CA INDEX NAME)

RN 250289-78-2 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)cxy]methyl]-4-hydroxy-4-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) 250289-79-3 CAPLUS 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA

F30

250289-81-7 CAPLUS
4-Piperidinecarbonitrile, 1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]-2-hydroxypropyl]-4-phenyl- (9CI) (CA INDEX NAME)

250289-82-8 CAPLUS

L10 ANSWER 14 OF 54 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1999:680122 CAPLUS DOCUMENT NUMBER: 131:310553

Preparation of piperidines derivatives as

TITLE: selective M3

muscarinic receptor antagonists
Taguchi, Minoru, Kondo, Kazuyuki; Ota, Tomoki;
Tomisawa, Kazuyuki
Taisho Pharmaceutical Co., Ltd., Japan
Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JXCKAF
Patent INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

KIND DATE PATENT NO. 19991026 JP 1999-8959 JP 1998-31050 JP 1998-31051 MARPAT 131:310553 APPLICATION NO. DATE 19990118 JP 11292845 PRIORITY APPLN. INFO.: A2 19980213 19980213 OTHER SOURCE(S):

(CH2) nWR1

AB Title compds. I [R = H; Rl = (methylenedioxy)phenyl,
 (ethylenedioxy)phenyl, 2,3-dihydrobenzofuranyl, indanyl; R2 = Ph,
 cycloalkyl; R3 = H, OH; RR3 = bond; W = O, S] and their
pharmaceutically
 acceptable salts, useful as selective M3 muscarinic receptor
antagonists,
 are prepd. Thus, reaction of 3,4-(ethylenedioxy)thiophenol with Me
 bromoacetate in DMF in the presence of K2CO3 gave Me 3,4 (ethylenedioxy)phenylthioacetate, hydrolysis of which followed by
 condensation with .alpha.,.alpha.-diphenyl-4-piperidinemethanol and
redn.

gave 4-(diphenylhydroxymethyl)-1-[2-[3,4-(ethylenedioxy)phenylthio]ethyl]p iperidine (II). In an in vitro study, II had a Ki value of 4.5 nM against

muscarinic M3 receptor. 247228-04-2P 247228-14-4P RL: BAC (Biological activity or effector, except adverse); BSU ΙT (Biological

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1-Piperidineethanol, .alpha.-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-4-(6-methoxy-2-naphthalenyl)- (9C1)
(CA INDEX NAME)

L10 ANSWER 14 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) study, unclassified); SPN (Synthetic preparation); THU (Therapeutic

BIOL (Biological study), PREP (Preparation), USES (Uses)
(prepn. of piperidines derivs. as selective M3 muscarinic receptor antagonists)
247228-04-2 CAPIUS
4-Piperidinemethanol, 1-[3-[42,3-dihydro-5-benzofuranyl)oxy]propyl]-alpha.,alpha.diphenyl- (SCI) (CA INDEX NAME)

247228-14-4 CAPLUS
4-Fiperidinemethanol, 1-[3-[(2,3-dihydro-5-benzofuranyl)oxy]propyl]-alpha., alpha.-diphenyl-, hydrochloride (SCI) (CA INDEX NAME)

• HC1

L10 ANSWER 15 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:4305620 CAPLUS
DOCUMENT NUMBER: 131:73505
TITLE: 5ynthesis, DNA binding and antiviral activity of psoralens
INVENTOR(S): Platz, Matthew S.; Chen, Tongqian; Kagan, Shashi

Pereira, Helena M.
The Ohio State Research Foundation, USA
U.S., 9 pp.
CODEN: USXXXM
Patent
English
2

PATENT ASSIGNEE(S):

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. DATE US 5919935
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
GI US 1997-975753 19971121 1996-33088P P 19961122 A 19990706 US 1996-33088P MARPAT 131:73505

RL: BAC (Biological activity or effector, except adverse); BSU

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic

| BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis, DNA binding and antiviral activity of psoralen
photosensitizers)
228703-17-1 CAPLUS
Pyridinium, 1-[3-[(4-bromo-7-oxo-7H-furo[3,2-9][1]benzopyran-9yl)oxy]propyl]-4-(methoxycarbonyl)-, bromide (9CI) (CA INDEX NAME)

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1999:113663 CAPLUS DOCUMENT NUMBER: 130:182349 Preparation

Preparation of O-substituted hydroxycumaranon derivatives as antitumor and antimetastatic

agents INVENTOR(S): Koenig, De Cillis, Gianpiero: Di Domenico, Roberto:

Bernhard; Oliva, Ambrogio F. Hoffmann-La Roche Ag, Switz. PCT Int. Appl., 31 pp. CODEN: PIXXD2 Patent PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE A2 19990211 A3 19990422 WO 9906387 WO 1998-EP4619 19980723 WO 9906387 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE. DK. EE. ES. FI. GB. GE. GH. GM. HR. HU. ID. IL. IS. JP. KE. KG. KP. KR. KZ. LC. LK. LR. LS. LT. LU. LV. MD. MG. MK. MN. MW. MX. NO. NZ. PL. PT. RO. RU. SD. SE, SG. SI, SK, SL, TJ, TM, TR. TT. UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES. FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI. CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 9891559 A1 19990222 AU 1998-91559 19980723
AU 745839 B2 20020411
EP 1012147 A2 20000628 EP 1998-943771 19980723
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, 1E, SI, LT, LV, FI, RO
559 A 20000919
512113 T2 20010821
969 B1 20011225
969 B1 20010313
000366 A 20000125 IE, SI, L'
BR 9811589
JP 2001512113
JF 3241711
US 6200989
NO 2000000366
PRIORITY APPLN. INFO.: BR 1998-11589 JP 2000-505146 US 1999-401403 NO 2000-366 1997-113190 A 1998-106946 A 1998-121458 B 1998-EP4619 W 103 19990922 20000125 A 19970731 A 19980416 B1 19980723 W 19980723

OTHER SOURCE(S): MARPAT 130:182349

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

L10 ANSWER 15 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

REFERENCE COUNT: THIS

THERE ARE 7 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

The title compds. [I; R, Rl = H, Cl-6 alkyl, styryl, C3-6 cycloalkyl;

taken together with the carbon to which they are linked = C3-6

cycloalkyl, x = 0-1; A = (CH2) n, CH2CH:CHCH2, CH2CH:CHCH2, etc.; n = 2-6; B = II-IV, etc.; T = CH2C.tplbond.CH, C.tplbond.CH, CH:CHR3, etc.; R3 = (un)substituted Ph, naphthyl, biphenyl] which possess uPA

(unchinase-type plasminogen activator) antagonist activity and can be useful as antitumor

and/or antimetastatic agents, were prepd. E.g., the title compd. V showed

and/or antimetastatic agents, were preped CSO of > 0.01 .mu.g/mL. see260-97-1P 202685-22-8P 220585-24-0P 220585-24-0P 220585-30-8P 220585-34-2P 220585-34-8P 220585-35-8P 220585-35-3P 220585-39-3P 220586-39-3P 2205

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic

BIOL (Biological study); PRBP (Preparation); USES (Uses)
(prepn. of 0-substituted hydroxycumaranone derivs. as antitumor and antimetastatic agents)
80280-97-1 CAPUS
Benzamide, N-[1-[3-[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofurany1]oxy)propy1]-4-piperidiny1]-4-fluoro- (9CI) (CA INDEX

PAGE 2-A

RN 220585-21-7 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-[1-methylethylidene]-3-oxo-4-benzofuranyl]oxy]propyl}-4-piperidinyl]-4-methyl- (9CI) (CA INDEX NAME)

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 220585-24-0 CAPLUS
CN Benzamide, N-[1-[3-[(2,3-dihydro-3-oxo-2-(1-propylbutylidene)-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-fluoro- (9C1) (CA INDEX

PAGE 1

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 220585-22-8 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

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L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued

PAGE 2-A

RN 220585-30-8 CAPLUS
CN Acetamide, N-[1-{3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

NHAC

RN 220585-34-2 CAPLUS
CN Heptanamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 220585-36-4 CAPLUS
CN Benzamide, N-[1-[3-[(2-cyclopentylidene-2,3-dihydro-3-oxo-4-benzofuranyl)oxy]propyl]-4-piperidinyl]-4-fluoro- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 220585-39-7 CAPLUS
CN Cyclohexanecarboxamide,
N-[1-{3-{(2,3-di),wdro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl}-4-piperidinyl]- (SCI) (CA INDEX NAME)

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) 1NDEX NAME)

PAGE 2-A

RN 220585-45-5 CAPLUS
CN 2-Naphthalenecarboxamide,
N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3cxc-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

NAME)

RN 220585-41-1 CAPLUS
CN 3-Pyridinecarboxamide,
N-[1-[3-[(2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

220585-43-3 CAPLUS
Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl)oxy)propyl]-4-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

220585-47-7 CAPLUS
Benzamide, N-[1-[3-{[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-3,4,5-trimethoxy- (9CI) (CA INDEX

PAGE 1-A

RN 220585-49-9 CAPLUS
CN Benzamide,
4-bromo-N-[1-[3-{[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl}oxy)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

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220585-51-3 CAPLUS
Acetamide, N-[1-[3-{[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl}oxy]propyl]-4-piperidinyl}-2-phenoxy- (9CI) (CA INDEX

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A

RN 220585-54-6 CAPLUS
CN Benzamide,
4-cyano-N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl}- (9CI) (CA INDEX NAME)

PAGE 1-A

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RN 220585-55-7 CAPLUS
CN HH-Indole-3-acetamide,
N-[1-[3-[12,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

220585-53-5 CAPLUS
Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-methoxy- [9CI] (CA INDEX NAME)

PAGE 1-A

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 220585-56-8 CAPLUS
CN Benzeneacetamide,
N-[1-[3-[12,3-dihydro-2-(1-methylethylidene)-3-oxo-4benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 220585-57-9 CAPLUS
CN 2-Thiophenecarboxamide,
N-[1-[3-[12,3-dihydro-2-(1-methylethylidene)-3-oxo4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

220585-58-0 CAPLUS
Benzamide, N-[2-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]ethyl]-4-fluoro- (9CI) (CA INDEX NAME)

PAGE 1-A

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

220585-63-7 CAPLUS

Benzamide, N-[1-[3-[{2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-nitro- (9CI) (CA INDEX

PAGE 1-A

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RN 220585-64-8 CAPLUS
CN Benzamide,
2,5-dichloro-N-[1-(3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A

220585-61-5 CAPLUS
Benzamide, N-[[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)

PAGE 1-A

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L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

220585-65-9 CAPLUS Benzamide, N-[1-[3-[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A

RN 220585-66-0 CAPLUS CN Benzamide, 4-chloro-N-[1-{3-{[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]cxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

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220585-69-3 CAPLUS Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A

RN 220585-72-8 CAPLUS
CN 1-Naphthalenecarboxamide,
N-[1-[3-[(2,3-dihydro-2-(1-methylethylidene)-3oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 220585-76-2 CAPLUS
CN Benzamide,
3,4-dichloro-N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) benzofuranyl]oxy]-2-hydroxypropyl]-4-piperidinyl]-4-fluoro-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

RN 220585-70-6 CAPLUS
CN Benzamide,
4-(acetylamino)-N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl)- (9CI) (CA INDEX NAME)

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L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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RN 220585-80-8 CAPLUS
CN Benzamide,
3,5-dichloro-N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

220585-81-9 CAPLUS Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene]-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-3,5-bis(trifluoromethyl)-(9CI)

(CA INDEX NAME)

220585-82-0 CAPLUS Benzenepropanamide, .alpha.-amino-N-[1-[3-[[2,3-dihydro-2-(1-

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A

RN 220585-86-4 CAPLUS
CN Benzamide,
3-cyano-N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxylpropyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

220585-90-0 CAPLUS Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-(dimethylamino)- (9CI) (CA INDEX NAME)

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
methylethylidene)-3-oxo-4-benzofuranyl]oxy)propyl]-4-piperidinyl]-,
dihydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

220585-85-3 CAPLUS Benzoic acid, 4-[[[1-(3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxylpropyl]-4-piperidinyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

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RN 220585-91-1 CAPLUS CN Benzamide, 2-bromo-N-[1-[3-{[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 220585-92-2 CAPLUS
CN Benzamide,
3-chloro-N-[1-[3-[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-fluoro-(9CI) (CA INDEX NAME)

PAGE 1-A

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-2,3,4-trifluoro- (9CI) (CA INDEX NAME)

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220585-96-6 CAPLUS
Benzamide, 3-{aminosulfonyl}-4-chloro-N-{1-{3-[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy}propyl}-4-piperidinyl}-

(9CI) (CA INDEX NAME) L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A

220585-94-4 CAPLUS
Benzamide, N-[1-[3-[(2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl)oxy]propyl]-4-piperidinyl]-3,4-difluoro-(9CI) (CA INDEX NAME)

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RN 220585-95-5 CAPLUS

L10 ANSWER 16 OF \$4 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

PAGE 2-A

RN 220585-97-7 CAPLUS
CN Benzamide,
3-bromo-N-[1-[3-[(2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-fluoro-(9CI) (CA INDEX NAME)

PAGE 1-A

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RN 220586-00-5 CAPLUS
CN 1,3-Benzenedicarboxamide,
N-[1-[3-[2,3-dihydro-2-(1-methylethylidene)-3oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

PAGE 1-A

RN 220586-03-8 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-2,4,5-trifluoro- (9CI) (CA INDEX NAME)

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

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RN 220586-35-6 CAPLUS
CN Benzamids, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-fluoro-, monohydrochloride
(9CI)

(CA INDEX NAME)

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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• HC1

L10 ANSWER 17 OF 54 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1998:603741 CAPLUS DOCUMENT NUMBER: 129:275616

Linkage length dependence of intramolecular photoinduced electron transfer reactions in TITLE: aromatic

donor-viologen acceptor molecules linked by polymethylene bridges Park, Joon Woo; Lee, Bi Ah; Lee, Soo Yeon Department of Chemistry, Ewha Womans University, Seoul, 120-750, S. Korea Journal of Physical Chemistry B (1998), 102(42), 8209-8215 CODEN: JPCRFK, Tech. 200 AUTHOR(S): CORPORATE SOURCE:

SOURCE:

8209-8215 CODEN: JPCBFK; ISSN: 1089-5647 American Chemical Society

PUBLISHER: DOCUMENT TYPE: LANGUAGE: Journal English

R { CH2}

Intramol. charge-transfer (CT) complexation and photoinduced electron-transfer reactions in arom. donor-viologen acceptor dyad AB

systems linked by polymethylene bridges (I) R = 1-naphthoxy, n = 3, 6, 8,

10; R 2-naphthoxy, n = 3-10, 12; R = 2-dibenzofuryloxy, n = 3, 6, 8, 10)

were studied. The formation consts. of the intramol. CT complexes (Kint)

were detd. from the absorbance of CT absorption using the absorptivities

of the complexes detd. from the intermol. complexation between the model donor

compds., the 1-(aryloxy)-3-aminopropanes, and di-Me viologen. The Kint

values depend little on the length of the linkage and are about 0.2 for

1-naphthol and 2-naphthol derivs., and 0.6 for dibenzofurancyl

Addn. of .beta.-cyclodextrin (.beta.-CD) disrupts the formation of

intramol. CT complexes. The 1:1 assocn. consts. of the dyad mols.

with
.beta.-CD (KCD) were estd. from the dependence of the CT absorption
on the
.beta.-CD concn. Complexation of the dyad mols. with .beta.-CD or
methylated .beta.-CD (Me-.beta.-CD) also enhances the fluorescence
intensity of the excited-state arom. donors. The 1:1 complexes
further

further assoc. with CD mols., resulting in further enhancement of fluorescence

Platz, Matthew S.; Chen, Tongqian; Kagan, Sashi

L10 ANSWER 18 OF 54 CAPLUS COFYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:352841 CAPLUS
DOCUMENT NUMBER: 129:41070
TITLE: Psoralen sensitizers for INVENTOR(S): Platz, Matthew 6 Pereira, Helena M.
Ohio State Research Foundation, USA
PCT Int. Appl., 25 pp.
CODEN: PIXXD2
Patent
Eglish
2

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WD 9822468 A1 19980528 WO 1997-US21535 19971121
W: AU, CA, JP
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

PT, SE AU 9854561

1 19980610 AU 1998-54561 19971121 US 1996-33088P P 19961122 WO 1997-US21535 W 19971121 CASREACT 129:41070, MARPAT 129:41070 A1 19980610 PRIORITY APPLN. INFO.:

OTHER SOURCE(S):

The title compds. (I: W is a quaternary ammonium group which

ises a central nitrogen atom, a linking group L, and an arom. ring structure

joins the central nitrogen atom of the quaternary ammonium group to

psoralen moiety) are prepd. I are useful for inactivating vital contaminants in blood-derived products, particularly blood-derived products that contain platelets or red blood cells. Thus, 5-bromo-8-(3-diethylaminopropyloxy)psoralen (prepa. given) was

reacted with cinnamyl bromide in the presence of K2CO3 to give 57% I [W = C6H5CH:CHCH2NEt2(CH2)3O] bromide, which showed KDNA of 3.2 X 103

uc-1 when
tested with calf thymus DNA.
IT 20239-5-9-P RL: BAC (Biological activity or effector, except adverse); BSU (Biological

tudy, unclassified); SFN (Synthetic preparation); THU (Therapeutic use);

L10 ANSWER 17 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) intensity. This was attributed to the extension of the dy of the dyad mols. in

CD complexes. The electron-transfer quenching rate consts. in the CD complexes formed in the presence of 150 mM Me-.beta.-CD were calcd.

fluorescence-lifetime data, and varied exponentially with n. The

fluorescence-lifetime data, and varies exponents...

beta. value is 0.86 .ANG.-1 (1.09/C-C bond), regardless of the
nature of
donor moieties. The distance dependence of reorganization energies
(.lambda.) of the CD complexes was evaluated. Comparing the .lambda.
value with .DELTA.G.degree. of the reaction, it appears that the
reactions
stay near the top of the Marcus curve. Comparison of the effects of
Me-.beta.-CD on steady-state fluorescence intensity and excited-state
lifetime indicated that through-space/through-solvent electron
transfer is

For is the predominant quenching pathway in I (n <7), and the quenching rate

fast enough to show a static-like behavior. 214041-37-99

214041-37-59
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (bridge-length dependence of intramol. photoinduced tron-transfer reactions in arom. donor-viologen acceptor mols. linked by polymethylene bridges)
214041-37-9 CAPUS
4.4-Bipyridinium, 1-[3-(2-dibenzofuranyloxy)propyl]-1'-methyl-, dichloride (9CI) (CA INDEX NAME)

●2 C1

REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 18 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
BIOL (Biological study); PREP (Preparation); USES (Uses)
(psoralen sensitizers for viral inactivation)
208238-58-8 CAPLUS
Pyridinium, 1-[3-[4-bromo-7,8-dihydro-7-oxonaphtho[2,3-b]furan-9yl)oxy]propyl}-4-(methoxycarbonyl)-, bromide (9CI) (CA INDEX NAME)

REFERENCE COUNT: THIS

FORMAT

THERE ARE 1 CITED REFERENCES AVAILABLE FOR 1

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L10 ANSWER 19 OF 54 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1998:210749 CAPLUS DOCUMENT NUMBER: 128:243962

Preparation of 2-(3-piperidyl)-1,2,3,4-tetrahydroisoquincline derivatives as inhibitors

of

hyperpolarization-activated inward current (If)

or INVENTOR(S):

medicinal compositions thereof Watanabe, Toshihiro; Kakefuda, Akio; Masuda,

Noriyuki PATENT ASSIGNEE(S): Watanabe,

Yamanouchi Pharmaceutical Co., Ltd., Japans Toshihiro; Kakefuda, Akio; Masuda, Noriyuki PCT Int. Appl., 46 pp. CODEN: PIXXD2 Patent

SOURCE:

DOCUMENT TYPE:

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE 9813364 A1 19980402 WO 1997-JP3378 19970924 W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CM, CU, CZ, EE, GE, WO 9813364 GH. HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, - 19980417 AU 1997-43197 JP 1996-253576 WO 1997-JP3378 MARPAT 128:243962 GA, GN, ML, MR, NE, SN, TD, TG AU 9743197 A1 19980417 PRIORITY APPLN. INFO.: OTHER SOURCE(5):

L10 ANSWER 19 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) at 0.07 .mu.M in vitro decreased by 30% no. of heart beat in guinea pig.

IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic

use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of piperidyltetrahydroisoquinoline derivs. as inhibitors

hyperpolarization-activated inward current (If) for lowering heart rate

trate
and treatment of heart diseases)
204979-68-0 CRFUS
3(2H)-Benzofuranone, 6-[3-[3-4],4-dihydro-6,7-dimethoxy-2(1H)isoquinolinyl)-1-piperidinyl)propoxy]-, dihydrochloride (9CI) (CA

●2 HC

13 THERE ARE 13 CITED REFERENCES AVAILABLE

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L10 ANSWER 19 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

The title 2-(3-Piperidy1)-1,2,3,4-tetrahydroisoquinoline derivs. represented by general formula (I) wherein R1 and R2 are the same or different and each represents hydrogen, halogeno, hydroxy, lower

alkyl,
halogenated lower alkyl, lower alkoxy, nitro, cyano, amino,
oxopyrrolidinyl, (lower alkyl)-O2CNH, (lower alkyl)-CONH or (lower
alkyl)SO2NH, or R1 and R2 may together form O-(lower alkylene)-O: R3

And R4 represent each hydrogen, or R3 and R4 may together form oxo; X represents a single bond, oxygen or sulfur; A represents lower alkylene; and the ring B represents an optionally substituted hydrocarbon ring

optionally substituted heterocycle which may be bonded to a benzene or their salts are prepd. Medicinal compns. contg. these derivs. or

thereof together with pharmaceutically acceptable carriers are also claimed. These compds. have a pacemaker current If inhibitory effect

are useful as heart rate depressants in the prevention or treatment

or, in particular, ischemic cardiac diseases such as angina pectoris (thoracic

angina pectoris) and myocardial infarction and circulatory diseases such

as congestive heart failure and irregular pulse (supraventricular . irregular pulse, etc.). Thus, 1-(3-bromopropoxy)-3,4-methylenedioxybenzene and X2CO3 were added to a suspension of 6,7-dimethoxy-2-{3-piperidyl}-1,2,3,4-tetrahydroisoquinolin-1(2H)-one

stirred at 80.degree. for 6 h to give, after salt formation with HCl,

title compd. (II.HCl). The title compds. I in vitro showed IC50 of to 10-5 M for inhibiting pacemaker current If in guinea pig heart. II.HCl

L10 ANSWER 20 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:61004 CAPLUS
TITLE: 128:140571
Synthesis of novel sfondin (angular furocoumarin)
derivatives
AUTHOR(S): Hazur, Jolanta; Zawadowski, Teodor
CORPORATE SOURCE: Department of Medical Chemistry, The Warsaw

AUTHOR(S): CORPORATE SOURCE: Medical

deriv.)
was described.
IT 202288-21-9P

202288-21-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of sfondin (angular furocoumarin) derivs.)
202288-21-9 CAPLUS
2H-Furo[2,3-h]-1-benzopyran-2-one,
thyl-6-[3-(1-piperidinyl)propoxy](9CI) (CA INDEX NAME)

L10 ANSWER 21 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:222211 CAPLUS
DOCUMENT NUMBER: 126:301406
Alkoxyfurocoumarin derivatives as potential mesolimbic selective antipsychotics
Hansen, J. Bondo: Fink-Jensen, A.; Hansen, L.;
Nielsen, E. B.; Scheideler, M. A.
Health Care Discovery, Novo Nordisk A/S, Malov,
DK-2760, Den.
European Journal of Medicinal Chemistry (1997), AUTHOR(S): CORPORATE SOURCE: SOURCE: 32(2), 32(2),

103-111

CODEN: EJHCA5, ISSN: 0223-5234

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English
Ab A series of potential antipsychotic compds. have been synthesized by combining a furocoumarin heterocycle through a linker of different sizes
with an arylpiperazine or piperidine moiety. Several of the compds. very high affinity for the dopamine-D1 and -D2, .alpha.1-adrenergic serotonin 5-HT2 receptors in vitro and selected compds. were active in in vivo models predictive of antipsychotic activity. In mice the compds.

potently antagonized methylphenidate-induced motility while methylphenidate-induced gnaving was unaffected. In rats the compds. inhibited condition avoidance responding without causing catalepsy.

IT 164387-46-48 189251-46-9P 189261-30-5P

RL: BRC (Biological activity or effector, except adverse); BPR (Biological); TBU (Therapeutic use); BIOL (Biological study); PREP (Preparation); TBU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(prepn. of alkowyfurocoumarin derivs. as potential mesolimbic selective antipsychotics)

RN 164387-44-4 CAPLUS

CN 7H-Furo[3,2-9][1]benzopyran-7-one, 9-{3-{4-(4-fluorobenzoyl)-1-piperidinyl]propoxy}-, hydrochloride (SCI) (CA INDEX NAME) vivo models predictive of antipsychotic activity. In mice the

L10 ANSWER 21 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) CRN 144-62-7 CMF C2 H2 04

RN 189261-50-5 CAPLUS
CN 7H-Furo[3,2-g][1]benzopyran-7-one,
9-[3-[4-(4-fluoro-2-4)dyloxyybenzoyl)-1piperidinyl]propoxy]-2,3-dihydro-, ethanedioate (1:1) (salt) [9CI) INDEX NAME)

CM 1

CRN 189261-49-2 CMF C26 H26 F N 06

CM 2

L10 ANSWER 21 OF 54 CAPLUS COPYRIGHT 2003 ACS

RN 189261-46-9 CAPLUS
CN 7H-Furo[3,2-9][1]benzopyran-7-one,
9-[3-[4-(6-fluoro-1,2-benzisoxazol-3yl)-1-piperidinyl]propoxyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CRN 164387-41-1 CMF C26 H23 F N2 O5

L10 ANSWER 21 OF 54 CAPLUS COPYRIGHT 2003 ACS

HO-C-C-OH

CM

IT 169261-51-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant prepn. of alkoxyfurocoumarin derivs. as potential mesolimbic selective antipsychotics)
RN 189261-51-6 CAPLUS
CN 7H-Furo[3,2-9][1]benzoyran-7-one,
9-[3-[4-(4-fluoro-2-4-ydroxybenzoyl)-1-piperidinyl]propoxy]- (9CI) (CA INDEX NAME)

L10 ANSWER 22 OF 54 CAPLUS COPYRIGHT 2003 ACS ACSESSION NUMBER: 1995:652268 CAPLUS DOCUMENT NUMBER: 123:55888 123:55888 Preparation of psoralen Hummentor(s): Hansen, John Bondor Grot 123:55888
Preparation of proralen derivatives as drugs
Hansen, John Bondor Greenvald, Frederik Christian
Novo Nodisk A/S, Den.
PCT Int. Appl., 37 pp.
CODEN: PIXXD2
PARENT

PATENT ASSIGNEE (S): SOURCE:

DOCUMENT TYPE: Patent English LANGUAGE: E FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: LANGUAGE

PATENT NO. KIND DATE APPLICATION NO. DATE 7998 Al 19941208 WO 1994-DK200 19940525 AU, BG, BY, CA, CN, CZ, FI, HU, JP, KP, KR, KZ, LV, NO, NZ, WO 9427998 Pī. RO, RU, SK, UA, US, UZ RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE AU 9469240 EP 700398 EP 700398 A1 19941220 A1 19960313 B1 19971126 AU 1994-69240 EP 1994-917565 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE L 19971215 AT 1994-500124
A 19951124 FI 1995-5680
A 19960125 NO 1995-4764
DK 1993-607
WO 1994-DK200
MARPAT 123:55888 19940525 19940525 19951124 19951124 JP 08510264 T2 AT 160567 FI 9505680 NO 9504764 PRIORITY APPLN. INFO.: OTHER SOURCE(S):

Title compds. [I) A is hydrocarbon contg. 2-6 C-atoms, R1 is (un)substituted benzoyl, (un)substituted heterocycly), R2 = (un)substituted Q and their pharmaceutically acceptable salts,

useful in the treatment of indications related to the CNS-system,

the treatment of indications to the cardiovascular system or gastrointestinal disorders (no data), are prepd. Thus, 1-(2-chlorophenyl)piperazine was reacted with 9-(3-bromopropoxy)psoralen

L10 ANSWER 22 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 164387-65-9 CAPLUS
CN 7H-Furo[3,2-9][1]benzopyran-7-one,
9-[3-[4-(6-fluoro-1H-indazol-3-yl)-1piperidinyl]propoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 22 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) in acetone contg. K2CO3 under reflux for 16 h followed by treatment

in acetone contg. K2CO3 under reflux for 16 in followed by treatment that the composition of the followed by treatment that the composition of the

CRN 164387-41-1 CMF C26 H23 F N2 O5

2

CRN 144-62-7 CMF C2 H2 O4

HO-C-C-OH

164387-44-4 CAPLUS
7H-Furo[3,2-g][1]benzopyran-7-one, 9-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:81563 CAPLUS
DOCUMENT NUMBER: 114:81563
TITLE: Preparation of (benzofuranyloxy) alkylamine

as antiarrhythmics and psychotropics Tomino, Iwao: Kamiya, Jiyouji; Yoshihara, Kanji Mitsui Pharmaccuticals, Inc., Japan Faming Zhuanli Shenqing Gongkai Shuomingshu, 156 INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:

pp. CODEN: CNXXEV

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE APPLICATION NO.	DATE
CN 1043319	A	19900627 . CN 1989-106715	19890710
CN 1034331	В	19970326	
WO 8905289	A1	19890615 WO 1988-JP1240	19881209
W: HU, JP,	KR. US		
		, FR, GB, IT, LU, NL, SE	
PRIORITY APPLN. INFO.	. 1	JP 1988-1240	19881209
		WO 1988-JP1240	19881209
		JP 1987-312113	19871211
		JP 1987-314234	19871214
OTHER SOURCE(S):	MA	RPAT 114:81563	
GI For diagram(s)		inted Ch Temps	

OTHER SOURCE(S): MARPAT 114:81563
GI For diagram(s), see printed CA Issue.
AB Title compds. (I; A = alkenyl, acyl, CO2Et, etc.; B = H, acyloxy, alkenyl

alkowy,
PhCO2; AB = COCH2O, CH:CHO, ether divalent radical; R1 = H, alkyl,

etc.: R2 = H, OH, alkyl: R3 = H, alkyl, alkoxyalkyl, cycloalkyl,

PhCH2, Ph, etc., R4 = alkyl, alkenyl, alkynyl, cycloalkyl, PhCH2, phenethyl, etc., n = 1-4), their acid adducts and quaternary ammonium salts are prepd. and formulated. HCl was blown into a mixt. of n-(HO)2CGH4, ClCH2CN

and ZnCl2 in Et20, the resultant crystals were refluxed in H20,

the crystals were refluxed with KOAc in EtOH to give 54%

benzofuranone II, which was refluxed with Cl(CH2) 3NEt(CH2) 6Me and K2CO3 in MePh to give

amine III. III showed 31% antiarrhythmic activity at 4 .mu.g/mL, vs.

at 10 .mu.g/mL for a ref. compd. Also prepd. were 193 addnl. I. Psychotropic activity was also given. Tablet, capsule, and injection formulations were given. 124626-51-79 124626-60-4P 124626-61-5P 124626-61-7P 124626-61-8P 124626-61-8P 124626-61-7P 124626-61-8P 124626-61-8P 124626-61-7P 124626-61-8P 124626-61-8P 124626-78-1P 124626-78-1P 124626-78-1P 124626-78-1P 124626-78-1P 124626-78-1P 124626-81-8P 124626-81-8P 124626-81-9P 124626-82-9P 124626-81-8P

ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
124626-92-2P 124626-94-4P 124626-95-69
124626-97-7P 124626-98-8P 124626-99-9P
124627-00-5P 124627-01-6P 124627-02-7P
124627-03-8P 124627-01-6P 124627-03-0P
124627-13-0P 124627-17-2P 124627-08-9P
124627-13-0P 124627-17-4P 124627-19-6P
124627-13-6P 124627-17-4P 124627-19-6P
124627-25-6P 124627-27-6P 124627-31-2P
124627-35-6P 124627-39-0P 124627-31-2P
124627-36-9P 124627-39-0P 124627-31-6P
124627-41-4P 124627-48-8P 124627-46-9P
124627-41-6P 124627-48-8P 124627-46-9P
124627-5-5P 124627-51-6P 124627-52-P
124627-5-5P 124627-51-6P 124627-52-P
124627-5-6P 124627-60-7P 124627-55-0P
124627-5-4P 124627-60-7P 124627-59-9P
131978-13-7P 131978-14-6P 131978-15-9P
131978-2-2-9P 131978-2-3-9P 131978-25-1P
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as antiarrhythmic and psychotropic agent)
124626-55-7 CAPLUS
3(2H)-Benzofuranone, 6-[3-(1-piperidiny1)propoxy]- (9CI) (CA INDEX E)

124626-60-4 CAPLUS 3(2H)-Benzofuranone, 6-[3-(2-methyl-1-piperidinyl)propoxy)- (9CI) INDEX NAME)

124626-61-5 CAPLUS 3(2H)-Benzofuranone, one, 6-[3-(3-methyl-1-piperidinyl)propoxy]- (9CI)

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

124626-66-0 CAPLUS 3(2H)-Benzofuranone, 6-[3-(4-hydroxy-4-phenyl-1-piperidinyl)propoxy]-(9C1) (CA INDEX NAME)

124626-67-1 CAPLUS
3 (2H)-Benzofuranone, 6-[3-(octahydro-1(2H)-quinoliny1)propoxy]) (CA
INDEX NAME)

124626-68-2 CAPLUS 3(2H)-Benzofuranone, 6-{3-(3,4-dihydro-2(1H)-isoquinolinyl)propoxy]-(CA INDEX NAME)

124626-73-9 CAPLUS
3(2H)-Benzofuranone, 6-[3-(3,5-dimethyl-1-piperidinyl)propoxy]-, (9CI) (CA INDEX NAME)

Relative stereochemistry.

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS

RN CN (CA 124626-62-6 CAPLUS 3(2H)-Benzofuranone, 6-[3-(2,6-dimethyl-1-piperidinyl)propoxy]- (9CI) INDEX NAME)

124626-63-7 CAPLUS 3(2H)-Benzofuranone, 6-{3-(3,5-dimethyl-1-piperidinyl)propoxy]- (9CI) INDEX NAME)

124626-64-8 CAPLUS 3(2H)-Benzofuranone, 6-[3-(2-propyl-1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)

124626-65-9 CAPLUS 3(2H)-Benzofuranone, 6-[3-[4-(phenylmethyl)-1-piperidinyl]propoxy]-(CA INDEX NAME)

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS

124626-74-0 CAPLUS 3(2H)-Benzofuranone, 6-[3-(4-ethyl-1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)

124626-75-1 CAPLUS 3(2H)-Benzofuranone, 6-[3-[4-(1-methylethyl)-1-piperidinyl]propoxy]-(CA INDEX NAME)

124626-76-2 CAPLUS 4-Piperidinecarboxylic acid, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-, ethyl ester (9Cl) (CA INDEX NAME)

124626-77-3 CAPLUS

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Methanesulfonamide,
N-[1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]4-piperidinyl]- (9Cl) (CA INDEX NAME)

RN 124626-78-4 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(hydroxymethy1)-1-piperidiny1]propoxy](9CI)
(CA INDEX NAME)

HO-CH₂

RN 124626-79-5 CAPLUS
CN 3-Piperidinecarboxamide, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

Et₂N-(CH₂)₃-0-(CH₂)₃-0

RN 124626-80-8 CAPLUS CN 3(2H)-Benzofuranone, 6-[3-(1,4-dioxa-8-azaspiro[4.5]dec-8-y1)propoxy]-(9CI) (CA INDEX NAME)

0- (CH₂) 3-N

RN 124626-81-9 CAPLUS

RN 124626-94-4 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 3(2H)-Benzofuranone, 6-[3-(1-piperidinyl)propoxy]-, hydrochloride
(9CI)
(CA INDEX NAME)

• HCl

RN 124626-96-6 CAPLUS CN 3(2H)-Benzofuranone, 6-{3-(2-methyl-1-piperidinyl)propoxy}-, hydrochloride (9CI) (CA INDEX NAME)

N— (CH₂) 3-0

• HCl

RN 124626-97-7 CAPLUS CN 3{2H}-Benzofuranone, 6-[3-(3-methyl-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

Me N- (CH₂) 3-0

• HCl

RN 124626-98-8 CAPLUS CN 3(2E)-Benzofuranone, 6-[3-(4-methyl-1-piperidinyl)propoxy]-, hydrochloride (9C1) (CA INDEX NAME) L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Acetamide, N-[1-[3-([2,3-dihydro-3-oxo-6-benzofuramyl)oxy]propyl]-4piperidinyl]- (9C1) (CA INDEX NAME)

RN 124626-82-0 CAPLUS
CN Acetamide, N-[1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-4piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

AcNH N— (CH₂) 3-0

● HC1

RN 124626-86-4 CAPLUS
CN 3[2H]-Benzofuranone, 6-[2-hydroxy-3-[4-(phenylmethyl)-1-piperidinyl]propoxy]- (9CI) (CA INDEX NAME)

RN 124626-92-2 CAPLUS
CN 3-Benzofuranol, 6-[3-[4-(phenylmethyl)-1-piperidinyl]propoxy]-,
acetate
(ester) (9C1) (CA INDEX NAME)

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

Me N— (CH2) 3 - 0

• HC1

RN 124626-99-9 CAPLUS 3(2H)-Benzofuranone 6-[3-(2,6-dimethyl-1-piperidinyl)propoxy]-, hydrochloride (9C1) (CA INDEX NAME)

Me (CH₂) 3-0

• HCl

RN 124627-00-5 CAPLUS CN 3(2H)-Benzofuranone, hydrochloride (9CI) (CA INDEX NAME)

Me N- (CH₂) 3-0

• HCl

EN 124627-01-6 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(3,5-dimethyl-1-piperidinyl)propoxy]-,
hydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 124627-02-7 CAPLUS CN 3(2H)-Benzofuranone, 6-{3-(2-propyl-1-piperidinyl)propoxy}-, hydrochloride (9CI) (CA INDEX NAME)

• HC1

RN 124627-03-8 CAPLUS CN 3(2H)-Benzofuranone, 6-[3-(4-phenyl-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

• HC1

124627-04-9 CAPLUS 3(2H)-Benzofurance, 6-[3-[4-(phenylmethyl)-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS

• HC1

124627-08-3 CAPLUS
3(2H)-Benzofuranone, 6-[3-(3,4-dihydro-2(1H)-isoquinolinyl)propoxy]-,
hydrochloride (9CI) (CA INDEX NAME)

• HCl

124627-13-0 CAPLUS 3 (ZB)-Benzofuranone, 6-[3-(4-ethyl-1-piperidinyl)propoxy]-, rochloride (9CI) (CA INDEX NAME)

• HC1

124627-14-1 CAPIUS 3(2H)-Benzofuranone, 6-[3-[4-(1-methylethyl)-1-piperidinyl]propoxy}-, hydrochloride (9Cl) (CA INDEX NAME)

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

● HC1

124627-05-0 CAPLUS 3(2H)-Benzofuranone, 6-[3-(4-hydroxy-4-phenyl-1-piperidinyl)propoxy}-, hydrochloride (9CI) (CA INDEX NAME)

• HCl

124627-06-1 CAPLUS
3(2H)-Benzofuranone, 6-{3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

● HC1

124627-07-2 CAPLUS
3(2H)-Benzofuranone, 6-[3-(octahydro-1(2H)-quinolinyl)propoxy]-,
hydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

• HCl

124627-15-2 CAPLUS
4-Piperidinecarboxylic acid, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

HC1

RN 124627-16-3 CAPLUS
CN Methanesulfonamide,
N-{1-{3-{(2,3-dihydro-3-oxo-6-benzofurany1)oxy}propy1}4-piperidiny1}-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

124627-17-4 CAPLUS
3(2H)-Benzofuranone, 6-[3-[4-(hydroxymethyl)-1-piperidinyl]propoxy]-,
hydrochloride (9CI) (CA INDEX NAME)

• HC1

124627-19-6 CAPLUS
3(ZH)-Benzofuranone, 6-[2-hydroxy-3-[4-(phenylmethyl)-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

• HC1

RN 124627-26-5 CAPLUS
CN 3 (2H)-Benzofuranone, 6-[3-(4-phenyl-1-piperidinyl)propoxy]-,
phosphate
(9CI) (CA INDEX NAME)

CM 1

CRN 124627-25-4 CMF C22 H25 N 03

CM 2

CRN 7664-38-2 CMF H3 04 P

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS

CM 2

CRN 104-15-4 CMF C7 H8 03 S

124627-35-6 CAPLUS 3(2H)-Benzofuranone, 6-[3-(4-cyclohexyl-1-piperidinyl)propoxy]-) (CA INDEX NAME)

- (CH₂)₃-0-

124627-36-7 CAPLUS 3(2H)-Benzofuranose, 6-[3-[4-(2-hydroxyethyl)-1-piperidinyl]propoxy)-(9CI) (CA INDEX NAME)

но-сн2-сн2

124627-37-8 CAPLUS 3(2H)-Benzofuranone, 6-[3-[3-(hydroxymethyl)-1-piperidinyl]propoxy]-(CA INDEX NAME)

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

124627-27-6 CAPLUS
3(2H)-Benzofuranone, 6-[3-(4-phenyl-1-piperidinyl)propoxy]-, sulfate

(CA INDEX NAME)

CM 1

CRN 124627-25-4 CMF C22 H25 N 03

CM 2

CRN 7664-93-9 CMF H2 04 S

124627-31-2 CAPLUS
3(2H)-Benzofuranone, 6-[3-(4-phenyl-1-piperidinyl)propoxy]-,
4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 124627-25-4 CMF C22 H25 N 03

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

124627-38-9 CAPLUS 4-Piperidinecarboxylic acid, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]- (9CI) (CA INDEX NAME)

124627-39-0 CAPLUS
4-Piperidinecarboxamide, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]- (9CI) (CA INDEX NAME)

124627-40-3 CAPLUS 4-Piperidinone, 1-[3-{(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-

(CA INDEX NAME)

124627-41-4 CAPLUS 3(2H)-Benzofuranone, 6-(3-[1,4'-bipiperidin]-1'-ylpropoxy)- (9CI) (CA INDEX NAME)

RN 124627-42-5 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(4-nitrophenyl)-1-piperidinyl]propoxy](9CI)
(CA INDEX NAME)

RN 124627-43-6 CAPLUS CN 3 (2H)-Benzofuranone, 6-[3-[4-[4-fluorobenzoyl]-1-piperidinyl]propoxy]-(9CI) (CA INDEX NAME)

RN 124627-44-7 CAPLUS CN 3(2H)-Benzofuranone, 6-[3-(4-hydroxy-1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)

RN 124627-45-8 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decan-4-one, 8-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-1-phenyl- (9CI) (CA INDEX NAME)

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

• HCl

RN 124627-49-2 CAPLUS
CN 3(ZH)-Benzofuranone, 6-[3-[3-(hydroxymethyl)-1-piperidinyl]propoxy]-,
hydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 124627-50-5 CAPLUS
CN 4-Piperidinecarboxamide, 1-[3-[(2,3-dihydro-3-oxo-6-benzofurany1)oxy]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 124627-51-6 CAPLUS
CN 4-Fiperidinone, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-,
hydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 124627-46-9 CAPLUS CN 3(2H)-Benzofuranone, 6-[3-[4-(1.1-dimethyl:ethyl)-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

• HC1

RN 124627-47-0 CAPLUS CN 3(2H)-Benzofuranone, 6-(3-(4-cyclohexyl-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

• HC1

RN 124627-48-1 CAPLUS CN 3(2H) -Benzofuranone, 6-[3-(4-(2-hydroxyethyl)-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

• HCl

RN 124627-52-7 CAPLUS CN 3(ZH)-Emcfuranone, 6-(3-[1,4"-bipiperidin]-1'-ylpropoxy)-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 124627-53-8 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(4-nitrophenyl)-1-piperidinyl]propoxy]-,
monchydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 124627-54-9 CAPLUS CN 3(2H)-Benzofuranone 6-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

• HC1

124627-55-0 CAPLUS
3(2H)-Benzofuranone, 6-[3-(4-hydroxy-1-piperidinyl)propoxy]-,
hydrochloride (9CI) (CA INDEX NAME)

• HC1

124627-59-4 CAPLUS
3-Piperidinecarboxamide, 1-[3-[(2,3-dihydro-3-oxo-6-bezofuranyl)oxylpropyl]-N,N-diethyl-, mono(4-methylbenzenesulfonate)
(9CI) (CA INDEX NAME)

CM 1

CRN 124626-79-5 CMF C21 H30 N2 O4

CM 2

CRN 104-15-4 CMF C7 H8 03 S

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Piperidinium,
1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-1-ethyl3-methyl-, bromide (9CI) (CA INDEX NAME)

• Br

RN 131978-14-8 CAPLUS CN Piperidinium, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-1-ethyl-4-phenyl-, bromide (9CI) (CA INDEX NAME)

131978-19-3 CAPLUS
1,3,8-Triazaspiro[4.5]decan-4-ons, 8-[3-[(2,3-dihydro-3-oxo-6-__benzofuranyl)oxylpropyl]-1-phenyl-, monohydrochlorids (9Cl) (CA

• HCl

RN 131978-22-8 CAPLUS

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 124627-60-7 CAPLUS
CN 3(ZH)-Benzofuranone,
6-[3-(1,4-dioxa-8-azapiro[4.5]dec-8-yl)propoxy]-,
4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 124626-80-8 CMF C18 H23 N O5

CM 2

124652-80-8 CAPLUS
3(2H)-Benzofuranone, 6-[3-[4-(4-chloropheny1)-4-hydroxy-1-piperidiny1]propoxy)- (9CI) (CA INDEX NAME)

RN 131978-13-7 CAPLUS

ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
Methanesulfonamide, N={4-[1-{3-{(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl}-4-piperidinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 131978-23-9 CAPLUS CN Piperidine, 1-{3-{(3-methoxy-6-benzofuranyl)oxy}propyl}-4-(phenylmethyl)-(9CI) (CA INDEX NAME)

Ph-CH2 - (CH2)3-0

RN 131978-25-1 CAPLUS CN Pyridinium, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-4-phenyl-, iodide {9CI} (CA INDEX NAME)

• ı-

L10 ANSWER 24 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:532201 CAPLUS
DOCUMENT NUMBER: 113:132201
TITLE: 113:132201
Preparation of pyridazinone derivatives as drugs
Redpath, James; Logan, Robert Thomas; Roy, Robert
Glbson; HCGarry, George
AZZO N. V., Neth.
EUL. Pat. Appl., 12 pp.
CODEN: EPXXDV
DOCUMENT TYPE: Patent
LANGUAGE: EPXXDV
Patent
LANGUAGE: EPXXDV
1
Emplish
FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 350990	A1	19900117	EP 1989-201716	19890629
EP 350990	B1	19950920		
R: AT, BE, C	H, DE,	, ES, FR, GB, GI	R, IT, LI, NL, SE	
AT 128135	E	19951015	AT 1989-201716	19890629
ES 2080064	T3	19960201	ES 1989-201716	19890629
ZA 8905087	A	19900328	ZA 1989-5087	19890704
AU 8937967	A1	19900111	AU 1989-37967	19890707
AU 617489	B2	19911128		
DK 8903408	A	19900112	DK 1989-3408	19890710
FI 8903345	A	19900112	FI 1989-3345	19890710
FI 97295	В	19960815		
FI 97295	С	19961125		
JP 02085281	A2	19900326	JP 1989-177872	19890710
CA 1308413	A1	19921006	CA 1989-605216	19890710
US 4952571	A	19900828	US 1989-378342	19890711
PRIORITY APPLN. INFO .:		EP	1988-306295	19880711
OTHER SOURCE(5):	MAI	RPAT 113:132201		

Pyridazinone derivs. [I; R1 = H, OH, halo, NO2, (substituted) amino; R3 = H, C1-4 alkyl; X = 0, S; n = 1-4], useful as cardiotonics, blood

L10 ANSWER 25 OF 54 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1990:178506 CAPLUS DOCUMENT NUMBER: 112:178506 TITLE: 550thesis of new aminos

Synthesis of new aminoalkanol derivatives of benzofuran with potential .beta.-adrenolytic

activity AUTHOR(S): Slawomir; Zawadowski, Teodor; Suski, Slawomir; Rump,

Borkowska, Grazyna Inst. Drug Scil, Sch. Med., Warsaw, 02007, Pol. Acta Poloniae Pharmaceutica (1989), 46(3), 201-8 CODEN: APPHAX; ISSN: 0001-6837 Journal CORPORATE SOURCE: SOURCE:

DOCUMENT TYPE: LANGUAGE:

Polish CASREACT 112:178506 OTHER SOURCE(S):

Friedel-Crafts reaction of benzofuran I (R = Me, R1 = H) with AcCl

45% I $\{R = H, R1 = COMe (II)\}$. II was also prepd. from III (R2 = H)

by
bromination in AcOH, alk. (XOH) hydrolysis and ring contraction of
III (R2

- Br) to I [R = H, R1 = CO2H (IV)], and subsequent thermal
decarboxylation
and acetylation. IV was converted to I (R = H, R1 = CONH2) via the
acvl

chloride and next to I [R = CH2CH(OH)CH2R3; R1 = CONH2; R3 = NHCHMe2

via oxiranylmethyl compd. VI (R1 = CONH2). Treating II with epichlorohydrin in the presence of K2CO3 gave 70% VI (R1 = COMe), which

L10 ANSWER 24 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) platelet aggregation inhibitors, vasodilators, etc., are prepd. A mixt.

of 17.2 g butyric acid deriv. II (prepn. given) and 55 mL 85%

NZH4.HZO in

EtCH was refluxed and concd. in vacuo to give 14.6 g pyridazinone
deriv. I

([R]) n = 5,6-(MeO) 2, R2 = H, R3 = Me, 4,5-satd.]. Also prepd. were 22
addnl. I and their HCl salts. The preferred dose is 0.1-10 mg/kg daily. IT 129425-98-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic

Use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preph. of, as drug)
RN 129425-98-5 CAPLUS
CN 3(2H)-Pyridazinone, 4,5-dihydro-6-[6-methoxy-5-[3-(1piperidinyl)propoxy]benzo[b]thien-2-yl]-5-methyl-, monohydrochloride
(9CI)

(CA INDEX NAME)

• HC1

L10 ANSWER 25 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) when treated with the appropriate amines gave V [R3 = 4-morpholinyl, 4-phenyl-1-piperzinyl (VII), 1-piperidinyl, MeZCHNH, MEETCHNH (VIII), and Ha3CNH] in 51-74% yields; IX (R4 = MeZCH, Me3C) were isolated in small amts. (14 and 13%, resp.) as byproducts in the reaction with MeZCHNH2 and

Me3CNH2, resp. In biol. tests with mice, VII elicited a hypotensive response comparable with that of aminophylline, and VIII produced an antiarrhythmic effect similar to that of propranolol. V with R1 =

CONH2

was practically inactive. 126531-00-8P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of) 126531-00-8 CAPLUS

-[2-hydroxy-3-(1-piperidinyl)propoxy]-6-methoxy-3-methyl-2-benzofuranyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1990:55583 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER:

112:55583

Preparation of benzofuranyloxy- and other aryloxyalkylamines as pharmaceuticals for TITLE:

treatment of

heart diseases in animals Tomino, Ikuo; Ishiguro, Masaharu; Kitahara, INVENTOR(S): Takumi,

Yokoyama, Keiichi; Kihara, Noriaki; Kamiya, Joji; Yoshihara, Kanji; Ishii, Masaaki; Mizuchi,

Akira: et

Mitsui Petrochemical Industries, Ltd., Japan; PATENT ASSIGNEE (S): Mitsui

SOURCE:

Pharmaceuticals, Inc. PCT Int. Appl., 163 pp. CODEN: PIXXD2 Patent

DOCUMENT TYPE: Japanese 2

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

LWITMI	INFOR	CIAL I	J													
PA	KI	ND	DATE			A	PP	LIC	ATIC	n no.	_	DATE				
						-										
WO	8905	289		A	1	1989	0615		w	ro	1981	-JP	1240	1	19881	209
	W:	HU.	JP.	KR.	US											
						FR,	GB,	IT,	LU,	N	L, :	SE.				
EP	4245	25		A	1	1991	0502		E	P	1989	9-90	0305	1	19881	209
EP	4245															
	R:	AT.	BE.	CH,	DE,	FR,	GB,	IT,	LI,	L	U, I	۹L,	SE			
HU	5827	5		À	2 .	1992	0228		H	U	1989	9-38	7	- 1	9881	209
	2779														9881	209
	1043								c	N	1989	9-10	6715	- 1	19890	710
CN	1034	331		В		1997	0326									
US	5192	799		A		1993	0309		U	ıs	199	2-89	5417		19920	
PRIORIT	Y APP	LN.	INFO	. :					JP 1	98	7-3	1211	.3	1	19871	211
									JP 1	98	7-3	1423	4	1	19871	214
									JP 1	98	8-13	240		1	19881	209
									7O 1	98	8 - J1	P124	0	1	19881	209
													4		19890	
													6		19911	
								,	, J	. , ,	, ,	0004		- 4		022

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The title compds. [I; R1 = H, alkoxy, alkyl, halo, NH2, NO2, alkylsulfamoyl; R2 = H, OH, alkyl; R3 = H, alkyl, alkoxyalkyl, etc.;

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

124626-60-4 CAPLUS 3(2H)-Benzofuranone, 6-[3-(2-methyl-1-piperidinyl)propoxy]- (9CI)

124626-61-5 CAPLUS 3(2H)-Benzofuranone, 6-{3-(3-methyl-1-piperidinyl)propoxy}- (9CI)

INDEX NAME)

124626-62-6 CAPLUS
3(2H)-Benzofuranone, 6-[3-(2,6-dimethyl-1-piperidinyl)propoxy]-)
(CA
INDEX NAME)

RN 124626-63-7 CAPLUS CN 3(2M)-Benzofuranone, 6-[3-(3,5-dimethyl-1-piperidinyl)propoxy]-(9CI) (CA INDEX NAME)

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) alkyl, alkenyl, alkynyl, alkoxyalkyl; R3R4N = 4- to 8-membered ring

may contain NH, O, S; A = alkenyl, acyl, acylvinyl, .alpha...al; dialkylbenzyl; B = H, acyloxy, alkoxy, PhCO2; AB = C(0)CR5R6O, CR7R8CR9:CR10O, (CR11R12)m, etc.; R5-R12 = H, alkyl; m = 3, 4], , alpha. -

treating arrhythmia, myocardial infarction, angina pectoris, or

treating arrhythmia, mydosidal and serotonine antagonists, were failure in animals, and as dopamine and serotonine antagonists, were prepd. A mixt. of cumaranone II (R = H) (prepn. given), C1 (CH2) 3NEt (CH2) 6Me, and KZCO3 in PhMe was refluxed to give II [R = (CH2) 3NEt (CH2) 6Me], which had an action potential duration (APD75) of C12 and C12 of C12 and C12 of C1

C(CH2) SNEY(CH2) ONE; and ACCOUNT in three was relinked to give in (CH2) SNEY(CH2) ONE; (CH2) ONE; (CH2) ONE; (CH2) ONE; (CH2) SNEY(CH2) ONE; and My steerate 1 mg, cellulose 42, 5102 7, and My steerate 1 mg.

IT 124526-55-PP 124526-50-PP 124526-61-PP 124526-61-PP 124526-61-PP 124526-61-PP 124526-61-PP 124526-61-PP 124526-61-PP 124526-61-PP 124526-61-PP 124526-78-PP 124526-78-PP 124526-78-PP 124526-78-PP 124526-78-PP 124526-78-PP 124526-78-PP 124526-78-PP 124526-80-PP 124526-81-PP 124527-01-PP 124527-01-PP 124527-01-PP 124527-01-PP 124527-01-PP 124527-01-PP 124527-01-PP 124527-11-PP 1

BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as pharmaceutical) 124626-55-7 CAPLUS 3(2H)-Benzofuranone, 6-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS

124626-64-8 CAPLUS 3(2H)-Benzofuranone, 6-[3-{2-propyl-1-piperidinyl)propoxy}- (9CI) (CA INDEX NAME)

124626-65-9 CAPLUS 3(2H)-Benzofuranone, 6-[3-[4-(phenylmethyl)-1-piperidinyl]propoxy]-(CA INDEX NAME)

124626-66-0 CAPLUS 3(2H)-Benzofuranone, 6-[3-(4-hydroxy-4-phenyl-1-piperidinyl)propoxy]-(SCI) (CA INDEX NAME)

124626-67-1 CAPLUS
3(2H)-Benzofuranone, 6-[3-(octahydro-1(2H)-quinolinyl)propoxy]- (9CI) (CA

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS

124626-68-2 CAPLUS 3(2H)-Benzofuranone, 6-[3-(3,4-dihydro-2(1H)-isoquinoliny1)propoxy]-RN CN (9CI) (CA INDEX NAME)

RN 124620 .
CN 3(2H)-BenzoFuran..
trans(9CI) (CA INDEX NAME) 124626-73-9 CAPLUS 3(2H)-Benzofuranone, 6-[3-(3,5-dimethyl-1-piperidinyl)propoxy]-,

124626-74-0 CAPLUS 3(2H)-Benzofuranone, 6-[3-(4-ethyl-1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)

RN 124626-75-1 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(1-methylethyl)-1-piperidinyl]propoxy](9CI)

ANSWER 26 OF \$4 CAPLUS COPYRIGHT 2003 ACS (Continued) 124626-79-5 CAPLUS 3-Piperidinearaboxamide, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 124626-80-8 CAPLUS CN 3 (2H)-Benzofuranone, 6-[3-(1,4-dioxa-8-azapiro[4.5]dec-8-yl)propoxyj-(9CI) (CA INDEX NAME)

12462-81-9 CAPLUS Acetamide, N-[1-[3-[(2,3-dibydro-3-oxo-6-benzofuranyl)oxy]propyl]-4-piperidinyl]- (9C1) (CA INDEX NAME)

124626-82-0 CAPLUS Acetamide, N-[1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) (CA INDEX NAME)

124626-76-2 CAPLUS
4-Piperidinecarboxylic acid, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 124626-77-3 CAPLUS
CN Methanesulfonam.de,
N-[1-[3-[(2,3-dihydro-3-oxo-6-benzofurany1)oxy]propyl]4-piperidinyl]- (9CI) (CA INDEX NAME)

124626-78-4 CAPLUS 3(2H)-Benzofuranone, 6-[3-[4-(hydroxymethyl)-1-piperidinyl]propoxy]-RN CN (9CI) (CA INDEX NAME)

ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued 124626-86-4 CAPLUS 3(2R)-BencGuranone, 6-[2-hydroxy-3-[4-(phenylmethyl)-1-piperidinyl]propoxy]- (9CI) (CA INDEX NAME) (Continued) L10

124626-92-2 CAPLUS
3-Benzofuranol, 6-[3-[4-(phenylmethyl)-1-piperidinyl]propoxy]-, acetate (ester) (9CI) (CA INDEX NAME)

124626-94-4 CAPLUS 3(2H)-Benzofuranone, 6-[3-(1-piperidinyl)propoxy]-, hydrochloride (CA INDEX NAME)

• HC1

RN 124626-96-6 CAPLUS CN 3 (2H)-Benzofuranone, 6-{3-(2-methyl-1-piperidinyl)propoxy}-, hydrochloride (9C1) (CA INDEX NAME)

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS

• HC1

RN 124626-97-7 CAPLUS CN 3 (2H)-Benzofuranone, 6-[3-(3-methyl-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

• HC1

RN 124626-98-8 CAPLUS CN 3 (2H)-Benzofuranone, 6-[3-(4-methyl-1-piperidinyl)propoxy)-, hydrochloride (9C1) (CA INDEX NAME)

• HC1

124626-99-9 CAPLUS
3(2H)-Benzofuranone, 6-[3-(2,6-dimethyl-1-piperidinyl)propoxy]-,
hydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (9C1) (CA INDEX NAME)

• HCl

RN 124627-03-8 CAPLUS CN 3(ZH)-Benzofuranone, 6-[3-(4-phenyl-1-piperidinyl)propoxy]-, hydrochloride (9C1) (CA INDEX NAME)

HC1

124627-04-9 CAPLUS 3(2H)-Benzofuranone, 6-[3-[4-(phenylmethyl)-1-piperidinyl]propoxy]-, bydrochloride (9CI) (CA INDEX NAME)

• HC1

RN 124627-05-0 CAPLUS CN 3(2E)-Benzofuranone, 6-[3-(4-hydroxy-4-phenyl-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

• HCl

124627-00-5 CAPLUS 3(2H)-Benzofturanone, 6-[3-(3,5-dimethyl-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

• HC1

124627-01-6 CAPLUS
3(2H)-Benzofuranone, 6-[3-(3,5-dimethyl-1-piperidinyl)propoxy]-,
hydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

• HCl

RN 124627-02-7 CAPLUS CN 3 (2H)-Benzofuranone, 6-[3-(2-propyl-1-piperidinyl)propoxy]-, hydrochloride

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

• HC1

124627-06-1 CAPLUS
3(2H)-Benzofuranone, 6-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

124627-07-2 CAPLUS 3(2H)-Benzofturanone, 6-{3-(octabydro-1(2H)-quinoliny1)propoxy}-, hydrochloride (9CI) (CA INDEX NAME)

• HC1

124627-08-3 CAPLUS
3(2H)-Benzofuranone, 6-[3-(3,4-dihydro-2(1H)-isoquinolinyl)propoxy]-,
hydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 124627-13-0 CAPLUS CN 3(2H)-Benzofuranone, 6-[3-(4-ethyl-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

(CH2) 3-0

• HCl

124627-14-1 CAPLUS 3(2H)-Benzofuranone, 6-{3-[4-(1-methylethyl)-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

• HCl

124627-15-2 CAPLUS
4-Piperidinecarboxylic acid, 1-{3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl}-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS

• HC1

124627-26-5 CAPLUS
3(2H)-Benzofuranone, 6-[3-(4-phenyl-1-piperidinyl)propoxy)-,
ssphate
(9CI) (CA INDEX NAME)

CM 1

CRN 124627-25-4 CMF C22 H25 N O3

CM 2

CRN 7664-38-2 CMF H3 04 P

RN 124627-27-6 CAPLUS CN 3(2H)-Benzofuranone, 6-[3-(4-phenyl-1-piperidinyl)propoxy]-, sulfate (9CI)

(CA INDEX NAME)

CM 1

CRN 124627-25-4 CMF C22 H25 N 03

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

• HC1

RN 124627-16-3 CAPLUS
CN Hethanesulfonamide,
N-[1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

• HC1

124627-17-4 CAPLUS 3(2H)-Benzofuranone, 6-[3-[4-(hydroxymethyl)-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

• HCl

124627-19-6 CAPLUS
3(2H)-Benzofuranone, 6-{2-hydroxy-3-[4-(phenylmethyl)-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

CRN 7664-93-9 CMF H2 O4 S

124627-31-2 CAPLUS
3(2H)-Benzofuranone, 6-{3-(4-phenyl-1-piperidinyl)propoxy}-,
4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 124627-25-4 CMF C22 H25 N O3

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RN 124627-35-6 CAPLUS

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 3 (2H)-Benzofuranone, 6-[3-(4-cyclohexyl-1-piperidinyl)propoxy](9CI) (CA
INDEX NAME)

RN 124627-36-7 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(2-hydroxyethyl)-1-piperidinyl]propoxy](9CI) (CA INDEX NAME)

RN 124627-37-8 CAPLUS
CN 3{2H}-Benzofuranone, 6-{3-{3-(hydroxymethyl)-1-piperidinyl}propoxy}(9CI)
(CA INDEX NAME)

RN 124627-38-9 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]- (9CI) (CA INDEX NAME)

RN 124627-39-0 CAPLUS
CN 4-Piperidinecarboxamide, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 124627-44-7 CAPLUS CN 3(2H)-Benzofuranone, 6-[3-(4-hydroxy-1-piperidiny1)propoxy]- (9CI) (CA INDEX NAME)

RN 124627-45-8 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decan-4-one, 8-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-1-phenyl- (9CI) (CA INDEX NAME)

RN 124627-46-9 CAPLUS CN 3(2H)-Benzofuranone, 6-(3-(4-(1)-dimethylethyl)-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME) L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 124627-40-3 CAPLUS
CN 4-Piperidinone, 1-[3-[(2,3-dihydro-3-oxo-6-benzofurany1)oxy]propyl](9CI)
(CA INDEX NAME)

RN 124627-41-4 CAPLUS CN 3(2H)-Benzofuranone, 6-(3-[1,4'-bipiperidin]-1'-ylpropoxy)- (9CI) (CA INDEX NAME)

RN 124627-42-5 CAPLUS CN 3(2H)-Benzofuranone, 6-[3-[4-(4-nitropheny1)-1-piperidiny1]propoxy]-(SCI) (CA INDEX NAME)

RN 124627-43-6 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(4-fluorobenzoy1)-1-piperidiny1]propoxy](9C1) (CA INDEX NAME)

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

• HCl

RN 124627-47-0 CAPLUS CN 3(2H)-Benzofuranone, 6-[3-(4-cyclohexyl-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 124627-48-1 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(2-hydroxyethyl)-1-piperidinyl]propoxy]-, hydrochloride (9C1) (CA INDEX NAME)

• HCl

RN 124627-49-2 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[3-(hydroxymethyl)-1-piperidinyl]propoxy]-,
hydrochloride (9CI) (CA INDEX NAME)

• HC1

124627-50-5 CAPLUS
4-Piperidinecarboxamide, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

124627-51-6 CAPLUS
4-Fiperidinone, 1-(3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-, hydrochloride (9CI) (CA INDEX NAME)

• HCl

124627-52-7 CAPLUS
3(2H)-Benzofuranone, 6-(3-[1,4'-bipiperidin]-1'-ylpropoxy)-,
dihydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

■ HC1

124627-56-1 CAPLUS
1,3,8-Triazaspiro[4.5]decan-4-one, 8-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-1-phenyl-, hydrochloride (9CI) (CA INDEX

●x HCl

124627-58-3 CAPLUS
3(2H)-Benzofuranone, 6-[3-[4-(2-pyridinyl)-1-piperidinyl]propoxy]-,
monohydrochloride (9CI) (CA INDEX NAME)

• HCl

124627-59-4 CAPLUS
3-Piperidinecarboxamide, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-N,N-diethyl-, mono(4-methylbenzenesulfonate)
(9CI) (CA INDEX NAME)

CH 1

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

●2 HCl

124627-53-8 CAPLUS 3(2H)-Benzofuranone, 6-[3-[4-(4-nitrophenyl)-1-piperidinyl]propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

• HC1

RN 124627-54-9 CAPLUS
CN 3 (2H)-Benzofuranone,
6-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propoxy]-,
hydrochloride (9C1) (CA INDEX NAME)

HC1

124627-55-0 CAPLUS
3(2H)-Benzofuranone, 6-[3-(4-hydroxy-1-piperidiny1)propoxy]-,
hydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS CRN 124626-79-5 CMF C21 H30 N2 O4 (Continued)

CM 2

124627-60-7 CAPLUS 3 (ZH)-Benzofuranone, -(1,4-dioxa-8-azaspiro[4.5]dec-8-y1)propoxy}-, 4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 124626-80-8 CMF C18 H23 N O5

CM 2

CRN 104-15-4 CMF C7 H8 03 S

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

124652-80-8 CAPLUS
3(2H)-Benzofuranone, 6-{3-{4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl}propoxy}- (9CI) (CA INDEX NAME)

L10 ANSWER 27 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

IT 121593-41-7F 121593-42-8F RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic

use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antihypertensive)
RN 121593-41-7 CAPLUS
CN 2-Propen-1-one,
1-[4,7-dimethoxy-6-[3-(4-phenyl-1-piperidinyl)propoxy]-5benzofuranyl]-3-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

RN 121593-42-8 CAPLUS
CN 2-Propen-1-one, 1-[4,7-dimethoxy-6-[3-[4-(phenylmethyl)-1-piperidinyl]propoxy]-5-benzofuranyl]-3-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 27 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:457530 CAPLUS
DOCUMENT NUMBER: 111:57530
TITLE: Preparation of benzofurans as antihypertensives

cardiovascular agents
Schlecker, Rainer; Raschack, Manfred; Gries, Josef
BASF A.-G., Fed. Rep. Ger.
EUr. Pat. Appl., 16 pp.
CODEN: EFXXDW
Patent
German
1 INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 303920	A1	19890222	EP 1988-112833	19880806
EP 303920	B1	19920318		
R: BE. CH.	DE, FR	, GB, IT, LI	, NL, SE	
DE 3727736	A1	19890302	DE 1987-3727736	19870820
JP 01070480	A2	19890315	JP 1988-204903	19880819
US 5039701	A	19910813	US 1988-233745	19880819
PRIORITY APPLN. INFO	. :		DE 1987-3727736	19870820
OTHER SOURCE(S):		SREACT 111:5	7530; MARPAT 111:575	30
GI For diagram(s),				
GI For Glagram(s),	see br	Inced CA 133	ue.	
AB The title compd	s. [I;	R = H; R2 =	bond; R2 = H, (pheny	rl)-Cl-4 alkyl;
no				

R31, R32 = H, OH, F, Cl, Br, C1-4 alkyl, HOCH2, C1-6 alkowy, PhCH20,

NO2,
amino; R3R31 = CH:CHNH; R4, R5 = H, (phenyl)-C1-4 alkyl; R4R5 = (un)substituted, (benzo-fused) 4- to 7-membered heterocyclyl; Z = COCH:CH,
COCHICH, CH(OH)CH2CH2; n = 2, 3] were prepd. as vasodilators from khelinone (II) by 0-alkylation and Claisen condensation reactions.

II vas
etherified with C1(CH2) 3NMeCH2CH2C6H3(OMe) 2-3,4 by refluxing 14 h in
EtCOMe and condensed with 4-HOC6H4CHO in aq. ethanolic NaOH at room

to give I [R2 = bond, R1 = R2 = R4 = Me, R3 = 4-Ho, R31 = R32 = H, R5

3,4-(MeO) 2C6H3CH2CH2, Z = COCH:CH, n = 3], isolated as its oxalate reduced
systolic blood pressure 20%.
II 221593-66-69

RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation):

(Reactant or reagent)
(prepn. and Claisen condensation of, in prepn. of antihypertensive)
121593-66-6 CAPLUS
Ethanone, 1-[4,7-dimethoxy-6-[3-(4-phenyl-1-piperidinyl)propoxy]-5benzofuranyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 28 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:231422 CAPLUS
DOCUMENT NUMBER: 110:231422
TITLE: Benzofuran derivatives and their preparation as ulcer

inhibitors
Schlecker, Rainer; Ruebsamen, Klaus
BASF A.-G., Fed. Rep. Ger.
Eur. Pat. Appl., 14 pp.
CODEM: EPXXDW
Fatent
German 1 INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 284914	A1	19881005	EP 1988-104306	19880318
EP 284914	В1	19911016		
R: AT, BE,	CH, DE	, ES, FR, GB,	IT, LI, NL, SE	
DE 3710469	A1	19881020	DE 1987-3710469	19870330
US 4845096	A	19890704	US 1988-170321	19880318
AT 68494	E	19911115	AT 1988-104306	19880318
ES 2041719	Т3	19931201	ES 1988-104306	19880318
JP 63258473	A2	19881025	JP 1988-74866	19880330
PRIORITY APPLN. INFO	. :		DE 1987-3710469	19870330
			EP 1988-104306	19880318
OTHER SOURCE(S):	CA	SREACT 110:23	31422; MARPAT 110:23	1422

$$\begin{array}{c} \text{OR2} \\ \text{N-Het} \\ \text{O-(CH2)} \\ \text{N-N} \\ \text{R}^{3} \end{array}$$

Benzofuran derivs. I [R1,R2 = H, alkyl, phenylalkyl; R3, R4 = H, AB B alkyl;

ls
RRMN = 3-5-member chain that optionally contains 0, NR1; X = COCH:CH,
COCHZCHZ, CH(OH)CHZCHZ) n = 2,3; Het = 5-6-membered heteroarom. ring
contg. N, O, S, which optionally contains another N-atom and can be
substituted by alkyl, F, Cl, Br, NH2, NR1R2) are prepd. and used as ulcer

r inhibitors (no data). A mixt. of 4-hydroxy-9-methoxy-7-methylfuro[3,2g]chromone (95 g) and 100 g C6H5CH2Br, 1000 mL MeCOEt,

and
210 g K2CO3 was refluxed for 15 h to give 123 g
4-benzyloxy-9-methoxy-7methylfuro[3,2g]chromone. Ring opening was accomplished by refluxing

g of the latter with 67 g KOH in 100 mL H2O and the product was neutralized with HCl to give 115 g 5-acetyl-4-benzyloxy-6-hydroxy-7-

L10 ANSWER 28 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) methoxybenzofuran. This (50 g) was refluxed with a mixt. of 35 g chloroethylpiperidine, 90 g XZCO3, and 400 mL MeCOEt for 5 h to give

S-acetyl-4-benzyloxy-7-methoxy-6-(2-N-piperidinoethoxy)benzofuran

and 18.5 ft latter was catalytically hydrogenated to give 16 g 5-acetyl-4-hydroxy-7-methoxy-6-(2-N-piperidinosthoxy)benzofuran. The latter was treated with 0.4 g NaH followed by 2.1 g EtI, and the

product
was extd. with CH2C12 and HCl to give 2.0 g
5-acetyl-4-ethoxy-7-methoxy-6(2-N-piperidinoethoxy) benzofuran-HCl. In a reaction with a suitable
alchyde deriv., this product was converted to I (R1 = Me, R2 = Et, NR3R4

M = piperidino, n = 2, X = COCH:CH2, Het = 1-methyl-3-pyrazolyl).
119104-90-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); ΙT RACT

(Reactant or reagent)
(prepn. and reaction of, with aldehydes)
119104-90-4 CAPLUS
Ethanone, 1-[4-ethoxy-7-methoxy-6-[3-(1-piperidinyl)propoxy]-5-benzofuranyl]- (9CI) (CA INDEX NAME)

ΙT 119104-60-8P 119137-84-7P

INSIGNATION OF INVISION PREPAIR (Preparation) (prepn. of, as ulcer inhibitor)
19104-60-8 CAPIUS
2-Propen-1-one, 1-[4-ethoxy-7-methoxy-6-[3-(1-piperidinyl)propoxy]-5-benzofuranyl]-3-(1-methyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)

119137-84-7 CAPLUS
IH-Fyrazole-4-propanol, .alpha.-[4-ethoxy-7-methoxy-6-[3-(1-piperidinyl)propoxy)-5-benzofuranyl]-1-methyl- (SCI) (CA INDEX NAME)

L10 ANSWER 29 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:212653 CAPLUS
DOCUMENT NUMBER: 110:212653
TITLE: Synthesis of
4-hydroxy-3-methyl-7-phenyl-58f-furo[3,2-6]
derivatives

derivatives AUTHOR(S): CORPORATE SOURCE: SOURCE:

Balicka, Eliza Inst. Drug Sci., Sch. Med., Warsaw, 02007, Pol. Acta Poloniae Pharmaceutica (1988), 45(2), 108-12 CODEN: APPHAX; ISSN: 0001-6837 Journal

DOCUMENT TYPE:

LANGUAGE: OTHER SOURCE(S): Polish CASREACT 110:212653

The title benzopyranone (I, R = H) was refluxed 10 h with 1-chloro-2,3-epoxypropane in the presence of K2CO3 to yield 60% I (R AB

oxiranylmethyl). The latter was cleaved with amines to afford 20-41% I [R

1% I [R - CH2CH(OH)2CH2R1, where R1 - piperidinyl, 3- and 4-methylpiperidino, morpholino, 1-pyrrolidinyl, 4-methyl-1-piperazinyl, Me3CNH, Et2N,

and EtMeCHNH]. 120641-98-7P 120641-99-8P 120642-00-4P RL: SPN (Synthetic preparation); PREP (Preparation) IT

No. Ora (printerly preparation), FREY (FI PRINTER), 120641-98-7 CAPLUS CN SH-RU9(3,2-9|(1)henzopyran-5-one, 4-(2-hydroxy-3-(1-piperidiny1)propoxy)-3-methy1-7-pheny1-(9CI) (CA INDEX NAME)

L10 ANSWER 28 OF 54 CAPLUS COPYRIGHT 2003 ACS

L10 ANSWER 29 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

120641-99-8 CAPLUS 5H-Furo[3,2-g][1]benzopyran-5-one, 4-[2-hydroxy-3-(3-methyl-1-piperidinyl)propoxy]-3-methyl-7-phenyl- (9CI) (CA INDEX NAME)

120642-00-4 CAPLUS

5H-Furo[3,2-9][1]benzopyran-5-one, 4-[2-hydroxy-3-(4-methyl-1-piperidinyl)propoxy]-3-methyl-7-phenyl- (9CI) (CA INDEX NAME)

L10 ANSWER 30 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

107814-68-6 CAPLUS 1-Piperidineethanol, 2,6-dimethyl-.alpha.-[[(6,7,8,9-tetrahydro-2-dibenzofuranyl)oxy]methyl]- (9CI) (CA INDEX NAME)

IT 119952-78-2P

RE: SPN (Synthetic preparation); PREP (Preparation)
(preph. of)
119952-78-2 CAPLUS
1-Piperidineethanol, 2,6-dimethyl-.alpha.-[[(6,7,8,9-tetrahydro-2-dibenzofuranyl)oxy]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

• HC1

L10 ANSWER 30 OF 54 ACCESSION NUMBER: DOCUMENT NUMBER: CAPLUS COPYRIGHT 2003 ACS 1989:165948 CAPLUS 110:165948 Synthesis and pharmacological activity of 1-amino-3-{tetrahydro- and

TITLE:

hexahydrodibenzofuran-8-

AUTHOR(S):

yloxy)-2-propanols Borisova, L. N.; Glozman, O. M.; Ismailov, Sh. I.; Pidevich, I. N.; Demina, L. M.; Lezina, V. P.; Vinokurov, V. G.; Troitskaya, V. S.; Zagorevskii,

٧.

CORPORATE SOURCE:

A.
NII Farmakol., Moscow, USSR
Khimiko-Farmatsevticheskii Zhurnal (1989), 23(1), SOURCE: 41-5

CODEN: KHFZAN; ISSN: 0023-1134

DOCUMENT TYPE: LANGUAGE:

Journal Russian CASREACT 110:165948 OTHER SOURCE(S):

The title compds. I (R1 = H or alkyl, R2 = alkyl, or NR1R2 = cyclohexylamino, piperidino, morpholino, etc.) and II (R1 = H, R2 = indo-Fr, tert-Bu or NR1R2 = imidazol-1-yl) were prepd. by the reaction AB

1,2,3,4-tetrahydro- or 1,2,3,4,4a,9b-hexahydrodibenzofuran-8-ols with epichlorohydrin followed by the cleavage of the epoxides formed with the

corresponding amines. The compds. tested showed hypotensive,

spasmolytic,
broncholytic and .beta.-adrenergic blocking activities. I and II were
stronger .beta.-adrenergic blockers than propranolol. The compds.

not have myorelaxant activity. The LD50 of the compds. are tabulated and structure-activity relations are discussed. 107814-65-3P 107814-68-6F

RL: BAC (Biological activity or effector, except adverse); BPR (Biological

(Depted) BSU (Biological study, unclassified); SPN (Synthetic process); BSU (Biological study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (prepn. and pharmacol. of) 107814-65-3 CAPLUS 1-Piperidinecthanol, .alpha.-[[(6,7,8,9-tetrahydro-2-dibenzofuranyl)oxy]methyl]- (SCI) (CA INDEX NAME)

L10 ANSWER 31 OF 54
ACCESSION NUMBER:
DOCUMENT NUMBER:
1199:153966 CAPLUS
110:153966
Synthesis of the aminoalkanol and diaminoalkanol
derivatives of khellin
Kossakowski, Jerzyr Zawadowski, Teodor
Inst. Drug Sci., Sch. Med., Warsaw, 02007, Pol.
ACCAPOINTER
LANGUAGE:
CODECUMENT TYPE:
LANGUAGE:
OTHER SOURCE(S):
GI
CASPEACT 110:153966

4-Demethylkhellin treated with epichlorohydrin in presence of K2CO3 yielded 60% of the 4-(2,3-epoxypropoxy) deriv. Reaction of this compd.

with amines gave the aminopropoxy derivs. I [R=R1=Et, R=H, R1=PhCHMe, 3,5-(MeO)2C6H3CH2CH2; RR1=(CH2)4, (CH2)5, (CH2)2NMe(CH2)2,

(CH2)20(CH2)2]. Similarly, 4,9-didemethylkhellin yielded 55% of the 4,9-bis(2,3-epoxypropoxy) analog which was converted into amines II

[RRI] = (CH2) 5 and (CH2) 2NMe (CH2) 2] in 30% yields.

IT 115523-83-69 115523-85-99 RI: SPN (Synthetic preparation); PREP (Preparation) (preps. of)

RN 115523-83-6 CAPLUS
CN 5H-Purc(3,2-9] (1)benzopyran-5-one,
4-[2-hydroxy-3-(1-piperidiny1)propoxy) 9-methoxy-7-methyl- (9CI) (CA INDEX NAME)

PAGE 2-A

115523-86-9 CAPLUS 5H-Furo[3,2-g][1]benzopyran-5-one, 4,9-bis[2-hydroxy-3-(1-piperidinyl)propoxy]-7-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A

L10 ANSWER 32 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:23753 CAPLUS
110:23753
TITLE: Synthesis of aminoethyl and aminohydroxyalkyl derivatives of
7-styryl-5H-furo[3,2-g][1]benzopyran-5one
AUTHOR(S): Kossakowski, Jerzy, Zawadowski, Teodor
Kossakowski, Jerzy, Zawadowski, Teodor
Instr. Drug Sci., Sch. Med., Warsaw, 02007, Pol.
Acta Poloniae Pharmaceutica (1987), 44(2), 147-54
CODEM: APPHAX: ISSN: 0001-6837
JOURNAL LANGUAGE: Journal
LANGUAGE: CASREACT 110:23753

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

AB Alkylation of furobenzopyranone I (R - Me, R1 - H) with epichlorohydrin followed by regioselective epoxide opening with amines gave the corresponding I [R - Me, R1 - CH2CH(OH)CH2R2; R2 - NEt2, pyrrolidino, piperidino, 4-methylpiperidino, 4-met

dimethylpiperidino, morpholino]. Oxidn. of I (R = Rl = Me) to the quinone with dil. HNO3 followed by redn. with NaHSO3 gave I (R = Rl = H) (II), which was regioselectively monoalkylated with R3CH2CH2Cl.cntdot.HCl (R3 = Et2N, pyrrolidino, piperidino, morpholino) to give 35-45% I (R = CH2CH2R3, Rl = H). II was diacetylated with Ac2O-AcONa and dialkylated with MeCHBrCO2Et to give I (R = Rl = Ac, CHMCCO2H), in 80 and 70% yields, resp.

MeCHBrCO2Et to give I (R = R1 = Ac, Chrecozn, in so and in presp.

Alkylation of I (R = 2-morpholinoethyl, Rl = H) with ClCH2COMe,
MeCHBrCO2Et, and EtcHBrCO2Et gave 56-80% I (same R, R1 = CH2COMe,
Et, CHEtCO2Et).

IT 18083-31-1P 18083-32-2P 18083-34-4P
RL: SPN (Synthetic preparation); PREF (Preparation)
(prepn. of)
RN 18083-31-1 CAPLUS
NSH-Furo(3, 2-g][1]benzopyran-5-one,
9-[2-hydroxy-3-(1-piperidiny1)propoxy]4-methoxy-7-(2-phenylethenyl) - (9CI) (CA INDEX NAME)

RN 118083-32-2 CAPLUS
CN 5H-Furo[3,2-g][1]benzopyran-5-one, 9-[2-hydroxy-3-(4-methyl-1-piperidinyl)propoxy]-4-methoxy-7-(2-phenylethenyl)- (9CI) (CA INDEX NAME)

RN 118083-34-4 CAPLUS
CN 5H-Furo[3,2-g][1]benzopyran-5-one,
9-[3-(2,6-dimethyl-1-piperidinyl)-2hydroxypropoxy]-4-methoxy-7-(2-phenylethenyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 32 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

L10 ANSWER 33 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

PAGE 2-A

L10 ANSWER 33 OF \$4 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:549179 CAPLUS
DOCUMENT NUMBER: 109:149179
TITLE: Synthesis of aminoalkanol and aminoethyl
derivatives

of 4,9-dihydroxy-7-ethyl-5H-furo[3,2-g][1]benzopyran-5-

one Constanting Transfer of Co AUTHOR(S): CORPORATE SOURCE: SOURCE:

DOCUMENT TYPE:

LANGUAGE: OTHER SOURCE(S): GI

The title compds. I [R = CH2CH2R2, CH2CN(OH)CH2R3, R1 = H; R2 = pyrrolidino, piperidino, morpholino; R3 = NEt2, NHCMe3, morpholino; R AB

morpholinoethyl, R1 = CH2COMe; R = R1 = 2-hydroxy-3-piperidinopropyl]

were ΙT

prepd. from khellin.
116777-01-6F
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
116777-01-6 CAPLUS
SH-Furo[3,2-q][1]benzopyran-5-one, 7-ethyl-4,9-bis[2-hydroxy-3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)

L10 ANSWER 34 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER:
DOCUMENT NUMBER:
1988:422859 CAPLUS
109:22859
Synthesis of 4-(3-amino-2-hydroxypropoxy)furchenzopyrans
hydroxypropoxy)furchenzopyrans
Kossakowski, Jezzy: Zawadowski, Teodor
Inst. Drug Sci., Sch. Med., Warsaw, 02-007, Pol.
Acta Poloniae Pharmaceutica (1986), 43(6), 539-42
CODEN: APPHAX; ISSN: 0001-6837
JOURNALL LANGUAGE;
OTHER SOURCE(S):
CASREACT 109:22859

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

The title compds. I (R = Et2N, Me2CHNH, PhNeCHNH, pyrrolidinyl, piperidinyl, 4-morpholinyl, 4-methylpiperazinyl) were prepd. in 35-408 yields from the 4-(2,3-epoxypropoxy) analog (II) of I in the reaction

the corresponding amine in aq. MeOH. II was obtained in 60% by

the corresponding amane in aq. MeOH. II was obtained in overby reatment of the corresponding 4-hydroxy deriv. with epichlorohydrin. In preliminary biol. tests, I (R = Et2N, PhMeCHHH) protected exptl. rats against BaCl2-induced arrhythmia and slowed down the heart rate.

II 114970-86-4P

114970-86-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
114970-86-4 CAPLUS
SH-Furo[3,2-g][1]benzopyran-5-one, 7-ethyl-4-[2-bydroxy-3-(1-piperidinyl)propoxy)-9-methoxy- (9CI) (CA INDEX NAME)

1.10 ANSWER 34 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

L10 ANSWER 35 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued (prepn. of, as antipsychotic)
RN 11349-92-6 CAPLUS
CN 3(2H)-Benzofuranone,
6-[3-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)propoxy](9C1) (CA INDEX NAME)

INVENTOR(S): Juan C.; Wise, Lawrence D. Warner-Lambert Co., USA Eur. Pat. Appl., 14 pp. CODEN: EPXXDW Patent PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE EP 237781 A2 19870923 EP 237781 A3 19871216 EP 237781 B1 19910424 R: AT, EE, CH, EE, ES, FR, US 4704390 A 19871103 AT 625924 E 19910515 ES 2028802 T3 19920716 CA 1280750 A1 19910226 US 4803203 A 19890207 SITY APPLIN. INFO:: EP 1987-101928 19870212 GB, GR, IT, LI, LU, NL,
3 US 1986-924627
JP 1987-28394
AT 1987-101928
5 ES 1987-101928
5 CA 1987-529550
US 1987-62752 19861105 19870212 19870212 19870212 19870213 19870616 19860213 US 1986-829036 US 1986-924627 EP 1987-101928 PRIORITY APPLN. INFO .: OTHER SOURCE(S): CASREACT 108:131852

GI For diagram(s), see printed CA Issue.

AB The title compdes (Is R = (un) substituted Ph, pyridinyl, pyrimidinyl, pyrazinyl, thienyl, furanyl, 2- or 5-thiazolyl; X = N or, when double indicated by dotted line is present, C: A = 5- or 6-membered N- and/or 0-conty, heterocycle fused to the benzo ring; n = 2-5] and their pharmaceutically acceptable acid salts were prepd. as antipsychotic agents. 2.3-Dibydco-7-methoxy-2.2-dimethyl-4H-benzopyran-4-one was demethylated (60%) by refluxing in pyridine-HCl and the product was stirred with 1-phenylpiperazine 18 h at 80-90.degree. in DMF conty. NaHCO3 to give, after acidification, 64% (piperazinylpropoxy)benzopyranone In rate II inhibited locomotor activity with an ED50 of 5.9 $\mbox{mg/kg}$ and displaced haloperidol from rat striatal membrane with an IC50 of 300 RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L10 ANSWER 36 OF 54 CAPLUS COPYRIGHT 2003 ACS ACSESSION NUMBER: 1987:169032 CAPLUS DOCUMENT NUMBER: 106:169032 TITLE: Derivatives of 1-amino-106:169032 Derivatives of 1-amino-3-(1,2,3,4-tetrahydro- or 1,2,3,4,4a,9b-hexahydrodibenzofurany1-8-oxy)-2-propanol with .beta.-adrenoblocking, hypotensive, spasmolytic, neurotropic-depressive, and broncholytic properties Val'dman, A. V.; Zagorevskii, V. A.; Kaverina, N. INVENTOR(S): Borisova, L. N.; Pidevich, I. N.; Ismailov, Sh. Ι.: Glozman, O. M.; Shmar'yan, M. I.; Klimova, N. V.; Shcherbakova, O. V. Scientific-Research Institute of Pharmacology, PATENT ASSIGNEE(S): Academy of Medical Sciences, U.S.S.R., USSR U.S.S.R. From: Otkrytiya, Izobret. 1986, (46), SOURCE: 297. CODEN: URXXAF DOCUMENT TYPE: LANGUAGE: Russian FAMILY ACC. NUM. COUNT: PATENT INFORMATION: ...ru(CATION NO. 1 19861215 SU 1980-2925649 SU 1980-2925649 CASREACT 106:169032 PATENT NO. KIND DATE APPLICATION NO. DATE SU 869278 A1 19861215 19800321 19800321 PRIORITY APPLN. INFO.: OTHER SOURCE(S): GI

AB Title compds. I (R = Me2CHNH, Me3CNH, Et2N, Pr2N, piperidino, morpholino, l-imidazolyl) have .beta.-adrenoblocking, hypotensive, spasmolytic, and neurotropic-depressive activities. I (R = BuNH, cyclohexylamino, 2,6-dimethylpiperidino) also have broncholytic activity.

IT 107814-65-3 107828-75-1
RL: BIOL (Biological study) (.beta.-adrenoblocking and hypotensive and spasmolytic and neurotropic-depressive agent)
RN 107814-65-3 CAPLUS
CN l-Piperidineethanol. .alpha.-[[(6,7,8,9-tetrahydro-2-dibenzofuranyl)oxy]methyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 36 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

107828-75-1 CAPLUS 1-Piperidineethanol, .alpha.-[[(5a,6,7,8,9,9a-hexahydro-2-dibenzofuranyl)oxy]methyl]- (9CI) (CA INDEX NAME)

107814-68-6
RL: BIOL (Biological study)
(.beta.-adrenoblocking and hypotensive and spasmolytic and neurotropic-depressive and broncholytic agent)
107814-68-6 CAPLUS
1-Piperidineethanol, 2,6-dimethyl-.alpha.-[[(6,7,8;9-tetrahydro-2-dibenzofuranyl)oxy]methyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 37 OF 54 CAPLUS COPYRIGHT 2003 ACS

L10 ANSWER 37 OF 54 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1986:626411 CAPLUS DOCUMENT NUMBER: 105:226411 Furanc corrections

Furano compounds: synthesis of aroylbenzofurans, benzo[1,2-b:5,4-b']difurans and their basic ethers Geetanjali, Y.; Rajitha, B.; Kanakalingeswara

AUTHOR (S): Rao, M. CORPORATE SOURCE:

Dep. Chem., Reg. Eng. Coll., Warangal, 506 004,

Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1985), 24B(11), 1129-32 CODEN: 175BDB; 15SN: 0376-4699 Journal English CASREACT 105:226411

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

AB Alkylaminoslkoxybenzofurans I (R = CH2CH2NR1R2, CHMeCH2NMe2, 3-piperidinopropyl) NR1R2 = NMe2, NEt2, pyrrolidino, piperidino, morpholino) have been synthesized by condensing I (R = H) with RC1.HCl in the presence of K2CO3 in dry Me2CO. I (R = H) was obtained by refluxing | 1,3-Ac2C6H2(OH)2-4,6 with BrCH2Bz.

Dibenzoylbenzo(1,2-b:5,4-b')difurans II (R3 = H, OMe, OH, OR) have also been synthesized. Condensation of BrCH2Bz with 5-acetyl-6-hydroxy-2,3-diphenylbenzofuran results in 6-benzoyl-5-methyl-2,3-diphenylbenzofuran results in 105492-64-69 RL: SFN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 105492-64-6 CAPLUS
CN Ethanone, 1-[2-benzoyl-3-methyl-6-[3-(1-piperidinyl)propoxy]-5-benzofuranyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 38 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1986:148747 CAPLUS
DOCUMENT NUMBER: 104:148747
ITILE: Pyridinium salts and their fungicidal and bactericidal

INVENTOR(S):

use
Rentzea, Costin; Sauter, Hubert; Pommer, Ernst
Heinrich; Ammermann, Eberhard
BASF A.-G., Fed. Rep. Ger.
Ger. Offen., 18 pp.
CODEN: GWXXEX
Patent
German
1

PATENT ASSIGNEE (S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE

DE 3408079 A1 19850912
EF 155574 A1 19850925
EF 155574 B1 19860824
R: BE, CH, DE, FR, GB, LI, NL
PRIORITY APPLN. INFO.:

CASREACT 10.

GI APPLICATION NO. DATE DE 1984-3408879 CASREACT 104:148747

AB Pyridinium salts [R1, R2 = halo, halo (un)substituted C1-4 alkyl or alkoxy, cyano, NO2, R3 = halo, C.ltoreq.6 aliph. group, cyano, NO2, CO2R4

COORMAS, NR4RS (R4, R5 = H, C1-6 alkyl); n, p, q = 0-3; X = anion of a non-phytotoxic acid HX; 2 = C2-10 alkylene (un) substituted with .gtoreq.1

C1-3 alkyl], useful as algicides and agricultural bactericides and fungicides, were prepd. 7-Chloro-3-dibenzofuranol (98.4 g) in DMF was treated with XCOO and 286 g C1 (CH2) GC1 and the mixt. stirred 10 hat 100.degree. to give 85.2 g ether II. II (12 g) in DMF was stirred with

3-methylpyridine 6 h at 100.degree. to give 11.4 g I [Rln = 7-Cl, R2p = H,

R3q = 3-Me, X = Cl, Z = (CH2)6] (III). At 0.05% III showed 97% fungicidal

activity against Botrytis cinerea on sweet pepper vs. 70% for a known

ANSWER 38 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

ANDWEN JW UF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) agent.

IT 101335-28-1P 101335-29-2P 101336-30-5P 101336-31-6P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological activity activity activity or effector); THU (Therapeutic use);

| BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as bactericide, fungicide, and for) algicide; 101336-28-1 CAPLUS | Pyridinium, 1-{3-{(7-chloro-2-dibenzofuranyl)oxy]propyl}-4-methyl-, bromide (9CI) (CA INDEX NAME)

101336-29-2 CAPLUS
Pyridinium, l-[3-1(7-chloro-2-dibenzofuranyl)oxy]propyl]-3-methyl-,
bromide [901] (CA INDEX NAME)

• Br

RN 101336-30-5 CAPLUS CN Pyridinium, 3-butyl-1-[3-[(7-chloro-2-dibenzofuranyl)oxy]pentyl]-, bromide (9CI) (CA INDEX NAME)

• Br

L10 ANSWER 39 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1985:184929 CAPLUS
TITLE: 102:184929
Synthesis and .beta.-adrenoblocking activity of 1-dibenzofuranyloxy-3-aminopropan-2-ols
Glozman, O. M., Ismailov, Sh. 1.; Borisova, L.
N.:

AUTHOR(S):

Zhmurenko, L. A.; Orlova, E. K.; Zagorevskii, V. CORPORATE SOURCE:

NII Farmakol., Moscow, USSR Khimiko-Farmatsevticheskii Zhurnal (1984),

18 (10)

1193-8 CODEN: KHFZAN; ISSN: 0023-1134 Journal Russian CASREACT 102:184929 DOCUMENT TYPE:

LANGUAGE: OTHER SOURCE(S): GI

OCH2CH (OH) CH2NR2 OCH2CH (OH) CH2NR2 II

> OCH2CH (OH) CH2NR2 III

OCH2CH (OR1) CH2NR2

Dibenzofuran aminopropanol derivs. I [R2N = 2,6-dimethylpiperidyl cyclohexylamino (Q1)], II (R2N = Q, Q1, Me2CHNH, Me3CNH), III (R2N =

Q, Q, Q4, Me2CHNH), and IV (R = pivaloy), Bz, 1-adamantoy)) as well as naphthalene analogs I (R2N = Q, Me2CHNH; R1 = Ac, 1-adamantoy), pivaloy),
H) were prepd. (isolated as salts). Thus, treating 1,2,3,4,4a,9b-hexahydrodibenzofuran-8-ol in aq. NnOH with epichlorohydrin (3 h at

L10 ANSWER 38 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

101336-31-6 CAPLUS
Pyridinium, 1-{3-[(7-chloro-2-dibenzofuranyl)oxy]propyl]-3-ethyl-,
ide
(9CI) (CA INDEX NAME)

• Rr-

ANSWER 39 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) 35-40.degree.) and amination of the product in alc. gave 26-31% I...beta.-Adrenoblocking activities are tabulated for I-V; substituents

d
Q1 reduce the activity.
94787-02-TP 94787-03-8P 94787-04-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and .beta.-adrenoblocking activity of)
94787-02-7 CAPLUS
1-Piperidineethanol, .alpha.-[[(5a,6,7,8,9,9a-hexahydro-2-dibenzofuranyl)oxy]methyl]-2,6-dimethyl-, hydrochloride (9CI) (CA

• HC1

94787-03-8 CAPLUS
1-Piperidineethanol, 2,6-dimethyl-.alpha.-[[(6,7,8,9-tetrahydro-4-dibenzofuranyl)oxy]methyl}-, hydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 94787-04-9 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(1-dibenzofuranyloxy)methyl]-2,6-dimethyl-,

ANSWER 39 OF 54 CAPLUS COPYRIGHT 2003 ACS hydrochloride (9CI) (CA INDEX NAME) (Continued)

• HCl

L10 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) 6-hydroxy-3-coumaranone with cyclohexanone in EtOH contg. KOH in 36 room temp. gave 40% 2-cyclohexylidene-6-hydroxy-3-coumaranone which O-alkylated with NaOCHMe2 and 3-(4-benzamidopiperidino)propyl chloride in efluxing HOCHMe2 to give 75% coumaranyl ether II.

IT 88281-10-1P

88281-10-1P
RI: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and condensation of, with acetone)
82281-10-1 CAPUS
Benzeneacetamide, N-[1-[3-((2,3-dihydro-3-oxo-7-propyl-6-benzenfuranyl)oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

Ph-CH2-C-NH

ÎΤ

88280-88-0P 88280-96-0P 88281-06-5P 88281-07-6P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

(Reactant or reagent)
(prepn. and hydrogenation of)
88280-88-0 CAPUS
Benzamide, N-[1-[3-[(2-cyclohexylidene-2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

Ph-C-(CH2) 3

88280-96-0 CAPLUS
Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-6-benzofuranyl]oxylpropyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1984:22579 CAPLUS
DOCUMENT NUMBER: 100:22579 TITLE: Bicyclic phenol ethers and compositions containing them

them
Friebe, Walter Gunar; Kampe, Wolfgang; Roesch,
Androniki; Schauman, Wolfgang
Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
Ger. Offen., 26 pp.
CODEN: GWXXEX
Patent
German
1 INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PAT	ENT NO.		KIND	DATE	APPLICATION NO.	DATE
	DE	3209271		A1	19830915	DE 1982-3209271	19820313
	US	4486442		A	19841204	US 1983-469856	19830225
	CA	1243320		A1	19881018	CA 1983-422393	19830225
	EP	88986		A2	19830921	EP 1983-102247	19830308
	ΕP	88986		A3	19831109		
	EP	88986		B1	19870225		
		R: AT,	BE,	CH, DE,	FR, GB,	IT, LI, LU, NL, SE	
	JP	58167587	7	A2	19831003	JP 1983-36766	19830308
	AT	25523		E	19870315	AT 1983-102247	19830308
	ES	520486		A1	19831216	ES 1983-520486	19830310
RIO	RITY	APPLN.	INFO.	:		DE 1982-3209271	19820313
						EP 1983-102247	19830308

OTHER SOURCE(S): CASREACT 100:22579

AB Bicyclic phenol ethers I (R1 = H, alkyl); R2 = H, acyl); X = 0, S, NH; X1 = COCHR3 [R3 = H, aryl (un) substituted alkyl, C3-7 cycloalkyl], COC: CR4R5

[R4, R5 = H, alkyl, aryl (un)substituted C2-16 alkenyl, acyl; CR4R5 = cycloalkyl)] and their salts, useful in treating allergies and as inhibitors of anaphylaxis (no data), were prepd. by 5 methods. Condensing

L10 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

88281-06-5 CAPLUS
Benzamide, N-[1-[3-[2,3-dihydro-2-(2-methylpropylidene)-3-oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

88281-07-6 CAPLUS
Benzamide, N-[1-[3-[[2,3-dihydro-3-oxo-2-(3-phenyl-2-propenylidene)-6-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ \parallel \\ N - C - NH \end{array}$$

$$N - (CH_2)_3 - O - CH - CH = CH - Ph$$

80281-29-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

(Reactant or reagent) (prepn. and N-alkylation of, by cyclopropanecarbonyl chloride) 88281-29-2 CAPIUS 3(2H)-Benzofuranone, 6-[3-(4-amino-1-piperidinyl)propoxy]-2-(1-methylethylidene)- (9CI) (CA INDEX NAME)

H₂N

88280-94-8P 88280-95-9P 88280-97-1P 88280-98-2P 88280-99-3P 88281-00-9P 88281-01-0P 88281-02-1P 88281-03-2P 88281-04-3P 88281-05-8P 88281-08-7P 88281-09-8P 88281-26-9P 88281-28-1P 88281-30-5P 88281-31-5P 88281-32-7P 88281-33-8P 88289-35-5P RL: SFN (Synthetic preparation); PREP (Preparation) (prepn. of)

(prepn. of)
88280-94-8 CAPLUS
Benzamide, N-[1-[3-[[2,3-dihydro-3-(1-methylethylidene)-2-oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 88280-95-9 CAPLUS
CN Cyclopropanecarboxamide,
N-[1-[3-[12,3-dihydro-2-(1-methylethylidene)-3oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

88280-97-1 CAPLUS
Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-fluoro- (9CI) (CA INDEX

L10 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2003 ACS

80281-00-9 CAPLUS
Benzamide, N-[1-[3-{[2,3-dihydro-2-(1-methylethylidene)-3-oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]-2-(methylthio)- (9CI) (CA INDEX NAME)

88281-01-0 CAPLUS
Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]-2-(methylsulfonyl)- (9CI)

INDEX NAME)

RN 88281-02-1 CAPLUS
CN Benzeneacetanide,
Fl-1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]-2-bitro- (9CI) (CA INDEX

L10 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A

88280-98-2 CAPLUS
Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-fluoro- (9CI) (CA INDEX

88280-99-3 CAPLUS
Benzamide, N-[1-[3-[(2,3-dihydro-2-(1-methylethylidene)-3-oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]-2-nitro- (9CI) (CA INDEX NAME)

L10 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

$$\begin{array}{c|c} & & & \\ & & & \\$$

 $88281-04-3 \quad CAPLUS \\ Benzamide, \ N-[1-[3-[\{2,3-dihydro-2-[1-methyl-3-(2,6,6-trimethyl-1-cyclohexen-1-yl]-2-propenylidene]-3-oxo-6-benzofuranyl]oxy[propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)$

$$\begin{array}{c} 0 \\ \text{Ph-C-NH} \\ \\ N \end{array} \\ \text{N-(CH2)} \\ 3 - 0 \\ \\ \text{O} \\ \end{array}$$

88281-05-4 CAPLUS
Benzamide, N-[1-[3-[[2,3-dihydro-3-oxo-2-(1,5,9,13-tetramethyl-4,8,12-tetradeatrienylidene)-6-benzofuramyl]oxy]propyl]-4-piperidinyl]-(9CI) (CA INDEX NAME)

L10 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

PAGE 1-B

$$\begin{array}{c} \text{Me} \\ | \\ -\text{CH}_2 - \text{CH} = \text{C} - \text{CH}_2 - \text{CH}_2 - \text{CH} = \text{CMe}_2 \end{array}$$

88281-08-7 CAPLUS
Benzamide, N-(1-[3-[[2,3-dihydro-3-oxo-2-(phenylmethylene)-6-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

88231-09-8 CAPLUS Benzamide, N-[1-[3-[[2,3-dihydro-3-oxo-2-(phenylmethylene)-6-benzofuranyi]oxy]propyi]-4-piperidinyi]-4-fluoro-(9CI) (CA INDEX

RN 88281-26-9 CAPLUS
CN Benzamide,
2-amino-N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-6-

L10 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

88281-32-7 CAPLUS
Benzamide, N-[1-[3-[[2,3-dihydro-3-oxo-2-(3-phenylpropyl)-6-benzofuranyl]oxylpropyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

88281-33-8 CAPLUS
Benzamide, N-[1-[3-[(2-cyclohexyl-2,3-dihydro-3-oxo-6-benzofuranyl)oxylpropyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

 $\label{eq:second-seco$ (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.

L10 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 88281-28-1 CAPLUS
CN Benzeneacetanide,
N-[1-{3-{(2,3-dihydro-2-(1-methylethylidene)-3-oxo-6-benzofuranyl)oxy)propyl}-4-piperidinyl]- (9CI) (CA INDEX NAME)

80201-30-5 CAPLUS
Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethyl)-3-oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

88281-31-6 CAPLUS
Benzamide, N-[1-[3-[[2,3-dihydro-2-(2-methylpropyl)-3-oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2003 ACS

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PAGE 1-B

✓ CMe2

LIO ANSWER 41 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1893:198073 CAPLUS
DOCUMENT NUMBER: 98:198073 CAPLUS
1983:198073 CAPLUS
98:198073
Synthesis of the aminoalkanol derivatives of
4-hydroxy-5-methoxy-3-methylfuro[2,3-q]benzofuran
AUTHOR(S): 2awadowski, Teodory Mazur, Andrzejr Uliasz, Adolf
Inst. Drug Sci., Sch. Med., Warsaw, 02-007, Pol.
100-12

SOURCE: 109-12

CODEN: APPHAX; ISSN: 0001-6837 Journal Polish CASREACT 98:198073

DOCUMENT TYPE:

LANGUAGE: OTHER SOURCE(S): GI

AB Six title derivs. I.HCl (R = OH, Rl = NHCHMe2, NEt2, pyrrolidine, 4-methylpiperazino, morpholino, piperidino) were synthesized as potential antiarrhythmic agents from I (RRl = 0) and an amine in MeOH at room

temp;
I (RR1 = 0) was obtained by etherification of the corresponding alc.

epichlorohydrin in the presence of K2CO3.
85727-12-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
85727-12-4 CAPLUS
1-Piperidineethanol, .alpha.-[[(5-methoxy-3-methylbenzo[1,2-b:3,4-b']difuran-4-yl)oxy]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 42 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:615981 CAPLUS
DOCUMENT NUMBER: 97:215981
Dibenzofuran derivatives and their use as
fungicides
INVENTOR(S): Rentzea, Costin, Feuerherd, Karl Heinz, Ze
Bernd; Rentzea, Costin; Feuerherd, Karl Heinz; Zeeh, Sauter, Hubert; Pommer, Ernst Heinrich BASF A.-G., Fed. Rep. Ger. Eur. Pat. Appl., 41 pp. CODEN: EFXXDW Patent German 1

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 57362	A1	19820811	EP 1982-100301	19820118
EP 57362	B1	19840620		
R: AT, BE,	CH, DE,	, FR, GB, IT	, LU, NL, SE	
DE 3103069	A1	19820826	DE 1981-3103069	19810130
AT 8046	E	19840715	AT 1982-100301	19820118
US 4376776	A	19830315	US 1982-342931	19820126
DK 8200401	A	19820731	DK 1982-401	19820129
JP 57144278	A2	19820906	JP 1982-11935	19820129
JP 03003673	B4	19910121		
PRIORITY APPLN. INFO.	. :		DE 1981-3103069	19810130
			EP 1982-100301	19820118
OTHER SOURCE(S):	CAS	SREACT 97:21	5981	

I [R, R1, R2 = halo, C1-4 (halo)alkyl or -alkoxy, cyano, NO2; n, p,

q = 0-3, X = 0 or S; m = 2, 4; A+ = quaternary N-contg, group, e.g., quinuclidinium, pyrrolizidinium, trialkylammonium, Y = anion) were prepd.

and were better fungicides than tetramethylthiuram disulfide. Thus, 7-chloro-3-dibenzofuranol was etherified with BrCH2CH2CH2Br, then treated

L10 ANSWER 41 OF 54 CAPLUS COPYRIGHT 2003 ACS

L10 ANSWER 42 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
with N-methylpiperidine to give II.
17 83716-41-0P
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); SFN (Synthetic preparation); BIOL (Biological
study); PREF (Preparation)
(preps. and fungicidal activity of)
RN 83716-41-0 CAPLUS
CN Piperidinium, 1-[3-((7-chloro-2-dibenzofuranyl)oxy]propyl]-1-methyl-,
bromide (9CI) (CA INDEX NAME)

● Br

83716-50-1P

83716-50-1 (Synthetic preparation); PREP (Preparation) (prepn. of) 83716-50-1 CAPLUS

RN 83716-50-1 CAPLUS
CN Piperidinium,
1-[3-[(7-chloro-2-dibenzofurany1) oxy] propy1]-1-(2-propeny1), bromide (9CI) (CA INDEX NAME)

• Br

IT 83723-81-3P
RL: AGR (Agricultural use); BAC (Biological activity or effector,

RL: AUX (Agricultura 30-7, 30-1)

except

adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as herbicide)

RN 83723-81-3 CAPLUS

CN Piperidinium, 1-[3-[(7-chloro-2-dibenzofuranyl) oxy]propyl]-1-[[4-(1,1-dimethylethyl)phenyl]methyl]-, chloride (9CI) (CA INDEX NAME)

L10 ANSWER 42 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

• c1-

L10 ANSWER 43 OF 54 CAPLUS COPYRIGHT 2003 ACS

RN 66203-15-4 CAPLUS CN Acetamide, N-[4,7-dimethoxy-6-[3-(1-piperidinyl)propoxy]-5-benzofuranyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 66203-14-3 CMF C20 H28 N2 O5

CM 2

CRN 75-75-2 CMF C H4 03 S

75884-08-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

(Reactant or reagent)
(prepn. and redn. of)
75884-08-1 CAPJUS
75884-08-1 CAPJUS
75884-08-1 CAPJUS
75884-08-1 CAPJUS
6-benzofuranyl]oxy]-1-cxobutyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 43 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1981:57953 CAPLUS
DOCUMENT NUMBER: 94:57953
Synthesis and antierrhythmic activity of new benzofuran derivatives
AUTHOR(S): Bourgery, Guyr Dostert, Philipper Lacour, Alain, Langlois, Michel; Pourrias, Bernard;

Tisne-Versailles,

Jacky Cent. Rech. Delalande, Rueil-Malmaison, 92500, Fr. Journal of Medicinal Chemistry (1981), 24(2), CORPORATE SOURCE: SOURCE: 159-67

CODEN: JMCMAR; ISSN: 0022-2623

Journal English

DOCUMENT TYPE: LANGUAGE: GI

$$\bigcap_{Q \in \mathcal{M}} \mathbb{R}^3$$

AB The title compds. I [R = NH2, NHAC, NHCONHMe, etc.; NR1R2 = NHMe, NRt2,

piperidino, pyrrolidino, etc.; R3 and R4 = H, OMe, OEt, etc.; X = CH2CH2.

CH2CH2.

(CH2)3, CH2CMMe, etc.] were prepd. and evaluated i.v. in dogs for antiarrhythmic activity against ouabain-induced ventricular arrhythmia and in the Harris test. N-[4,7-Dimethoxy-6-(2-pyrrolidinoethoxy)-6-benzofurany1]-N'-methylurea [66203-00-7] and N-[4,7-dimethoxy-6-(2-piperdinoethoxy)-5-benzofurany1]-N'-methylurea [66203-94-9] were the most effective. LDSO values are also given. Structure-activity relations are discussed.

17 66203-03-09 66203-15-49

RL: BAC (Biological activity or effector, except adverse); BSU (Biological)

(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic

BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and antiarrhythmic activity of) 66203-03-0 CAPLUS

NN 00203-03-0 CN Urea, N-(4,7-dimethoxy-6-[3-(1-piperidinyl)propoxy]-5-benzofuranyl]-N'-methyl- (9CI) (CA INDEX NAME)

L10 ANSWER 43 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

75883-98-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
75883-98-6 CAPLUS
5-Benzofuranamine, 4,7-dimethoxy-6-{3-(1-piperidinyl)propoxy}- (9CI)

L10 ANSWER 44 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:586160 CAPLUS
DOCUMENT NUMBER: 93:186160
S-Aminoalkoxybenzofuran and indole derivatives
INVENTOR(5): Inbert, T., Lacour, A., Turin, M.
PATENT ASSIGNEE(S): Fr. Demande, 34 pp.
CODEN: FFXXXIL
DOCUMENT TYPE: Patent
LANGUAGE: FEXCHIL
FAMILY ACC. NUM. COUNT: French
FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. FR 2431491 PRIORITY APPLN. INFO.: FR 1978-21290 FR 1978-21290 19780718 19780718 A1 19800215

$$\bigcap_{R^1}^{RCO} \operatorname{ox}^{1_{NR}2_{R}3}$$

guanidino, imidazolinyl, pyrrolidino, piperidino, morpholino, hexamethylenimino, 4-methylpiperazino, X = 0, NMe; X1 = (CH2)2, (CH2)3]
(76 compds.) were prepd. Thus, reflexing 3-acety1-2-methy1-5-piperidinoethoxybenzofuran and 4-ClC6H4CHO in EtOH contg 6 N HCl for

F-Periodic Properties of the Control
L10 ANSWER 45 OF 54
ACCESSION NUMBER:
DOCUMENT NUMBER:
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
BOUTGENT AUTOR
COURTENT TYPE:
DOCUMENT TYPE:
DOCUMENT TYPE:
ARIANGUAGE:
COURTENT TYPE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
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FAMILY ACC. NUM.

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2730593	A1	19780119	DE 1977-2730593	19770706
FR 2358143	A1	19780210	FR 1976-21287	19760712
FR 2358143	B1	19781222		
FR 2396008	A2	19790126	FR 1977-19658	19770627
FR 2396008	B2	19800404		
BE 856296	A1	19771230	BE 1977-178933	19770630
CH 625234	A	19810915	CH 1977-8143	19770701
GB 1545725	A	19790516	GB 1977-28132	19770705
ZA 7704067	A	19780530	ZA 1977-4067	19770706
US 4113951	A	19780912	US 1977-813357	19770706
JP 54012365	A2	19790130	JP 1977-81902	19770708
JP 60028831	B4	19850706		
ES 460600	A1	19781201	ES 1977-460600	19770709
SE 7708041	A	19780113	SE 1977-8041	19770711
SE 441268	В	19850923		
SE 441268	C	19860109		
NL 7707713	A	19780116	NL 1977-7713	19770711
AU 7726954	A1	19790118	AU 1977-26954	19770712
AU 516331	B2	19810528		
SU 655312	D	19790330	SU 1977-2501204	19770712
CA 1100958	A1	19810512	CA 1977-282550	19770712
US 4153620	A	19790508	US 1978-914872	19780612
ES 470784	A1	19790116	ES 1978-470784	19780614
ES 470783	A1	19790901	ES 1978-470783	19780614
SU 747425	D	19800723	SU 1978-2700463	19781225
SU 778710	D	19801107	SU 1978-2700462	19781225
CH 627175	Α	19811231	CH 1980-8517	19801117
CH 630621	A	19820630	CH 1980-8516	19801117
SE 8300445	A	19830128	SE 1983-445	19830128
SE 8300446	Α	19830128	SE 1983-446	19830128
JP 58213770	A2	19831212	JP 1983-58809	19830405
JP 61006070	B4	19860224		
PRIORITY APPLN. INFO.	:		FR 1976-21287	19760712
			FR 1977-19658	19770627
			CH 1977-8143	19770701
			US 1977-813357	19770706
CI				

L10 ANSWER 44 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

HC1

75274-50-9 CAPLUS
2-Propen-1-one, 3-(4-methylphenyl)-1-[2-methyl-5-[3-(1-piperidinyl)propoxy]-3-benzofuranyl]-, hydrochloride (9CI) (CA INDEX NAME)

• HCl

75275-10-4 CAPLUS Ethanone, 1-(2-methyl-5-[3-(1-piperidinyl)propoxy)-3-benzofuranyl]-, hydrochloride (9CI) (CA INDEX NAME)

• HC1

L10 ANSWER 45 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

Aminoalkoxybenzofurans I (n = 1,2; R = H, Me; NR1R2 = C1-3 mono- or dialkylamino, C5-6 cycloalkylamino, pyrrolidino, piperidino, hexamethyleneimino, 4-methylpiperidino, 4-methylpiperazino, 1,2,5,6-tetrahydropyridino; NR3R4 = NRMe, NHEt, 4-methylpiperazino, NMe2) were prepd. Thus, II (R5 = R6 = H) was ted

with MeNCO, II (R5 = CONHMe, R6 = H) treated with ClCH2CH2Br, and II (R5 =

CONHMe, R6 = CH2CH2Cl) treated with Me2CHNH2 to give I (R = R1 = R3 = H.

R2 = CHMe2, R4 = Me, n = 1, III). At 4 mg/kg i.v. in dogs III

ouabain-induced ventricular tachycardia. IT 66203-03-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

logical study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. and antiarrhythmic activity of) 66203-03-0 CAPLUS

RN 66203-03-0 CAPLUS CN Urea, N-[4,7-dimethoxy-6-[3-(1-piperidiny1)propoxy]-5-benzofurany1]-N'-methyl- (9CI) (CA INDEX NAME)

IT 66203-15-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
prepn. of)
RN 66203-15-4 CAPLUS
CN Acctamide,
N-[4,7-dimethoxy-6-[3-(1-piperidiny1)propoxy]-5-benzofurany1]-,
monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

L10 ANSWER 45 OF 54 CAPLUS COPYRIGHT 2003 ACS CRN 66203-14-3 CMF C20 H28 N2 O5 (Continued)

2

L10 ANSWER 46 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

●2 HC1

64632-71-9 CAPLUS
Piperidine,
-(2,7-dibenzothiophenediylbis(oxy-3,1-propanediyl)]bis-,
dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

L10 ANSWER 46 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1977:584376 CAPLUS
DOCUMENT NUMBER: 67:184376 CAPLUS
ITITLE: Pharmaceutically useful nitrogen-containing heterocyclic derivatives
Shemano, Irving
PATENT ASSIGNEE(S): Shemano, Irving
Alchardson-Herrell Inc., USA
U.S., 15 pp. Division of U.S. 3,937,835.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE US 4041165 US 3937833 PRIORITY APPLN. INFO.: US 1975-628529 US 1973-370425 US 1973-370425 19751103 19730615 19730615 19770809 19760210

Piperidine derivs. I (R = H, C1-4 alkyl; X = C1-6 alkylene; X1 = C02,

O, S, CO; X2 = polycyclic arom.) were prepd. for use in treatment of delayed hypersensitivity (no data). Thus 3,8-fluoranthenedicarbonyl chloride was treated with 3-piperidinopropanol to give II. 56414-45-07 64632-71-99
RL: SPN (Synthetic preparation), PREP (Preparation) (prepn. of) 56414-45-0 CAPLUS Fiperidine, 1,1'-(2,8-dibenzofurandiylbis(oxy-3,1-propanediyl)]bis-, dihydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 47 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1977:83506 CAPLUS
DOCUMENT NUMBER: 86:83506
TITLE: Bis-basic-substituted polycyclic aromatic compounds.

A new class of antiviral agents. 8. Bis-basic derivatives of carbazole, dibenzofuran, and dibenzofuophene Albrecht, william L.; Fleming, Robert W.; Horgan, Stephen W.; Mayer, Gerald D. Merrell-Natl. Lab. Div., Richardson-Merrell Inc., Cincinnati, OH, USA. Journal of Medicinal Chemistry (1977), 20(3), AUTHOR(S):

CORPORATE SOURCE:

CODEN: JMCMAR; ISSN: 0022-2623 Journal English

AB A series of 50 bisalkamine esters, bis-basic ethers, bis-basic ketones, aminoalkanes, and carboxamides of carbazole, N-ethylcarbazole, dibenzofuran, and dibenzothiophene was prepd. and evaluated in vivo

activity against encephalomyocarditis virus. Within the carbazole and ethylcarbazole series, the bisalkamine esters were most active, while bis-basic ketone derivs. of dibenzofuran and dibenzothiophene were

potent in those series of compds. RMI 11567DA (I) [36115-09-0] and

11877DA (II) [35556-06-0] were active, applied topically, against

herpes virus in hairless mice, and induced serum interferon when given

virus in hairless mice, orally or s.c. to mice.
IT 34449-72-4P 56414-45-0P

RE: BAC (Biological activity or effector, except adverse); BSU (Biological)

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic

use);
BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and virucidal activity of)
RN 34449-72-4 CAPLUS
CN Piperidine,
1,1'-[2,8-dibenzothiophanediylbis(oxy-3,1-propanediyl)]bis-,
dihydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 47 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

●2 HC1

56414-45-0 CAPLUS Piperidine, 1,1"-[2,8-dibenzofurandiylbis(oxy-3,1-propanediyl)]bis-, dibydrochloride (9C1) (CA INDEX NAME)

$$N - (CH_2)_3 - 0 - (CH_2)_3 - N$$

●2 HC1

L10 ANSWER 48 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) CMF C6 H3 N3 O7

61269-12-3 CAPLUS
Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-[2-hydroxy-3-(1-piperidinyl)propoxy]-17-methyl-, dihydrochloride,

(5.alpha., 6.alpha.) -(9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HC1

61269-20-3 CAPLUS
Morphinan-6-01, 7,8-didehydro-4,5-epoxy-3-[2-hydroxy-3-(4-methyl-1-piperidinyl)propoxy]-17-methyl-, (5.alpha.,6.alpha.)-, compd. with 2,4,6-trinitrophenol (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 61269-19-0 CMF C26 H36 N2 O4

Absolute stereochemistry.

L10 ANSWER 48 OF 54
ACCESSION NUMBER: 1977:43865 CAPLUS
DOCUMENT NUMBER: 5643865
NEW morphine derivatives
AUTHOR(S): Papaioannou, G.
CORPORATE SOURCE: European Journal of Medicinal Chemistry (1976),

SOURCE: 11(3),

287 CODEN: EJMCA5; ISSN: 0223-5234

CODEN: EJMCA5; ISSN: 0223-5234

JOURNAL
LANGUAGE: French
GI For diagram(s), see printed CA Issue.
AB The antitussive (no data) morphines I [R = R1 = R2NHCO (R2 = Me, Ph, Pr,

Bu, Et)] and II [R = R3(CH2)nCHR4CH2 (R3 = alkylamino, dialkylamino, piperazino, piperidino, pyrrolidino, morpholino, n = 0, R4 = H, Me; n

= 1, R4 = OH) R1 = H] as salts (58 compds.) were prepd. by the condensation of a., R2NCO and morphine and b.) R3CHR4CH2C1 or R3CH2CH(OH)CH2C1 with the K

X salt of morphine or hydromorphinone. 61269-11-2P 61269-12-3P 61269-20-3P 61269-21-4P RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of CAPLUS
(prepn. of C

CRN 61269-10-1 CMF C25 H34 N2 O4

Absolute stereochemistry.

L10 ANSWER 48 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

2

61269-21-4 CAPLUS
Morphinan-6-01, 7,8-didehydro-4,5-epoxy-3-[2-hydroxy-3-(4-methyl-1-piperidinyl)propoxy]-17-methyl-, dihydrochloride, (5.alpha.,6.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 49 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued) presence of NaOMe. Treatment of R(CH2) nOH with appropriate arom. dicarboxylic acid chlorides yielded I-III (Z = CO2).
34449-72-4P 56414-45-0P
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
34449-72-4 CAPLUS
Piperidine,
-(2,8-dibenzothiophenediylbis(oxy-3,1-propanediyl)]bis-, dihydrochloride (9CI) (CA INDEX NAME) L10

$$\bigcirc_{N-\text{ (CH}_2) \, 3-0} - \bigcirc_{N-\text{ (CH}_2) \, 3-N}$$

●2 HC1

56414-45-0 CAPLUS
Piperidine, 1,1"-[2,8-dibenzofurandiylbis(oxy-3,1-propanediyl)]bis-,
dibydrochlorid (GGI) (CA INDEX NAME)

●2 HC1

L10 ANSWER 49 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1976:432852 CAPLUS
DOCUMENT NUMBER: 85:32852
Pharmaceutically useful nitrogen-containing
heterocyclic derivatives
Shemano, Irving
PATENT ASSIGNEE(S): Richardson-Merrell Inc., USA

INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:

U.S., 15 pp. CODEN: USXXAM Patent English 3

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3937833	A	19760210	US 1973-370425	19730615
ZA 7402904	A	19750528	ZA 1974-2904	19740507
BE 816444	A1	19741016	BE 1974-145520	19740617
US 4041165	A	19770809	US 1975-628529	19751103
PRIORITY APPLN. INFO.	:		US 1973-370425	19730615

$$R - (CH_2)_{n} - Z - (CH_2)_{n} - R$$
 $R - (CH_2)_{n} - Z - (CH_2)_{n} - R$
 $R - (CH_2)_{n} - Z - (CH_2)_{n} - R$
 $R - (CH_2)_{n} - Z - (CH_2)_{n} - R$
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 $R - (CH_2)_{n} - Z - (CH_2)_{n} - R$
 $R - (CH_2)_{n} - Z - (CH_2)_{n} - R$
 $R - (CH_2)_{n} - Z - (CH_2)_{n} - R$
 $R - (CH_2)_{n} - Z - (CH_2)_{n} - R$
 $R - (CH_2)_{n} - Z - (CH_2)_{n} - R$
 $R - (CH_2)_{n} - Z - (CH_2)_{n} - R$

The piperidine derivs. I-III (R = piperidino, 4-alkylpiperidino, n = $\frac{1}{2}$ Z = CO, CO2, O; X = CH2, O, S, EtN, CO; X1 = CO, X2 = O; X1 = X2 = prepd. Thus, I-III (2 = CO) were obtained by substitution reactions of bis(.omega.-chloroacyl) arom. compds. with piperidines, and I-III (2 were prepd. by substitution reactions of R(CH2)nCl by arom. diols in

L10 ANSWER 50 OF 54
ACCESSION NUMBER:
DFOCUMENT NUMBER:
B4:135458
ACTILE:
B1-basic ethers of dibenzofuran
Albrecht, William L., Fleming, Robert W.
ACTILE COUNTY ACTION AND ACTION ACTION AND ACTION AND ACTION ACTION AND ACTION ACTIO

PATENT ASSIGNEE(S): SOURCE:

U.S., 9 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent

English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE 19751230

US 3929802 PRIORITY APPLN. INFO.: 19740225 A US 1974-446194 US 1970-45578

AB The dibenzofurans I [R = Et2NCH2CH2, 3-piperidinopropyl, Et2N(CH2)3, 2-piperidinoethyl, (Me2CH)2NCH2CH2, etc.] were prepd. by treating I

H) with RCl. I (R = H) and BrCH2CH2Cl gave I (R = ClCH2CH2) which

with

EthH2 gave I (R = EthHCH2CH2). At 0.1-10 mg/kg (i.p.) I prevented infections by picornaviruses myxoviruses, etc. 5641e-45-0

IТ

56414-45-0P

(Preparation) PREP (Preparation) (prepn. of)
56414-45-0 CAPLUS
Piperidine, 1,1'-[2,8-dibenzofurandiylbis(oxy-3,1-propanediyl)]bis-,
dihydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 51 OF 54
ACCESSION NUMBER:
DOCUMENT NUMBER:
SITTLE:
SINVENTOR(S):
SOURCE:
DOCUMENT TYPE:
DOCUMENT TYPE:
DOCUMENT TYPE:
FAMILY ACC. NUM. COUNT:
PATENT ASTENDATION:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
SOURCE:
SOURCE:
DOCUMENT TYPE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUN

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. KIND DATE DATE BE 816444 Al 19741016 BE 1974-145520 19740617
US 3937833 A 19760210 US 1973-370425 19730615
PRICALITY APPLN. INFO.: US 1973-370425 19730615
GI For diagram(s), see printed CA Issue.
AB Piperidine derivs. I (X = alkoxycarbonyl, alkylthiocarbonyl, alkylthio X1 = CH2, CHOH, CO, O, S, NEt; Z = CH2, CO, Z1 = O; Z = CH2, O,

CH2, O, 21 = 0; 2 = 21 = CO; R = H, alkyl) (43 compds.), effective against delayed

●2 HC1

56414-45-0 CAPLUS
Piperidine, 1,11*-{2,8-dibenzofurandiylbis(oxy-3,1-propanediyl)]bis-,
dihydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 52 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1972:3687 CAPLUS
76:3687 CAPLUS

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2107892	A	19710826	DE 1971-2107892	19710218
DE 2107892	В2	19800131	DE 13.1 210.032	13,10210
DE 2107892	C3	19801002		
US 3673191	A	19720627	US 1970-12428	19700218
CA 958713	A1	19741203	CA 1971-103075	19710119
NL 7102069	A	19710820	NL 1971-2069	19710216
SE 377336	В	19750630	SE 1971-2054	19710217
BE 763121	A1	19710818	BE 1971-2884	19710218
FR 2081525	A5	19711203	FR 1971-5536	19710218
FR 2081525	B1	19750418	•	
CH 542227	λ	19731115	CH 1971-2336	19710218
JP 55008507	B4	19800304	JP 1971-7700	19710218
GB 1309713	Α	19730314	GB 1971-21506	19710419
US 3720680	λ	19730313	US 1972-248555	19720428
RIORITY APPLN. INFO.	:		US 1970-12428	19700218
I For diagram(s),	see pr	inted CA Issu		

AB Title compds. (I) were prepd. by reaction of I (R = H) with aminoalkyl chlorides. Thus, I (R = H) was refluxed with 3-piperidinopropyl chloride-HCl, NaOH, H2O, and PhMe 16 hr to give, after reaction with

HC1
in Et2O, I.2HC1 (R = 3-piperidinopropyl). Similarly prepd. were
I.2HC1 (R)
I.2HC1 (R)
I.2HC1 (R)
I.2HC1 (R)
II.2HC1 (R)
II

L10 ANSWER 51 OF 54 CAPLUS COPYRIGHT 2003 ACS

●2 HC1

L10 ANSWER 52 OF 54 CAPLUS COPYRIGHT 2003 ACS

(Continued)

●2 HC1

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LIO ANSWER 53 OF 54
ACCESSION NUMBER: 1962:31439 CAPLUS
DOCUMENT NUMBER: 56:31439
CRIGINAL REFERENCE NO.: 56:5969f-i
TITLE: 2-methyl-5,8-dihydroxy-4',5',6,7-furanochromone
INVENTOR(S): 5chefer, Helmut
Chemische Pharmazeutische Fabrik Dr. Hermann
INVENTOR(S):
PATENT ASSIGNEE(S):
Thiemann
                                                         G.m.b.H.
 DOCUMENT TYPE:
                                                         Unavailable
 PATENT INFORMATION:
           PATENT NO. KIND DATE
                                                                                                 APPLICATION NO. DATE
           DE 1067033 19581117 DE
The formation of the basic ethers is effected by reaction of the
            compd. khellinquinol with basically substituted propylene oxides.
To 23.2
           g. khellinquinol in 150 cc. boiling EtOH was added over 1 hr. 15.5 g. 1-diethylaminopropane 2,3-epoxide, N passed through the mixt., after completion of soln. by 3 hrs. refluxing, apprx.12 cc. concd. Hcl
 added
            (to weak acidity), the residue distd. in vacuo to dryness, taken up
in 150
           cc. H2O, filtered, the crude base pptd. by 10% soda soln., dissolved
in
          C6H6, and the residue from the C6H6 soln. recrystd. from cyclohexane
give a good yield of yellow cryst. khellinquinol
8-(.gamma.-diethylamino-
.beta.-hydroxypropyl) ether, m. 99.degree., HCl salt m.
198-9.degree..
           Analogously were prepd. the corresponding .gamma.-piperidino and .gamma.-dibutylamino derivs., m. 124.degree. and 89-90.degree.,
         .yemide. Talbutyiamino derivs., m. 144.degree. and 89-90.degree., forming HCl salts, m. 223-4.degree. and 202-3.degree., resp. All the compds. were used like khellin (1), but were less toxic and of better soly.) the salts enhanced the soly of I. Cf. CA 53, 7202f.
96170-59-1, 5H-Furo(3,2-g][1]benzopyran-5-one, 4-hydroxy-9-(2-hydroxy-3-piperidinopropoxy)-7-methyl-, hydrochloride
96170-60-4, 5H-Furo(3,2-g][1]benzopyran-5-one, 4-hydroxy-9-(2-hydroxy-3-piperidinopropoxy)-7-methyl-
(prepn. of)
96170-59-1 CAPLUS
5H-Furo(3,2-g][1]benzopyran-5-one, 4-hydroxy-9-(2-hydroxy-3-piperidinopropoxy)-7-methyl-, hydrochloride (6CI, 7CI) (CA INDEX)
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ACCESSION NUMBER: 1961:56351 CAPLUS
DOCUMENT NUMBER: 55:56351
DRIGINAL REFERENCE NO.: 55:10816a-b
TITLE: 55:10816a-b
SCABEC, Helmut
PATENT ASSIGNEE(S): Chemische Pharmazeutische Fabrik Dr. Hermann PATENT ASSIGNEE(S): Thiemann G. m. b. H. DOCUMENT TYPE: LANGUAGE: Unavailable FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION: PATENT NO. DE 1076328 KIND DATE APPLICATION NO. DATE 19600225 DE DE 1076328 19600225 DE For diagram(s), see printed CA Issue. Stable, ag. khellin solns. are prepd. by using as solubilizing agents basic monethers of khellinquinol (2-methyl-5,8-dihydroxy-4',5',6,7-furanochromone) (I). These ethers are prepd. by treating I with passic substituted propylene oxides of the formula CH2.0.CHCH2NR(R1), in which R and R1 are C1-4 alkyl groups, or make with N a heterocyclic ring, e.g. piperidine (Ger. 924,693, CA 53, 16158f). For example, to a soln. of 25 of 25
g. khellinquinol 8-(3-diethylamino-2-hydroxypropyl) ether
hydrochloride in
75 ml. H2O, 5 g. khellin is added and dissolved by warming. After 75 ml. H20, 5 y. American to cooling the solm, it is made up to 100 ml. with H20 and filtered. These ethers are esp. useful because their therapeutic action is similar to that khellin, but they significant to the state of the state o khellin, but they are less toxic than khellin. The pH of such

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